L Number	Hits	Search Text	DB	Time stamp
1	3862	((544/326) or (544/328) or (544/329) or (544/330) or (544/331) or (544/332) or (514/256) or (514/275)).CCLS.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/06/03 18:03

L12 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1994:508815 CAPLUS
DN 121:108815
TI [(Benzodioxane, benzofuran or benzopyran)alkylamino]alkyl-substituted guanidine selective vasoconstrictors
IN Van Lommen, Guy Rosalia Eugene; De Bruyn, Marcel Frans Leopold; Janssens, Walter Jacobus Joseph
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9317017	A1	19930902	WO 1993-EP435	19930219
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9334991	A1	19930913	AU 1993-34991	19930219
	AU 664237	B2	19951109		
	EP 639192	A1	19950222	EP 1993-904017	19930219
	EP 639192	B1	19960515		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07504408	T2	19950518	JP 1993-514541	19930219
	JP 2779268	B2	19980723		
	HU 71129	A2	19951128	HU 1994-2464	19930219
	AT 138064	E	19960615	AT 1993-904017	19930219
	ES 2087721	T3	19960716	ES 1993-904017	19930219
	CZ 282092	B6	19970514	CZ 1994-2020	19930219
	PL 174736	B1	19980930	PL 1993-304902	19930219
	RU 2121999	C1	19981120	RU 1994-41210	19930219
	SK 280166	B6	19990910	SK 1994-1029	19930219
	RO 115630	B1	20000428	RO 1994-1432	19930219
	CA 2117483	C	20010109	CA 1993-2117483	19930219
	CN 1079470	A	19931215	CN 1993-103671	19930226
	CN 1038032	B	19980415		
	ZA 9301404	A	19940826	ZA 1993-1404	19930226
	LT 3049	B	19941025	LT 1993-367	19930226
	LV 10715	B	19951220	LV 1993-149	19930226
	IL 104868	A1	19980104	IL 1993-104868	19930226
	US 5541180	A	19960730	US 1994-256995	19940729
	FI 9403928	A	19940826	FI 1994-3928	19940826
	NO 9403186	A	19940829	NO 1994-3186	19940829
	US 5607949	A	19970304	US 1996-632227	19960415
	US 5624952	A	19970429	US 1996-632226	19960415
	US 5688952	A	19971118	US 1996-632228	19960415
	US 5703115	A	19971230	US 1996-632230	19960415
PRAI	US 1992-842560	A2	19920227		
	WO 1993-EP435	A	19930219		
	US 1994-256995	A3	19940729		

OS MARPAT 121:108815
AB The title compds. [I; A = bivalent radical; A1 = bivalent C1-3 alkanediyl radical; R1, R3, R4 = H, C1-6 alkyl; R2 = H, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl; R7, R8 = H, halogen, C1-6 alkyl, OH, C3-6 alkenyl, C3-6 alkynyl, CN, CO2H, (un)substituted NH2; X = O, CH2, direct bond], which have selective vasoconstrictor activity, are prepd. and I-contg.

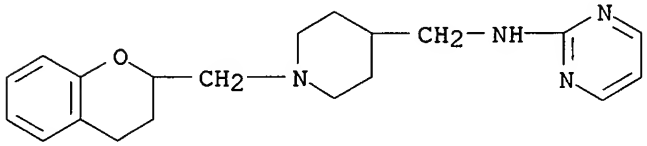
formulations presented. Thus, dihydrochloride salt II was prepd. (m.p. 139.9.degree.) and demonstrated 50% of the constrictive response obtained with serotonin upon pig basilar arteries at 1.46 .times. 10-7 M concn.

IT **155427-97-7P 155429-39-3P 155429-45-1P**
155429-56-4P 155429-59-7P 155429-63-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and selective vasoconstrictor activity of)

RN 155427-97-7 CAPLUS

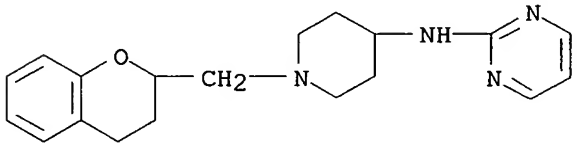
RN 155429-39-3 CAPLUS

CN 2-Pyrimidinamine, N-[[1-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



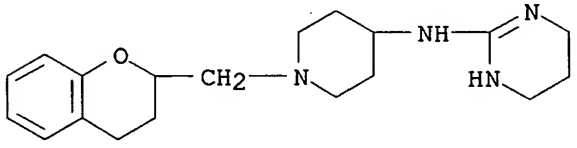
RN 155429-45-1 CAPLUS

CN 2-Pyrimidinamine, N-[1-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 155429-56-4 CAPLUS

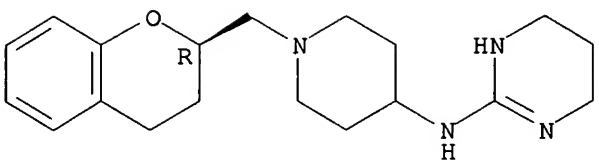
CN 2-Pyrimidinamine, N-[1-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)



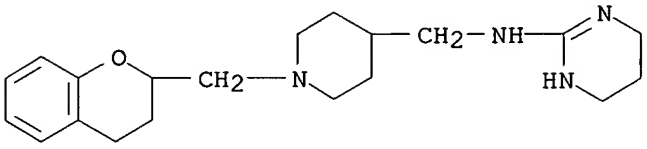
RN 155429-59-7 CAPLUS

CN 2-Pyrimidinamine, N-[1-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]-1,4,5,6-tetrahydro-, (R)- (9CI) (CA INDEX NAME)

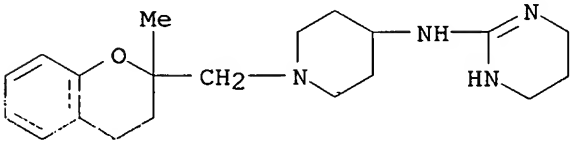
Absolute stereochemistry.



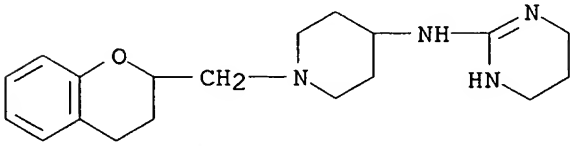
RN 155429-63-3 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]methyl]-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)



IT **155426-16-7P 155426-45-2P 155426-48-5P**
155426-55-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 155426-16-7 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(3,4-dihydro-2-methyl-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]-1,4,5,6-tetrahydro- (9CI) (CA INDEX NAME)

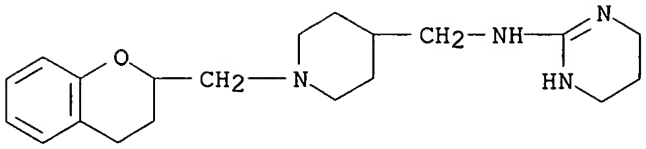


RN 155426-45-2 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]-1,4,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



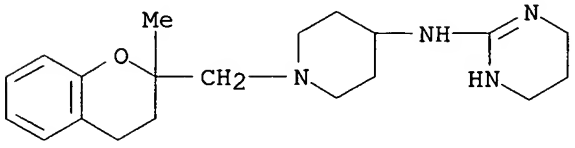
●2 HCl

RN 155426-48-5 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]methyl]-1,4,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



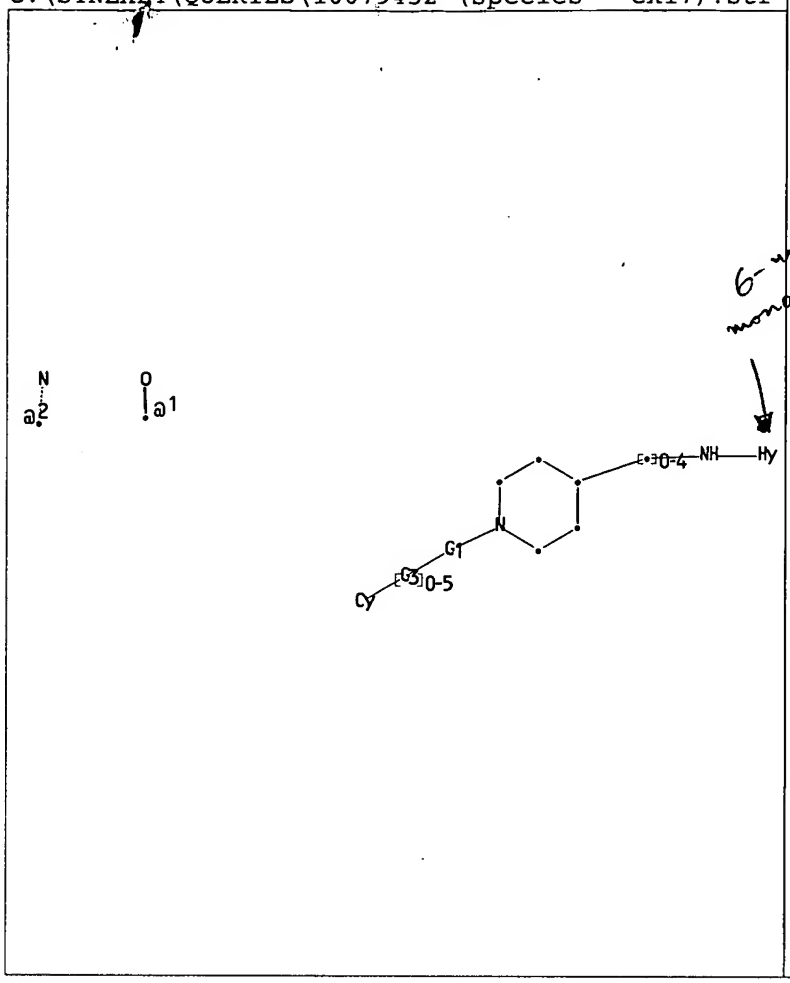
● 2 HCl

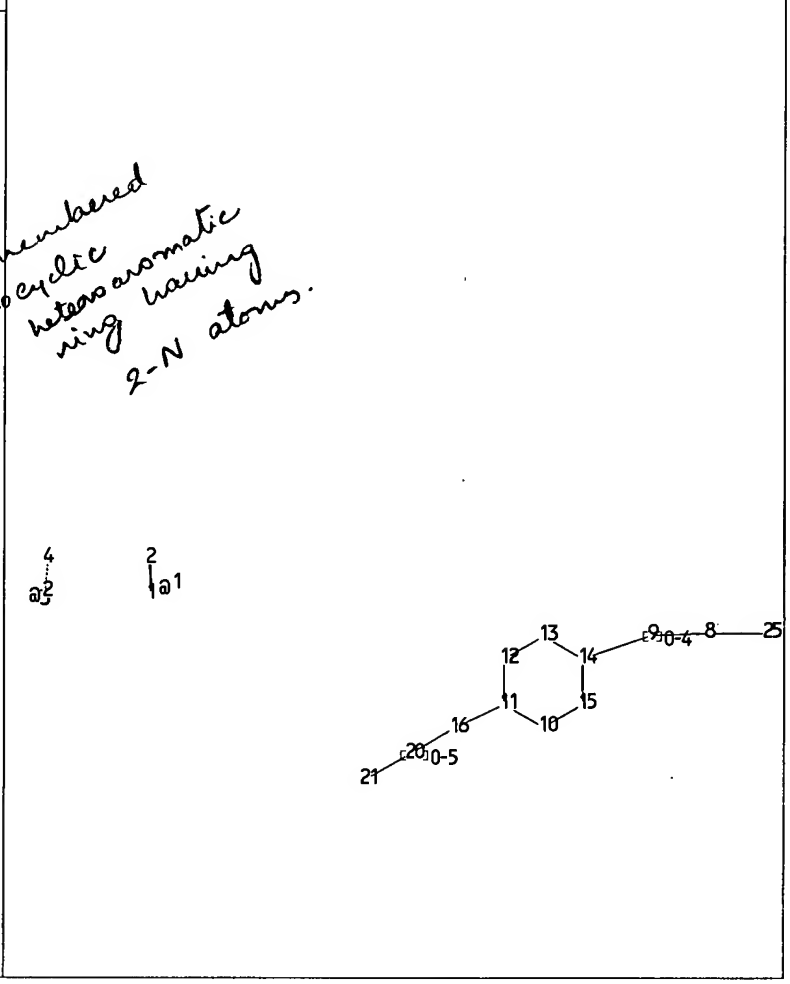
RN 155426-55-4 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(3,4-dihydro-2-methyl-2H-1-benzopyran-2-yl)methyl]-4-piperidinyl]-1,4,5,6-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

C:\STNEXP4\QUERIES\10079452 (species - ex17).str





chain nodes :
1 2 3 4 8 9 16 20 21 25
ring nodes :
10 11 12 13 14 15
chain bonds :
1-2 3-4 8-9 8-25 9-14 11-16 16-20 20-21
ring bonds :
10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 3-4 8-9 8-25 11-16 16-20 20-21
exact bonds :
9-14 10-11 10-15 11-12 12-13 13-14 14-15

G1:CH2,SO2,[*1],[*2]

G2

G3:C,O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:CLASS 20:CLASS 21:Atom 25:Atom
Generic attributes :
25:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic

Element Count :
Node 25: Limited

C,C4
N,N2
S,S0
O,O0

```
=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1840

L1    SCREEN CREATED

=> screen 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047

L2    SCREEN CREATED

=>
Uploading C:\STNEXP4\QUERIES\10079452 (species).str

L3      STRUCTURE UPLOADED

=> que L3 AND L1 NOT L2

L4   QUE L3 AND L1 NOT L2

=> d l4
L4 HAS NO ANSWERS
L1              SCR 1840
L2              SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L4              QUE  L3 AND L1 NOT L2


=> s l4 sss sam
SAMPLE SEARCH INITIATED 19:29:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  51853 TO ITERATE

  1.9% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS       0

L5            0 SEA SSS SAM L3 AND L1 NOT L2

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1840

L6    SCREEN CREATED
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=> screen 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047

L7    SCREEN CREATED

=>
Uploading C:\STNEXP4\QUERIES\10079452 (species - ex17).str

L8      STRUCTURE UPLOADED

=> que L8 AND L6 NOT L7

L9   QUE L8 AND L6 NOT L7

=> d l9
L9 HAS NO ANSWERS
L6              SCR 1840
L7              SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L8              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.
L9              QUE  L8 AND L6 NOT L7


=> s l9 sss sam
SAMPLE SEARCH INITIATED 19:31:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  10733 TO ITERATE

  9.3% PROCESSED    1000 ITERATIONS                              3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      208458 TO   220862
PROJECTED ANSWERS:            303 TO      983

L10           3 SEA SSS SAM L8 AND L6 NOT L7

=> s l9 sss ful
FULL SEARCH INITIATED 19:32:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 212841 TO ITERATE

100.0% PROCESSED  212841 ITERATIONS                          332 ANSWERS
SEARCH TIME: 00.00.05

L11         332 SEA SSS FUL L8 AND L6 NOT L7

=> s l11
L12         54 L11

=> d l12 1-54 bib,ab,hitstr
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L12 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2003:319721 CAPLUS
DN 138:321292
TI Preparation of 2,4,5-trisubstituted pyrimidines as cyclin dependent kinase inhibitors
IN Dahmann, Georg; Himmelsbach, Frank; Wittneben, Helmut; Pautsch, Alexander; Prokopowicz, Anthony S.; Krist, Bernd; Schnapp, Gisela; Steegmaier, Martin; Lenter, Martin; Schoop, Andreas; Steurer, Steffen; Spevak, Walter
PA Boehringer Ingelheim Pharma K.-G., Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim International G.m.b.H.
SO PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003032997	A1	20030424	WO 2002-EP11453	20021014

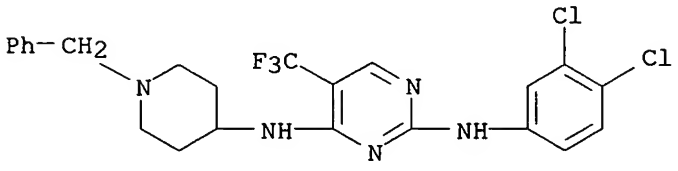
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-330145P P 20011017

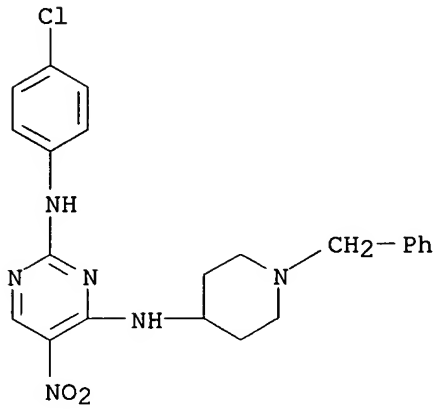
AB Title compds. I [R1 = H, alkyl; R2 = (un)substitute alkyl; R3 = H, alkyl; R4 = (un)substitute alkyl; R5 = halo] and their pharmaceutically acceptable salts were prepd. For example, condensation of thiocyanatopyrimide II, e.g., prepd. from 3,4-dichloroaniline and 2-chloro-4-thiocyanato-5-nitropyrimidine in one step, and acetylaminoethylamine provided trisubstituted pyrimidine III in 88% yield. In CDK1/CyclinB1 kinase inhibition studies, 88-examples of compds. I exhibited IC50 values more than 100 nM. Compds. I are claimed useful for the treatment of diseases characterized by abnormal cell proliferation.

IT **514833-59-1P**, 2-(3,4-Dichlorophenylamino)-4-(1-benzyl-4-piperidinylamino)-5-trifluoromethylpyrimidine **514835-58-6P**, 2-(4-Chlorophenylamino)-4-(1-benzyl-4-piperidinylamino)-5-nitropyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of trisubstituted pyrimidines as cyclin dependent kinase inhibitors)

RN 514833-59-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 514835-58-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



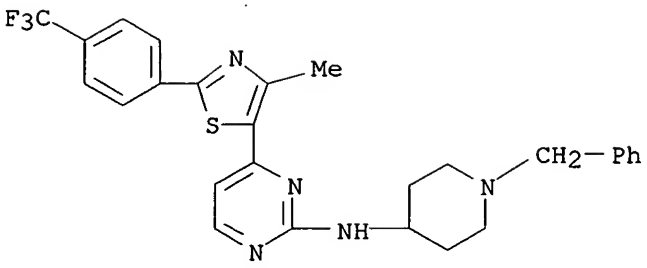
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2003:154244 CAPLUS
DN 138:187786
TI Preparation of pyrimidinylthiazoles as antiinflammatories.
IN Love, Christopher John; Van Wauwe, Jean Pierre Frans; De Brabander, Marc J.; Moses, Roger Clive; Goncharenko, Mykhalyo; Cooymans, Ludwig Paul; Vandermaesen, Nele; Diels, Gaston Stanislas Marcella; Sibley, Anthony William; Noula, Caterina
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003015776	A1	20030227	WO 2002-EP8956	20020809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI EP 2001-203088 A 20010813
OS MARPAT 138:187786
AB Use of title compds. [I; Z = halo, alkyl; hydroxyalkyl, carboxyalkyl, cyanoalkyl, aminoalkyl, aminoalkyl, aminocarbonylalkyl, alkoxyalkyl, polyhaloalkyl, alkoxy, cyano, amino, aminocarbonyl, aminocarbonyl, alkyloxycarbonyl, alkylcarbonyloxy, etc.; Q = (substituted) cycloalkyl, furyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, indazolyl, imidazopyridyl, etc.; L = substituted Ph, (substituted) monocyclic 5-6 membered partially satd. or arom. heterocycle, bicyclic partially satd. or arom. heterocycle] for the manuf. of a medicament for the prevention or the treatment of diseases mediated through tumor necrosis factor-alpha (TNF-.alpha.) and/or interleukin-12 (IL-12), is claimed. Thus, Me 3-[4-methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]-3-oxopropanoate was added to a mixt. prepd. from NaOMe and diguanidine carbonate in EtOCH2CH2OH followed by 3 h reflux to give 76% 5- (2-aminopyrimidin-4-yl)-4-methyl-2-(4-trifluoromethylphenyl)thiazole. The latter at 10-8 M gave 92% inhibition of IL-12p70.
IT **499796-66-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidinylthiazoles as antiinflammatories)
RN 499796-66-6 CAPLUS
CN 2-Pyrimidinamine, 4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2002:964146 CAPLUS
DN 138:39187
TI Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.
IN Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002100352	A2	20021219	WO 2002-US21069	20020607
WO 2002100352	A3	20030327		

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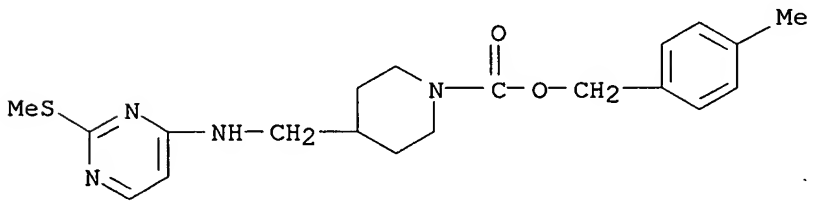
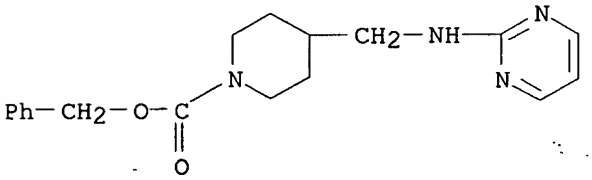
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-297672P P 20010612
AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (prepn. given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixt. allowed to stir at room temp. for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.
IT **455265-37-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)
RN 455265-37-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(methylthio)-4-pyrimidinyl]amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)

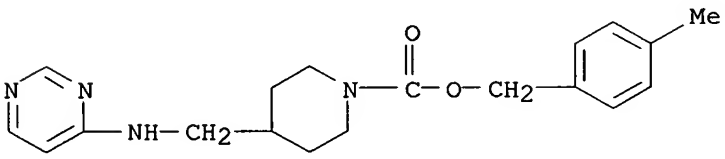


IT **455265-24-4P 455265-30-2P 455265-31-3P**
455265-35-7P 455265-36-8P 455265-38-0P
455265-39-1P 455265-44-8P 455265-45-9P
455265-51-7P 455265-56-2P 455265-59-5P
455265-66-4P 455265-69-7P 455265-71-1P
455265-73-3P 455265-74-4P 455265-75-5P
455265-76-6P 455265-77-7P 455265-79-9P
455265-80-2P 455265-81-3P 455265-82-4P
455265-83-5P 455265-84-6P 455265-85-7P
455265-86-8P 455265-89-1P 455265-90-4P
455265-93-7P 455265-94-8P 455265-95-9P
455265-98-2P 455266-00-9P
455266-01-0P 455266-03-2P 455266-04-3P
455266-05-4P 455266-06-5P 455266-07-6P
455266-11-2P 455266-12-3P 455266-14-5P
455266-15-6P 455266-21-4P 455266-22-5P
455266-25-8P 455266-27-0P 455266-28-1P
455266-98-5P 455267-18-2P 455267-73-9P
455267-78-4P 455267-93-3P 455290-15-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

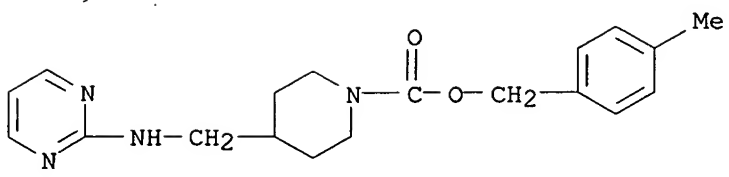
RN 455265-24-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



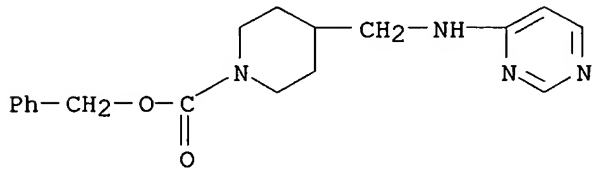
RN 455265-30-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



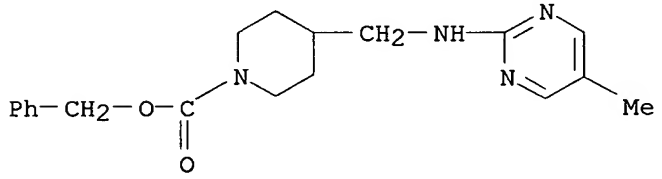
RN 455265-31-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



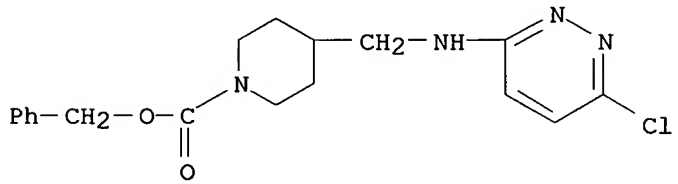
RN 455265-35-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



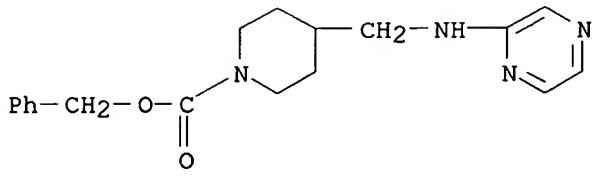
RN 455265-36-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



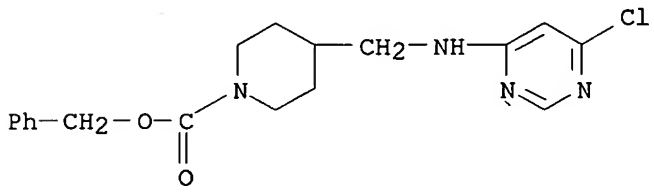
RN 455265-38-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



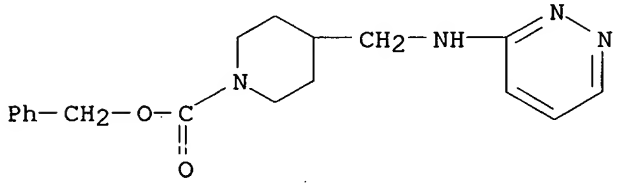
RN 455265-39-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(pyrazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



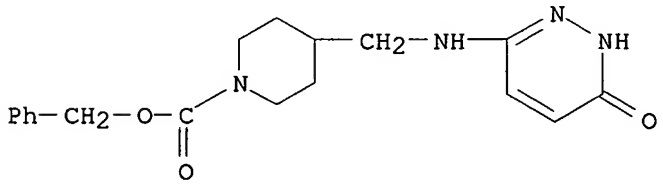
RN 455265-44-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



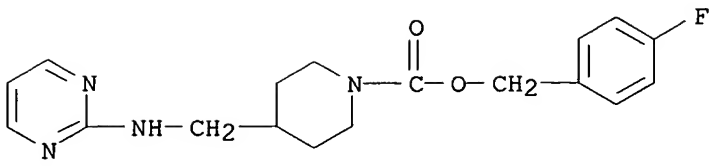
RN 455265-45-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



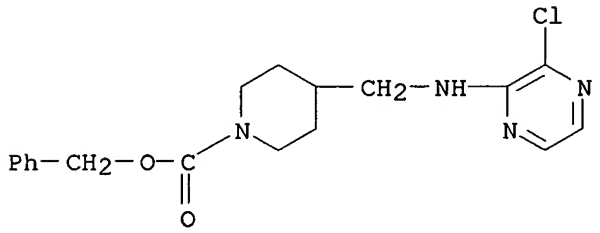
RN 455265-51-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,6-dihydro-6-oxo-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



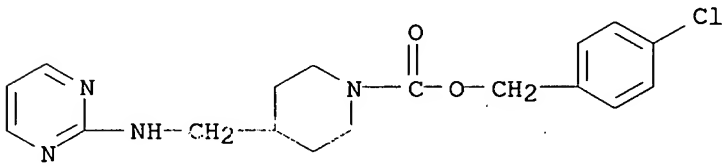
RN 455265-56-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



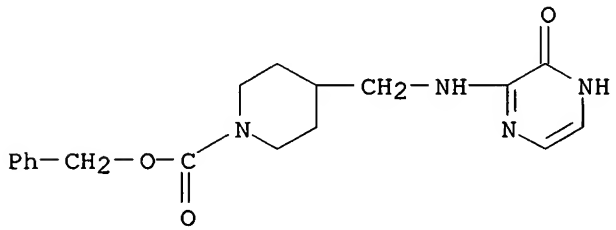
RN 455265-59-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



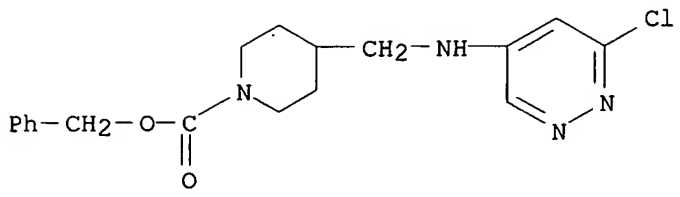
RN 455265-66-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



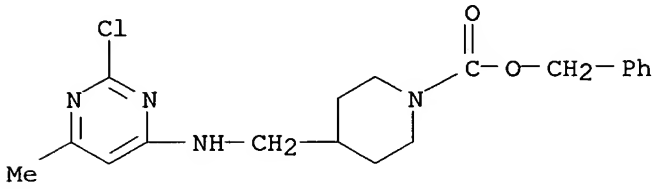
RN 455265-69-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3,4-dihydro-3-oxopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



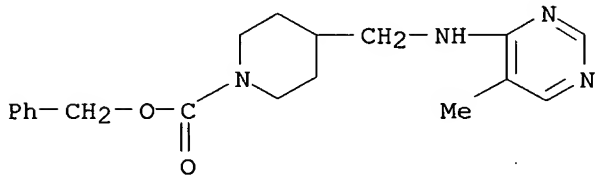
RN 455265-71-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



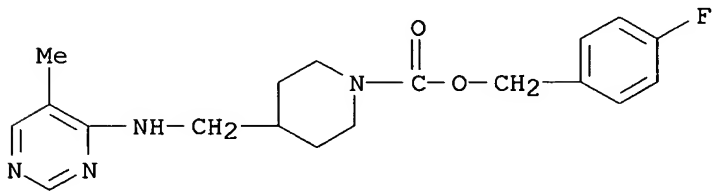
RN 455265-73-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



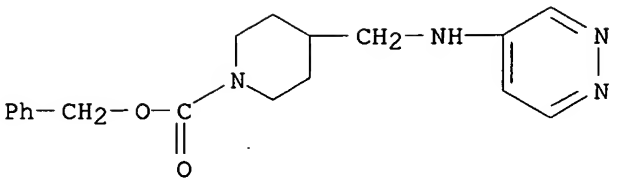
RN 455265-74-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



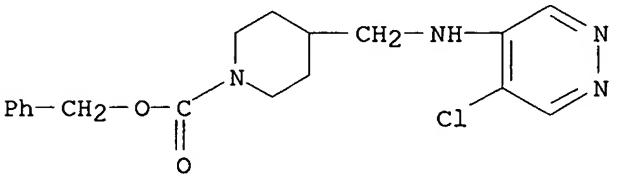
RN 455265-75-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



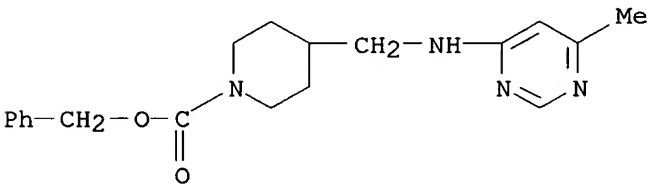
RN 455265-76-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



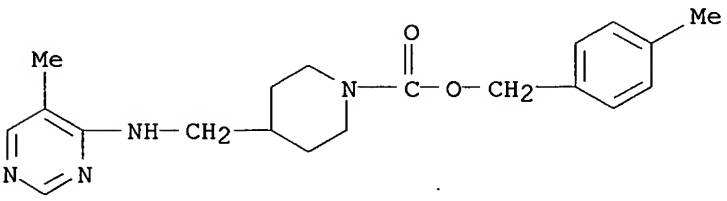
RN 455265-77-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



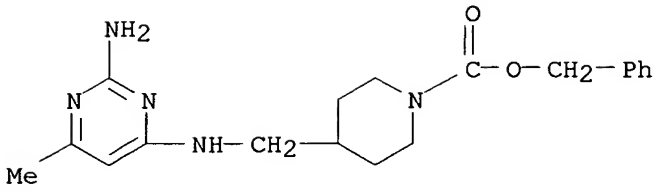
RN 455265-79-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



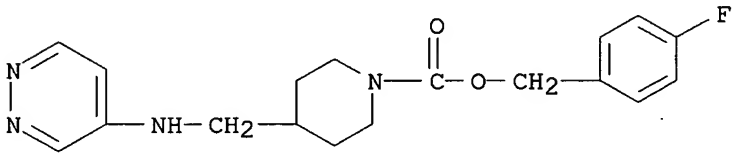
RN 455265-80-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



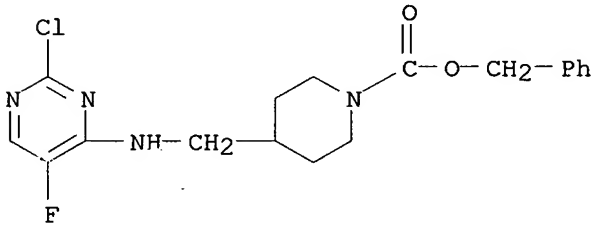
RN 455265-81-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



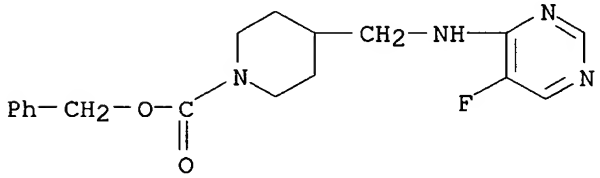
RN 455265-82-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



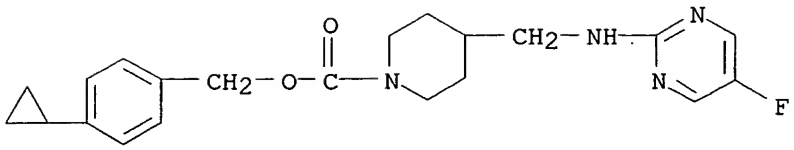
RN 455265-83-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



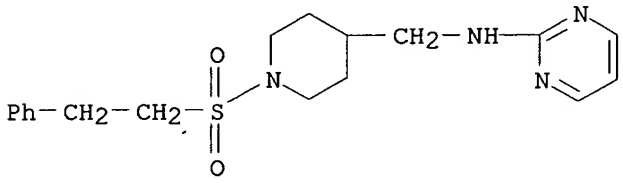
RN 455265-84-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



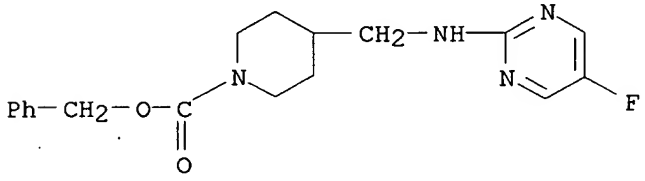
RN 455265-85-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-cyclopropylphenyl)methyl ester (9CI) (CA INDEX NAME)



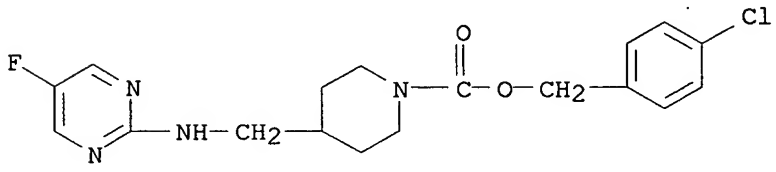
RN 455265-86-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



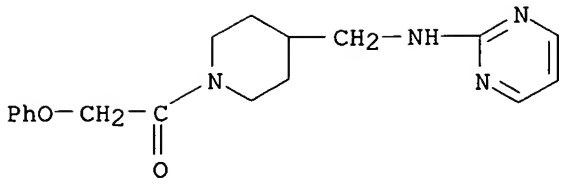
RN 455265-89-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



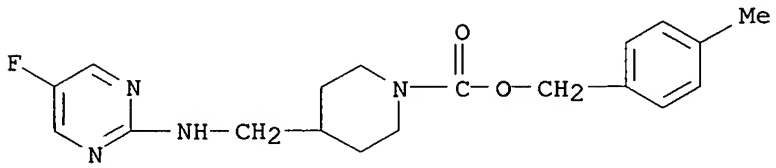
RN 455265-90-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



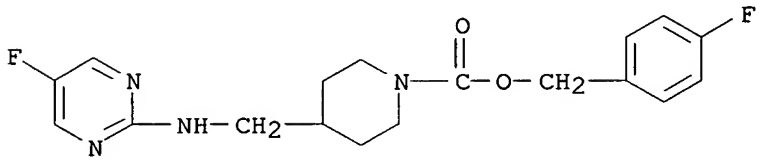
RN 455265-93-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(phenoxyacetyl)-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



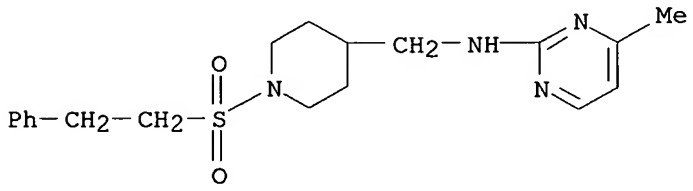
RN 455265-94-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



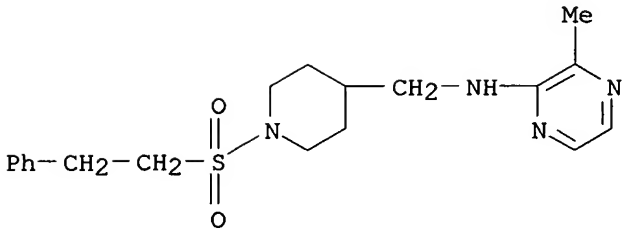
RN 455265-95-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



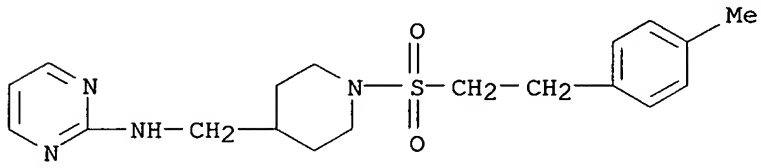
RN 455265-98-2 CAPLUS
CN 4-Piperidinemethanamine, N-(4-methyl-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



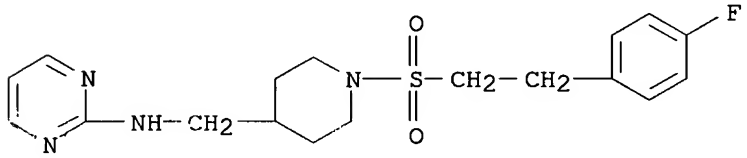
RN 455265-99-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methylpyrazinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



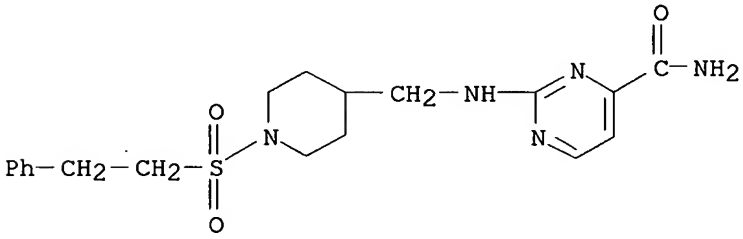
RN 455266-00-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-methylphenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



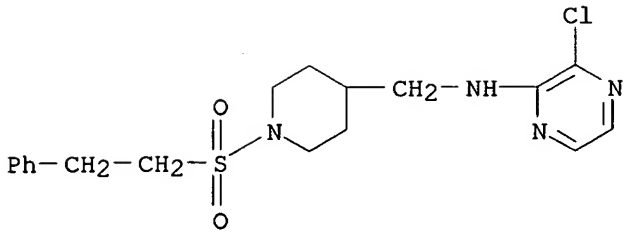
RN 455266-01-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-fluorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



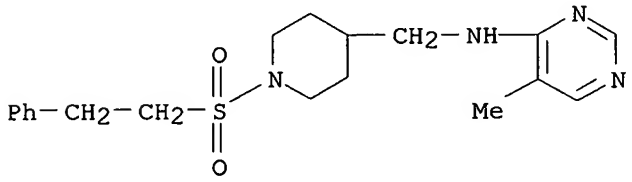
RN 455266-03-2 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



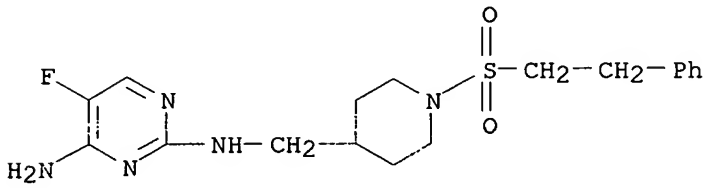
RN 455266-04-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-chloropyrazinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



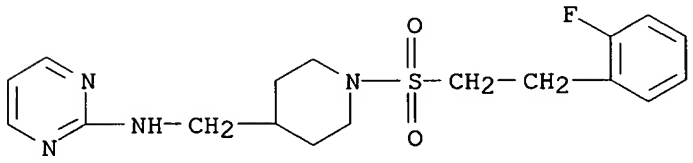
RN 455266-05-4 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-4-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



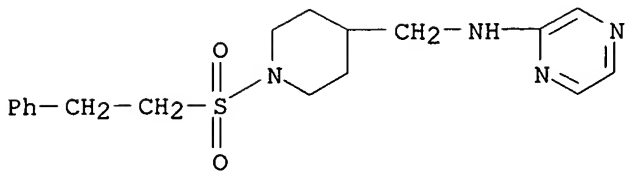
RN 455266-06-5 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-5-fluoro-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



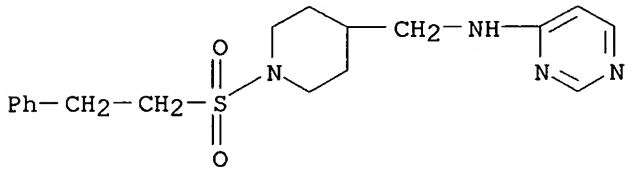
RN 455266-07-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-fluorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



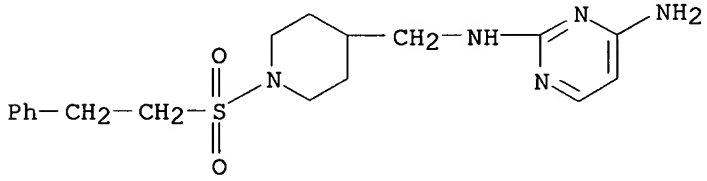
RN 455266-11-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-pyrazinyl- (9CI) (CA INDEX NAME)



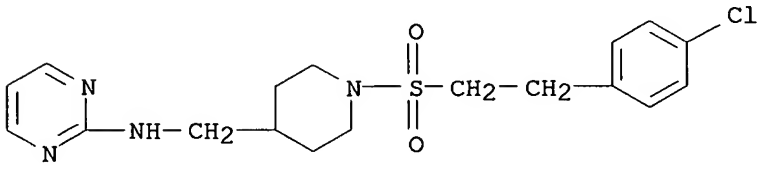
RN 455266-12-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-14-5 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

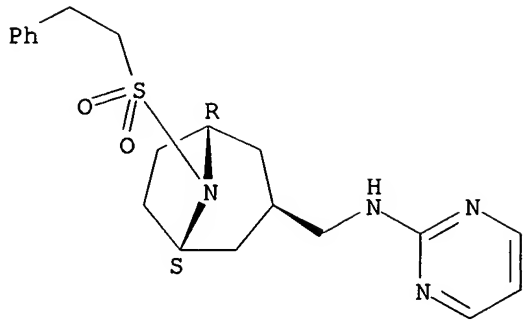


RN 455266-15-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-chlorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

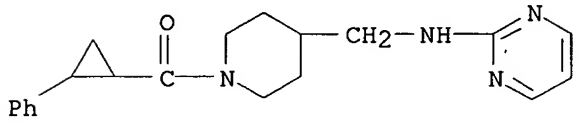


RN 455266-21-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2-phenylethyl)sulfonyl]-N-2-pyrimidinyl-, (3-exo)-rel- (9CI) (CA INDEX NAME)

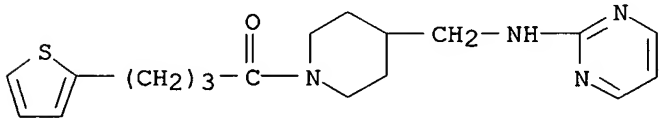
Relative stereochemistry.



RN 455266-22-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylcyclopropyl)carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

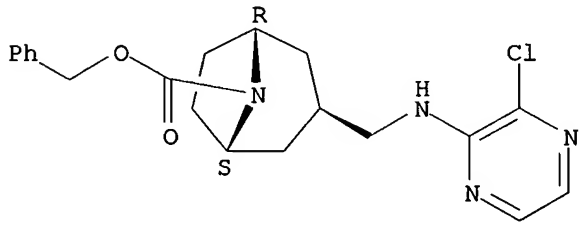


RN 455266-25-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[1-oxo-4-(2-thienyl)butyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

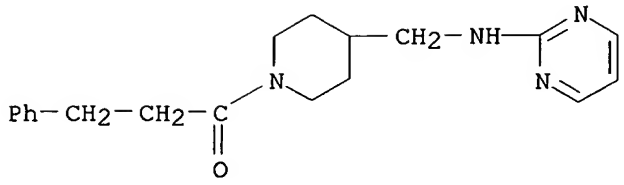


RN 455266-27-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[(3-chloropyrazinyl)amino]methyl]-, phenylmethyl ester, (3-exo)-rel- (9CI) (CA INDEX NAME)

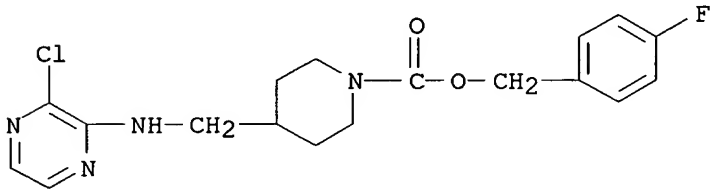
Relative stereochemistry.



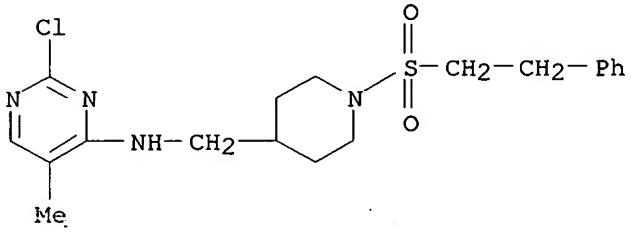
RN 455266-28-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(1-oxo-3-phenylpropyl)-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



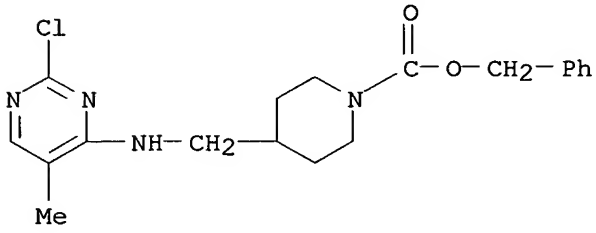
RN 455266-98-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



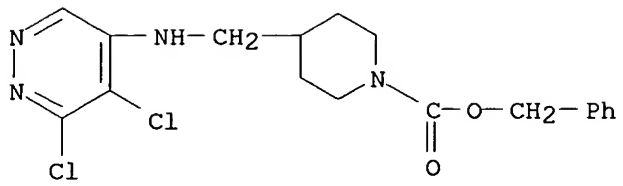
RN 455267-18-2 CAPLUS
CN 4-Piperidinemethanamine, N-(2-chloro-5-methyl-4-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



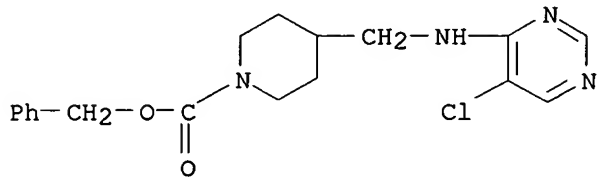
RN 455267-73-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-78-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5,6-dichloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

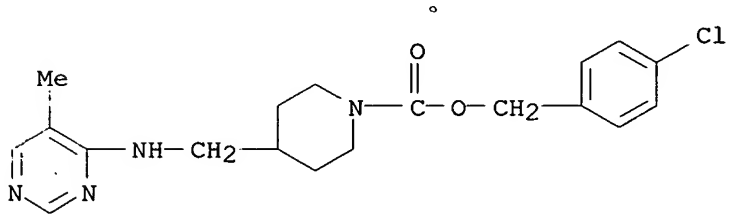


RN 455267-93-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

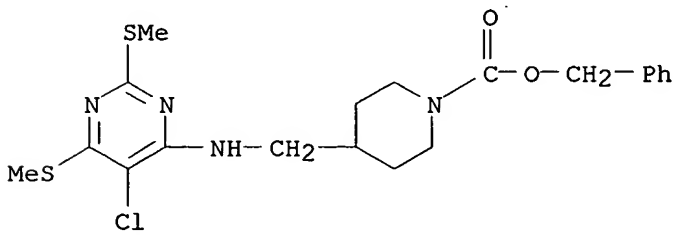


● HCl

RN 455290-15-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



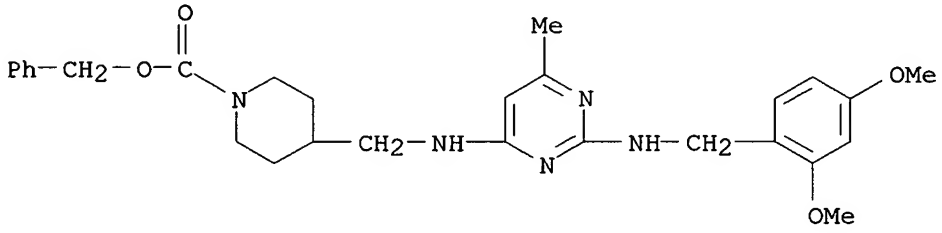
IT **455267-76-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)
RN 455267-76-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[5-chloro-2,6-bis(methylthio)-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **455267-15-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 455267-15-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-[[(2,4-dimethoxyphenyl)methyl]amino]-6-methyl-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2002:676010 CAPLUS
DN 137:216875
TI Preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists
IN Claiborne, Christopher F.; Butcher, John W.; Claremon, David A.; Libby, Brian E.; Liverton, Nigel J.; Munson, Peter M.; Nguyen, Kevin T.; Phillips, Brian; Thompson, Wayne; McCauley, John A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002068409	A1	20020906	WO 2002-US5226	20020220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002165241	A1	20021107	US 2002-79452	20020220
PRAI US 2001-271100P	P	20010223		

OS MARPAT 137:216875
AB BQ1(X)ANHQ2 [Q1 = 5-7 membered N-contg. nonarom. ring, azabicyclooctyl; Q2 = 5-6 membered (substituted) heteroaryl ring; A = alkylene; B = Ar(CH2)0-3O2C, Ar(CH2)0-3SO2, etc.; Ar = (substituted) aryl, heteroaryl; X = H, OH, F, alkyl, alkoxy, NH2, O], were prepd. Thus, 1-[(benzyloxy)carbonyl]-4-piperidinecarboxylic acid, 4-aminopyridine, EDC, and HOAt were kept 4 h in DMF to give the amide, which was reduced with BH3.THF to give benzyl 4-[(4-pyridylamino)methyl]-1-piperidinecarboxylate. Title compds. showed IC50's of <50 .mu.M for inhibition of NR1A/2B NMDA receptor activation.
IT **455265-24-4P 455265-30-2P 455265-31-3P**
455265-35-7P 455265-36-8P 455265-37-9P
455265-38-0P 455265-39-1P 455265-44-8P
455265-45-9P 455265-51-7P 455265-56-2P
455265-59-5P 455265-66-4P 455265-69-7P
455265-71-1P 455265-73-3P 455265-74-4P
455265-75-5P 455265-76-6P 455265-77-7P
455265-79-9P 455265-80-2P 455265-81-3P
455265-82-4P 455265-83-5P 455265-84-6P
455265-85-7P 455265-86-8P 455265-89-1P
455265-90-4P 455265-93-7P 455265-94-8P
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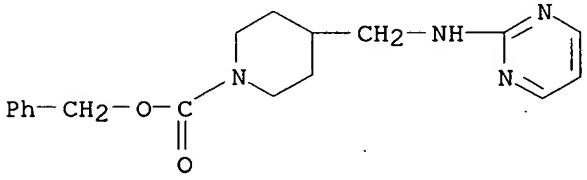
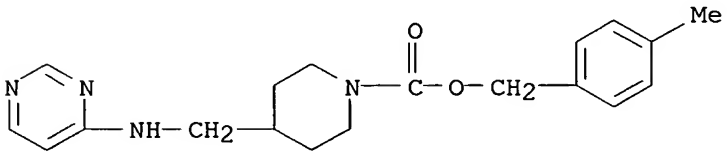
455266-88-3P 455266-89-4P 455266-91-8P
455266-92-9P 455266-93-0P 455266-94-1P
455266-96-3P 455266-97-4P 455266-98-5P
455266-99-6P 455267-00-2P 455267-02-4P
455267-03-5P 455267-04-6P 455290-08-1P
455290-10-5P 455290-13-8P 455305-07-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)

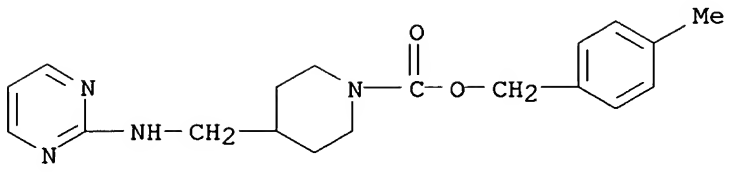
RN 455265-24-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-30-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)

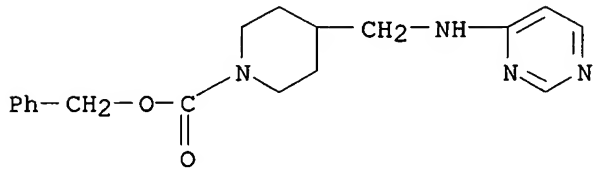


RN 455265-31-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)

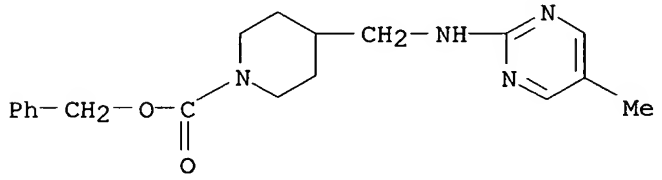


Elected species.

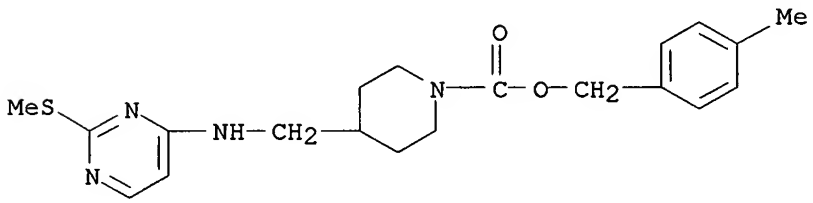
RN 455265-35-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



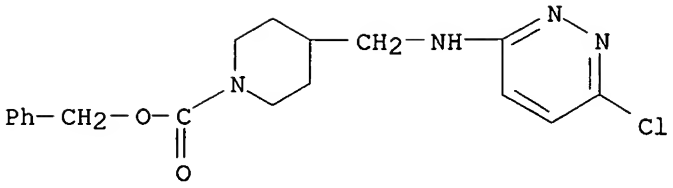
RN 455265-36-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



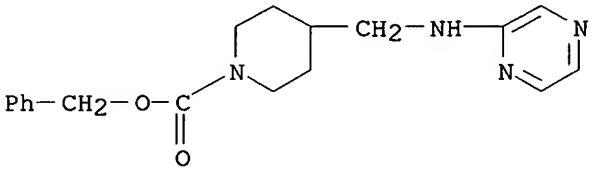
RN 455265-37-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(methylthio)-4-pyrimidinyl]amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



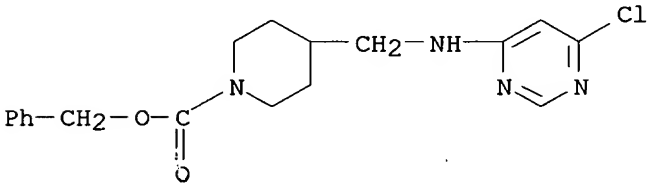
RN 455265-38-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



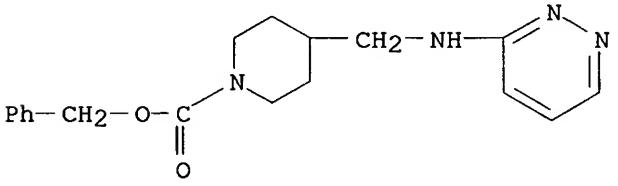
RN 455265-39-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(pyrazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



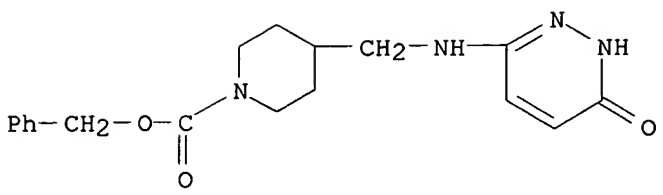
RN 455265-44-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



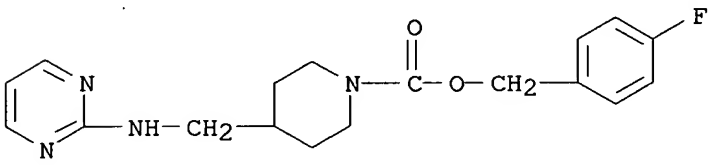
RN 455265-45-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



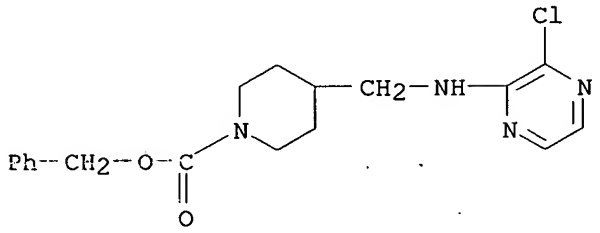
RN 455265-51-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,6-dihydro-6-oxo-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



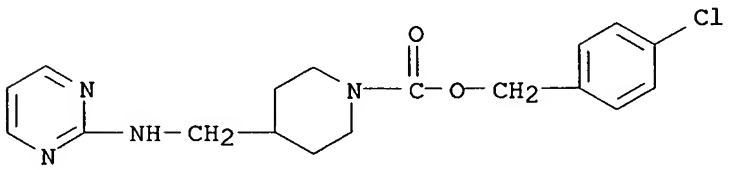
RN 455265-56-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



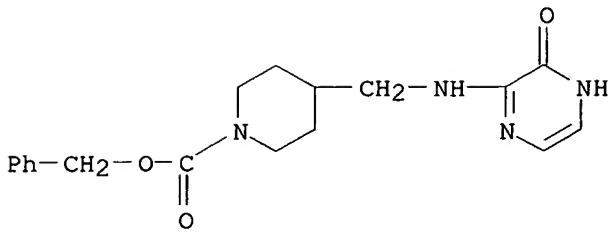
RN 455265-59-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



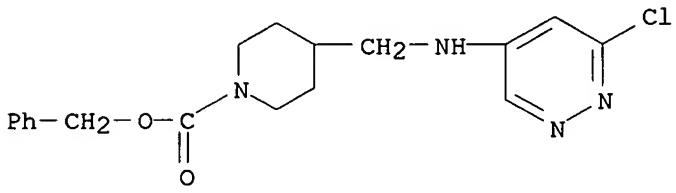
RN 455265-66-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



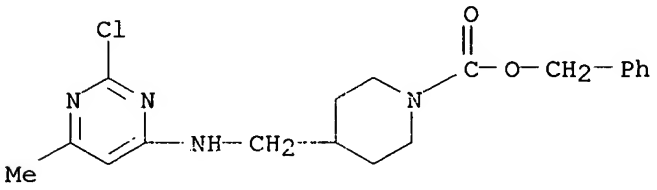
RN 455265-69-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3,4-dihydro-3-oxopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



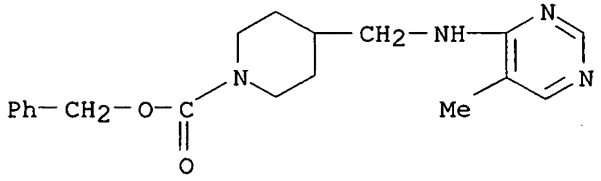
RN 455265-71-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



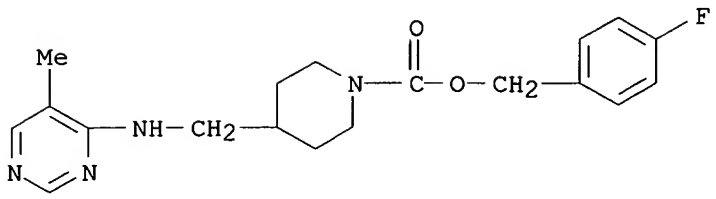
RN 455265-73-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



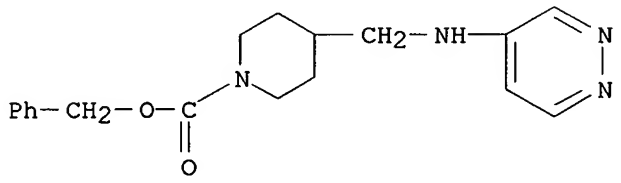
RN 455265-74-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



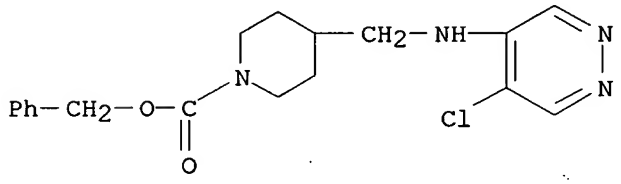
RN 455265-75-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



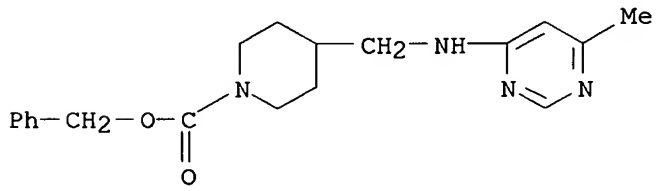
RN 455265-76-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



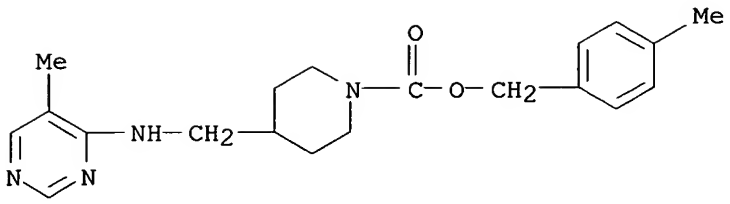
RN 455265-77-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



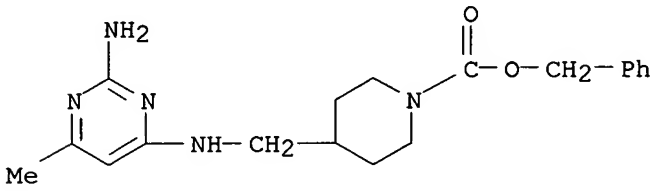
RN 455265-79-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



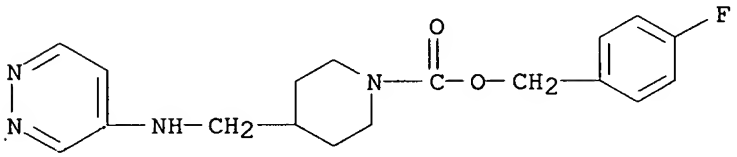
RN 455265-80-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



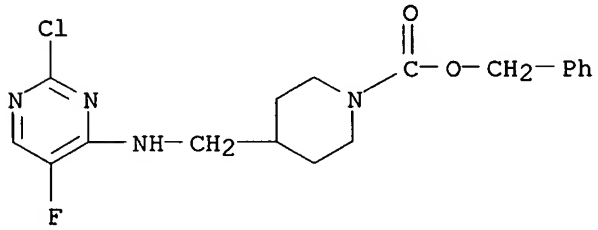
RN 455265-81-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



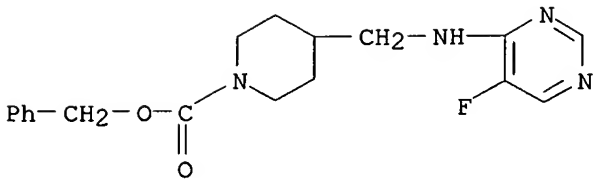
RN 455265-82-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



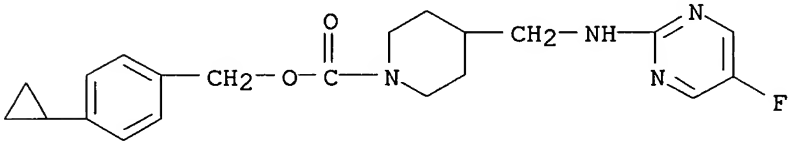
RN 455265-83-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



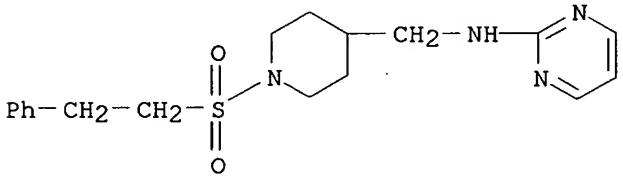
RN 455265-84-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



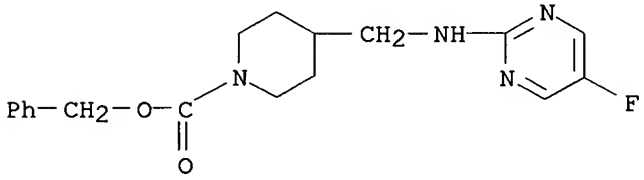
RN 455265-85-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-cyclopropylphenyl)methyl ester (9CI) (CA INDEX NAME)



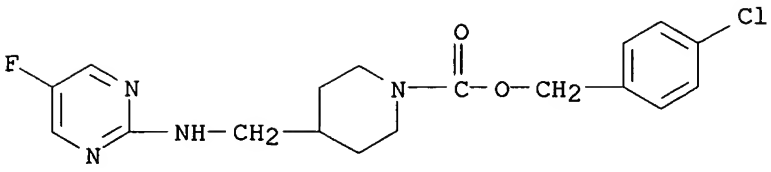
RN 455265-86-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



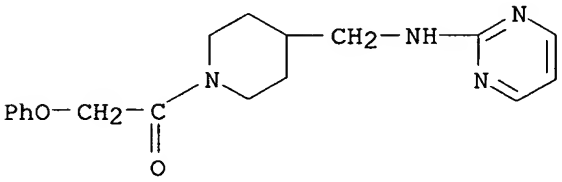
RN 455265-89-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



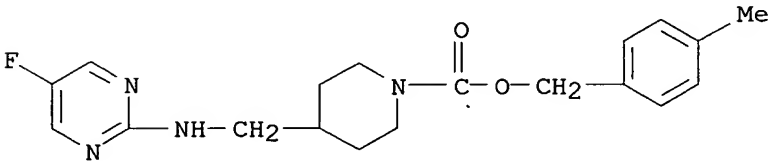
RN 455265-90-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



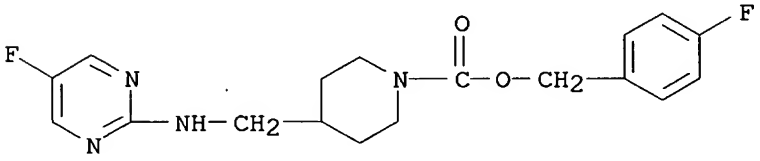
RN 455265-93-7 CAPLUS
CN 4-Piperidinemethanamine, 1-(phenoxyacetyl)-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



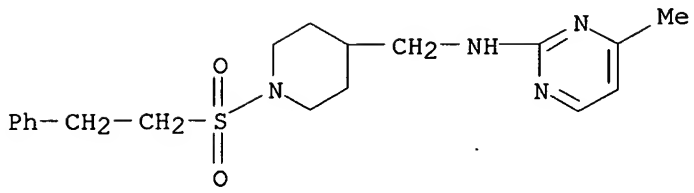
RN 455265-94-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



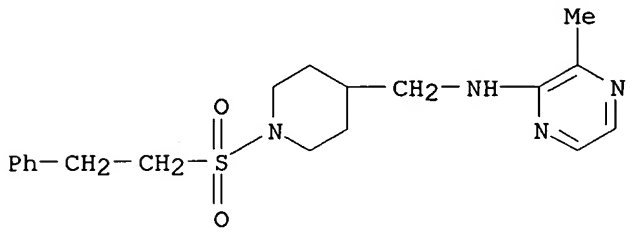
RN 455265-95-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



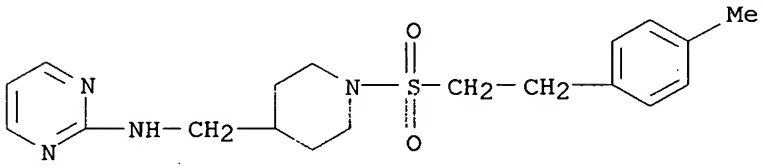
RN 455265-98-2 CAPLUS
CN 4-Piperidinemethanamine, N-(4-methyl-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



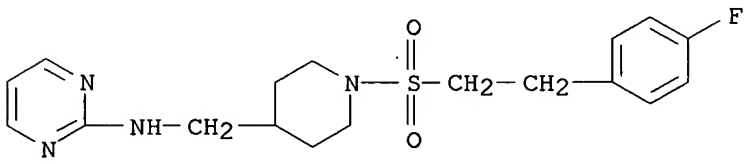
RN 455265-99-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methylpyrazinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



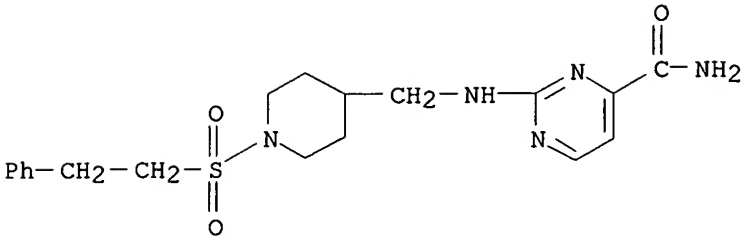
RN 455266-00-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-methylphenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



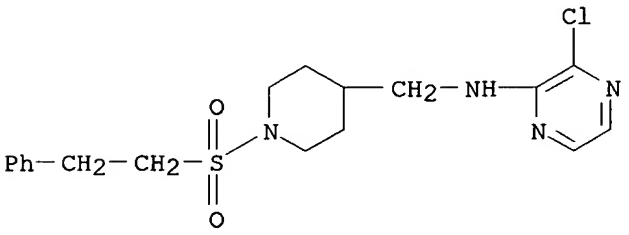
RN 455266-01-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-fluorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



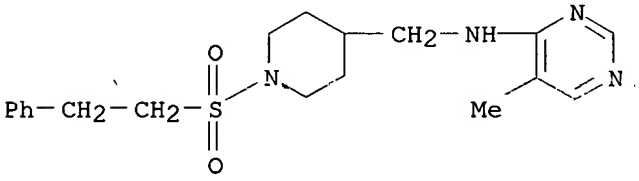
RN 455266-03-2 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



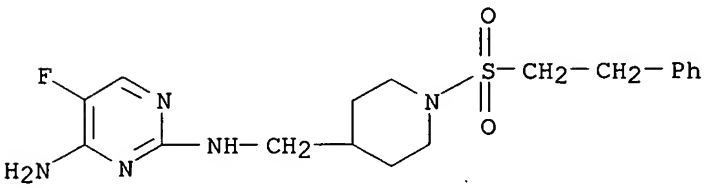
RN 455266-04-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-chloropyrazinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



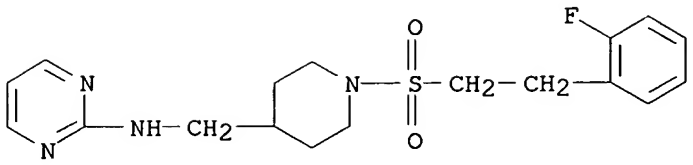
RN 455266-05-4 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-4-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



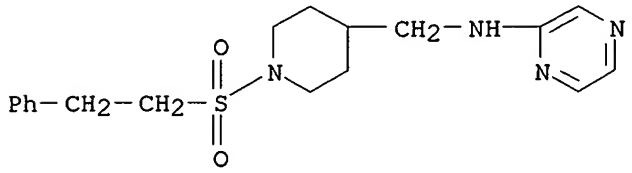
RN 455266-06-5 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-5-fluoro-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



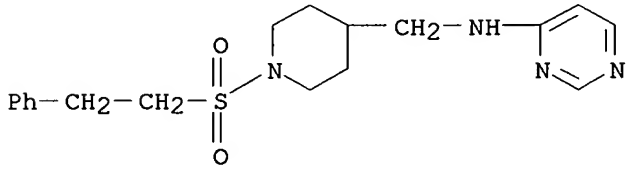
RN 455266-07-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-fluorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



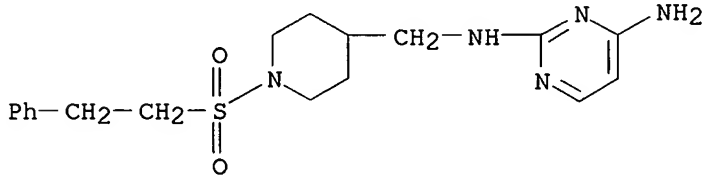
RN 455266-11-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-pyrazinyl- (9CI) (CA INDEX NAME)



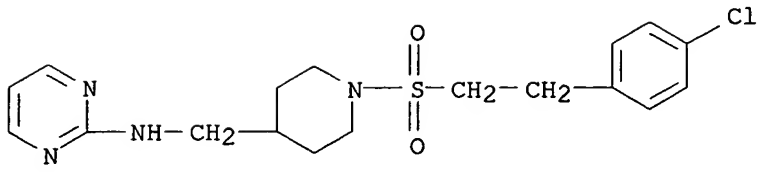
RN 455266-12-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-14-5 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

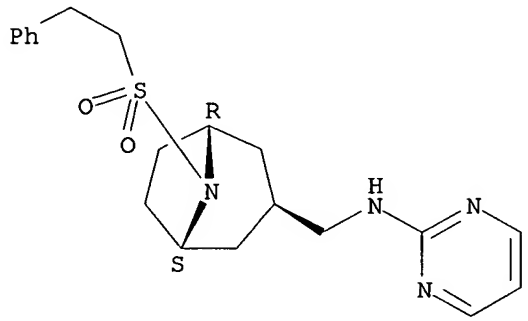


RN 455266-15-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-chlorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

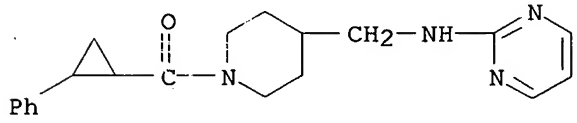


RN 455266-21-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2-phenylethyl)sulfonyl]-N-2-pyrimidinyl-, (3-exo)-rel- (9CI) (CA INDEX NAME)

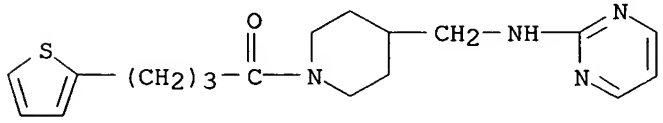
Relative stereochemistry.



RN 455266-22-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylcyclopropyl)carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

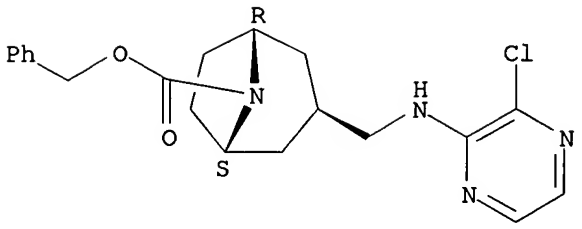


RN 455266-25-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[1-oxo-4-(2-thienyl)butyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

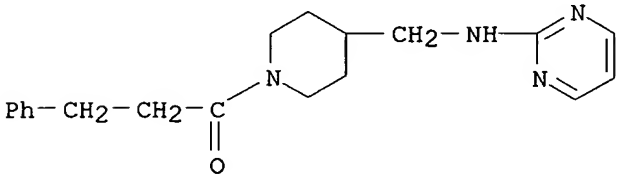


RN 455266-27-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[(3-chloropyrazinyl)amino]methyl]-, phenylmethyl ester, (3-exo)-rel- (9CI) (CA INDEX NAME)

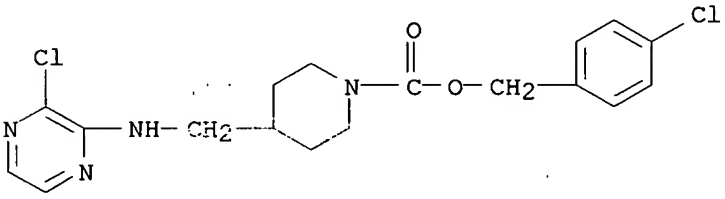
Relative stereochemistry.



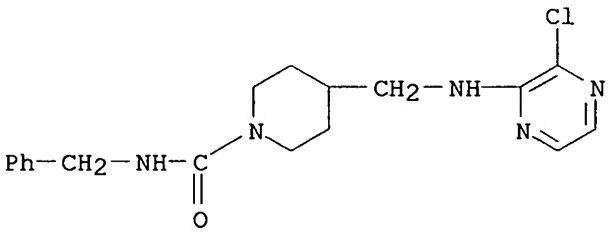
RN 455266-28-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(1-oxo-3-phenylpropyl)-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



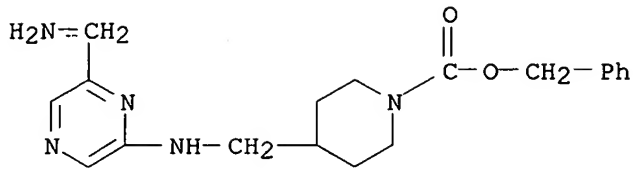
RN 455266-30-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



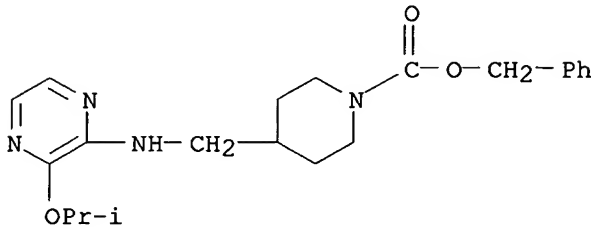
RN 455266-31-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[(3-chloropyrazinyl)amino]methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



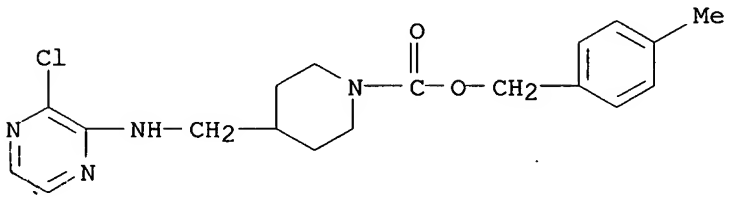
RN 455266-32-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



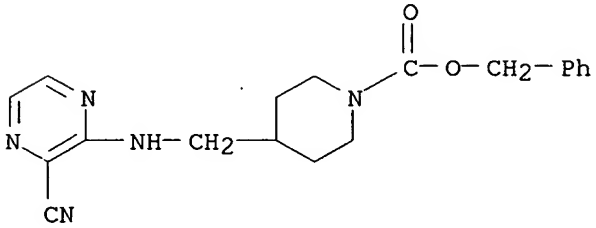
RN 455266-33-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(1-methylethoxy)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



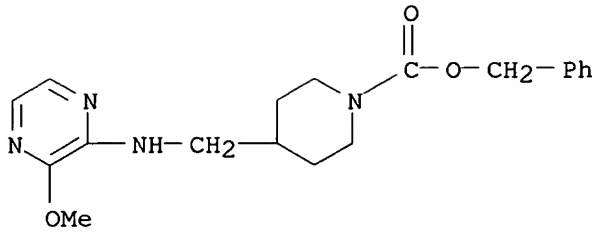
RN 455266-34-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



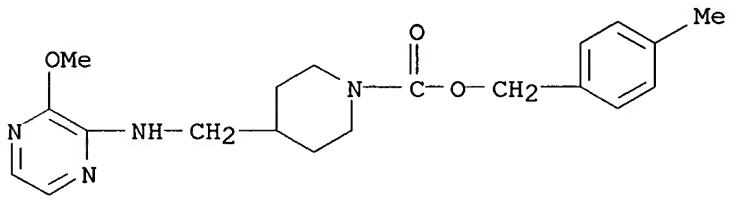
RN 455266-35-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-cyanopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



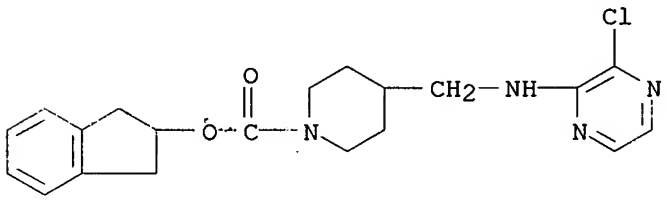
RN 455266-36-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



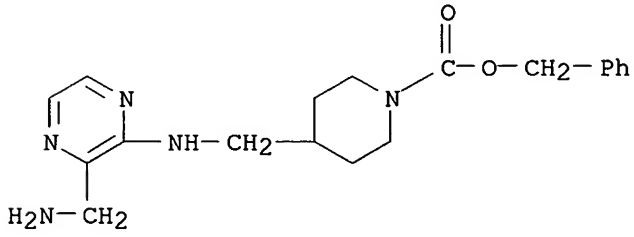
RN 455266-37-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxypyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



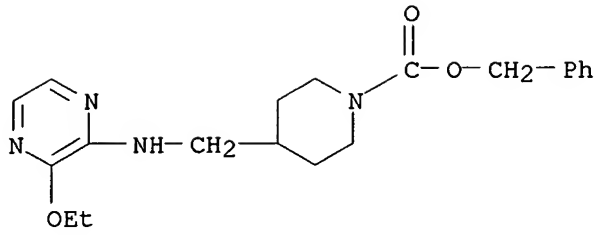
RN 455266-40-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, 2,3-dihydro-1H-inden-2-yl ester (9CI) (CA INDEX NAME)



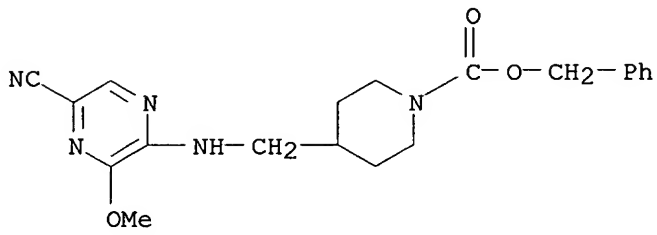
RN 455266-41-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



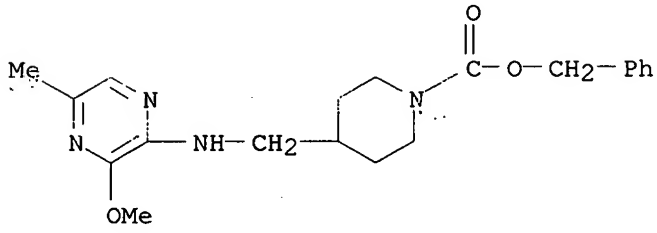
RN 455266-42-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-ethoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455266-43-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-cyano-3-methoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

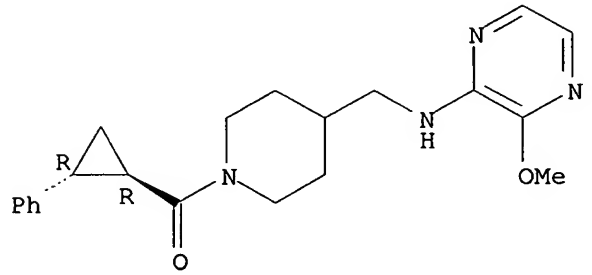


RN 455266-44-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxy-5-methylpyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

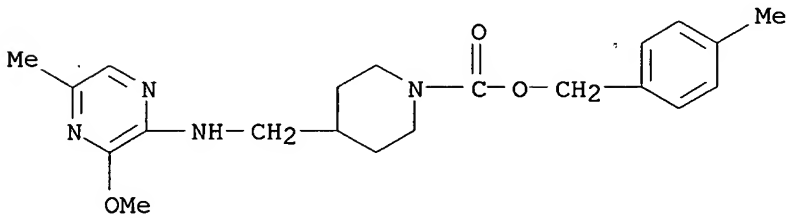


RN 455266-46-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methoxypyrazinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

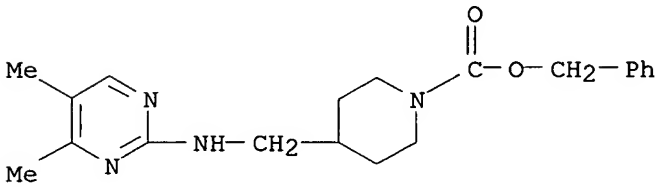
Absolute stereochemistry.



RN 455266-47-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxy-5-methylpyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)

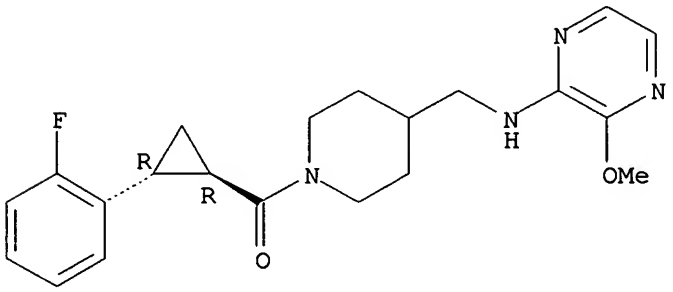


RN 455266-48-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4,5-dimethyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

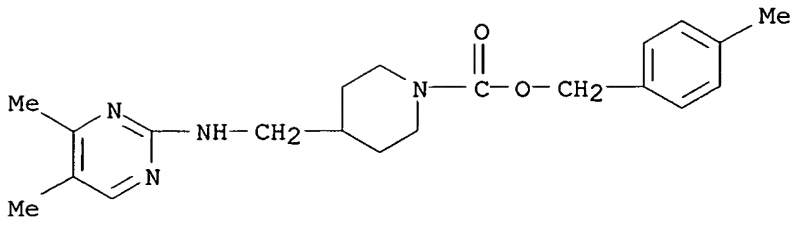


RN 455266-50-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(3-methoxypyrazinyl)- (9CI) (CA INDEX NAME)

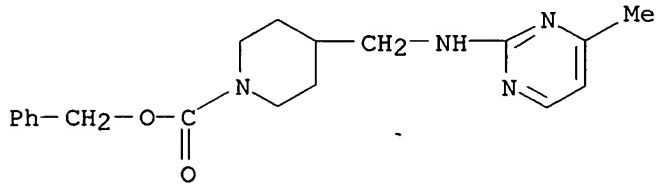
Absolute stereochemistry.



RN 455266-51-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4,5-dimethyl-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)

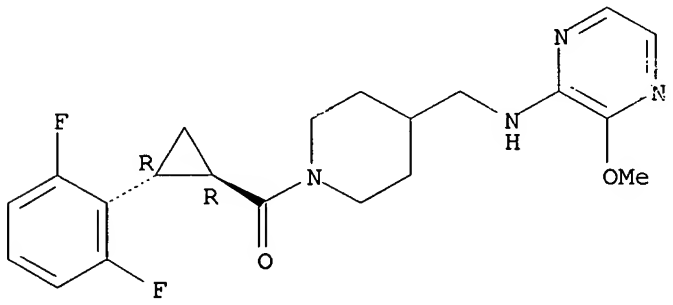


RN 455266-52-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



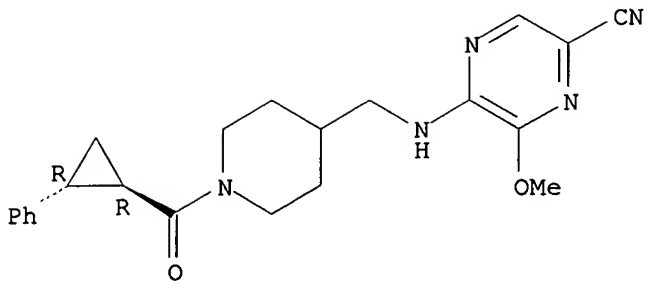
RN 455266-53-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(3-methoxypyrazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



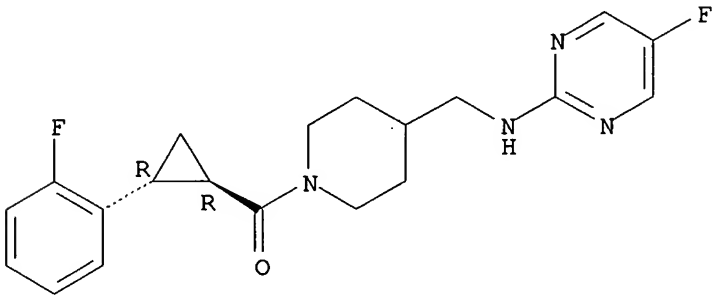
RN 455266-54-3 CAPLUS
CN 4-Piperidinemethanamine, N-(5-cyano-3-methoxypyrazinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



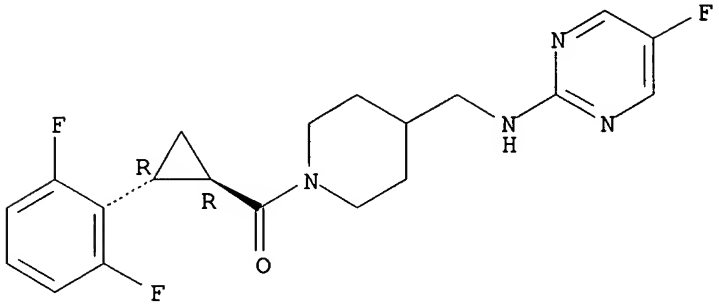
RN 455266-55-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



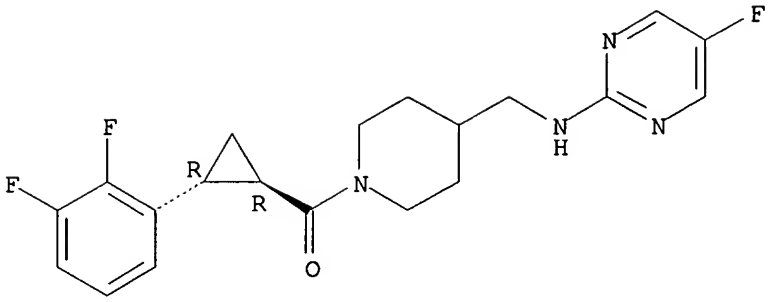
RN 455266-56-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



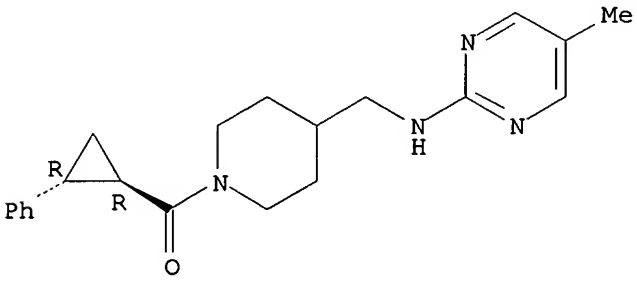
RN 455266-57-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



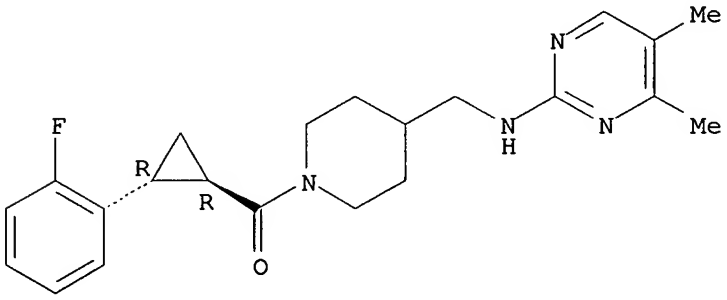
RN 455266-58-7 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



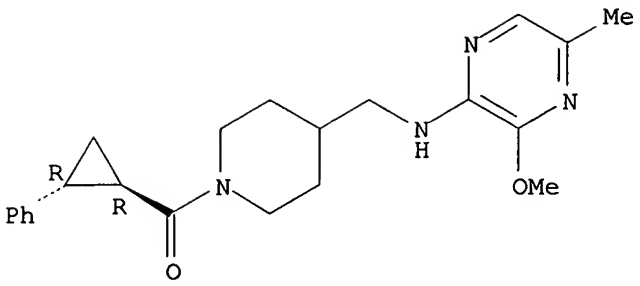
RN 455266-60-1 CAPLUS
CN 4-Piperidinemethanamine, N-(4,5-dimethyl-2-pyrimidinyl)-1-[[(1R,2R)-2-(2-fluorophenyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



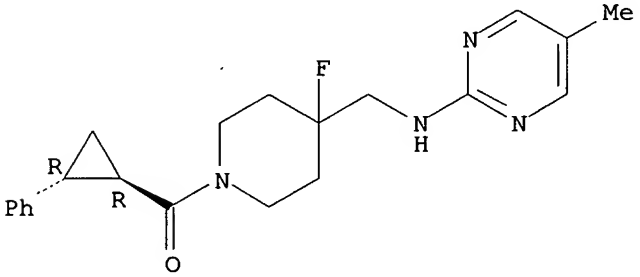
RN 455266-61-2 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methoxy-5-methylpyrazinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



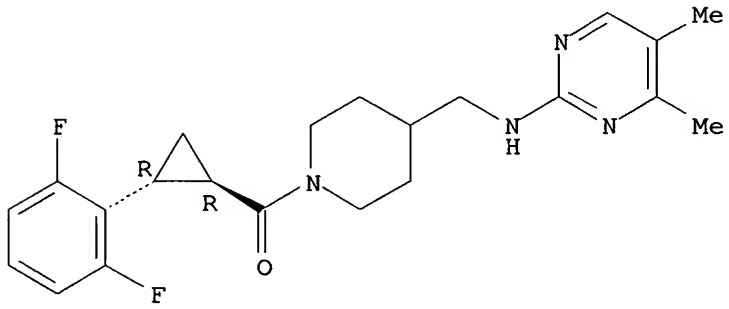
RN 455266-62-3 CAPLUS
CN 4-Piperidinemethanamine, 4-fluoro-N-(5-methyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

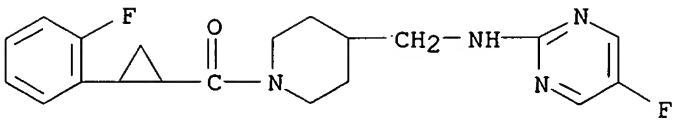


RN 455266-63-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(4,5-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

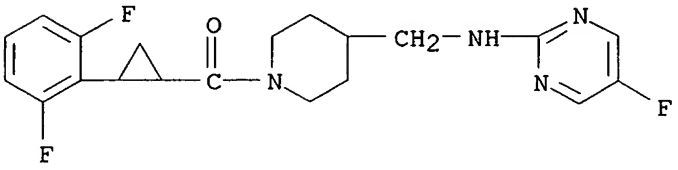
Absolute stereochemistry.



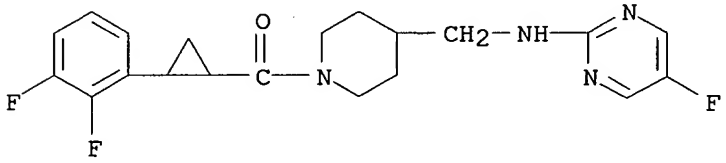
RN 455266-64-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 455266-65-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

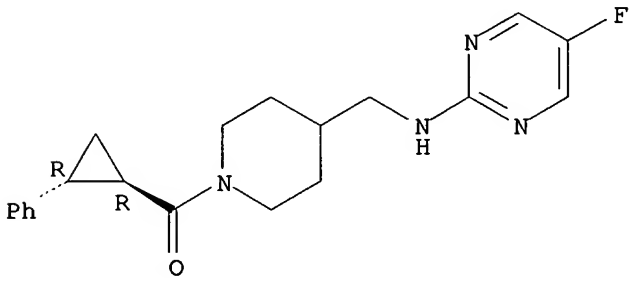


RN 455266-67-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



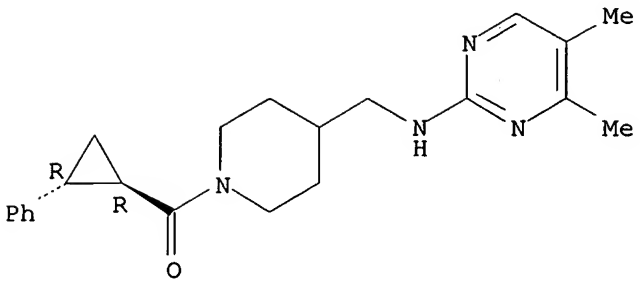
RN 455266-68-9 CAPLUS
CN 4-Piperidinemethanamine, N-(5-fluoro-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



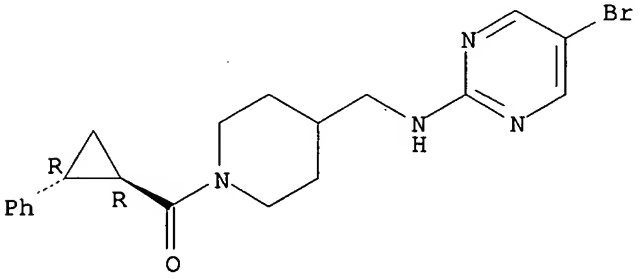
RN 455266-69-0 CAPLUS
CN 4-Piperidinemethanamine, N-(4,5-dimethyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



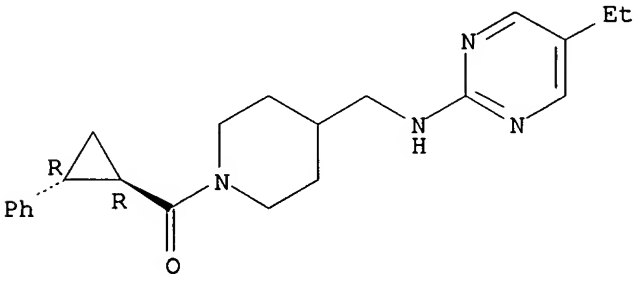
RN 455266-70-3 CAPLUS
CN 4-Piperidinemethanamine, N-(5-bromo-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

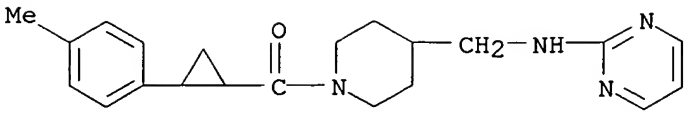


RN 455266-72-5 CAPLUS
CN 4-Piperidinemethanamine, N-(5-ethyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

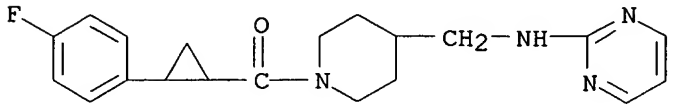
Absolute stereochemistry.



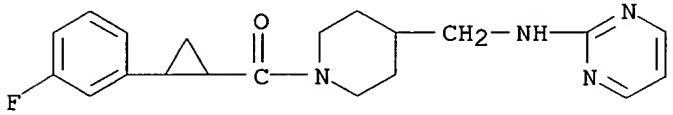
RN 455266-73-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-methylphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



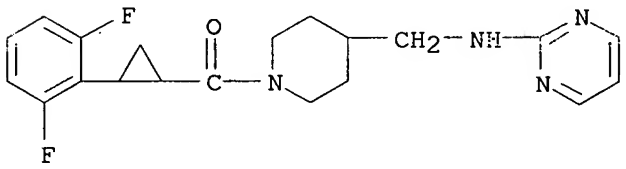
RN 455266-74-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-fluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



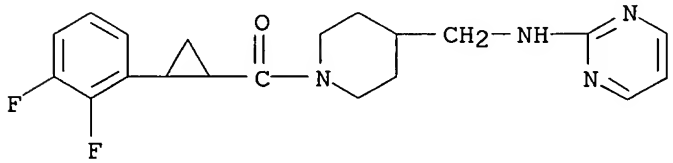
RN 455266-75-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-fluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-76-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

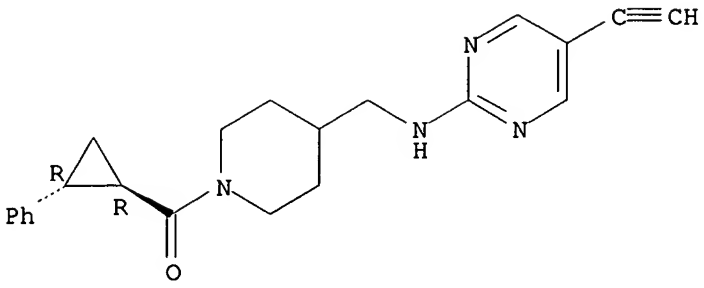


RN 455266-78-1 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



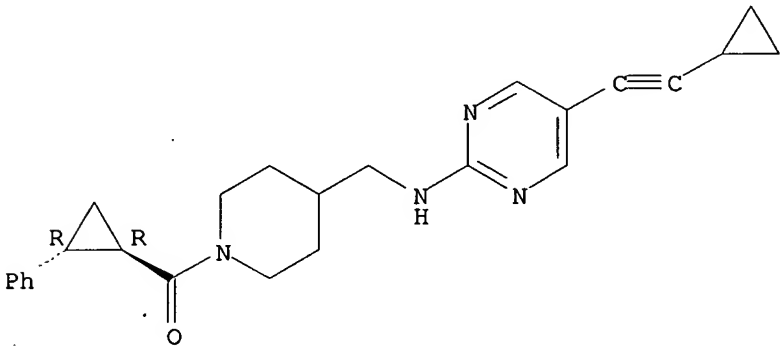
RN 455266-79-2 CAPLUS
CN 4-Piperidinemethanamine, N-(5-ethynyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

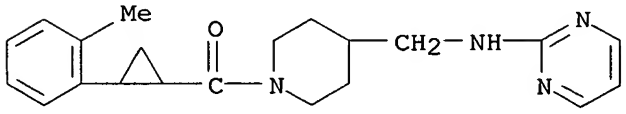


RN 455266-80-5 CAPLUS
CN 4-Piperidinemethanamine, N-[5-(cyclopropylethynyl)-2-pyrimidinyl]-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

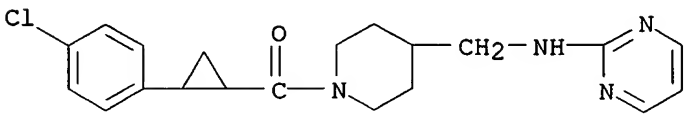
Absolute stereochemistry.



RN 455266-81-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-methylphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

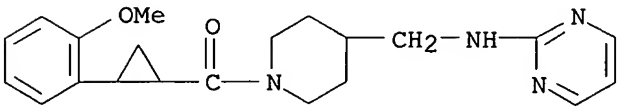


RN 455266-82-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-chlorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

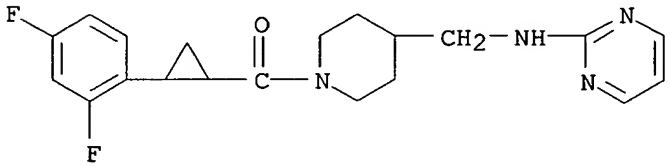


RN 455266-83-8 CAPLUS

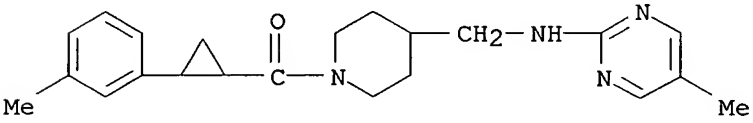
CN 4-Piperidinemethanamine, 1-[[2-(2-methoxyphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-84-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,4-difluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

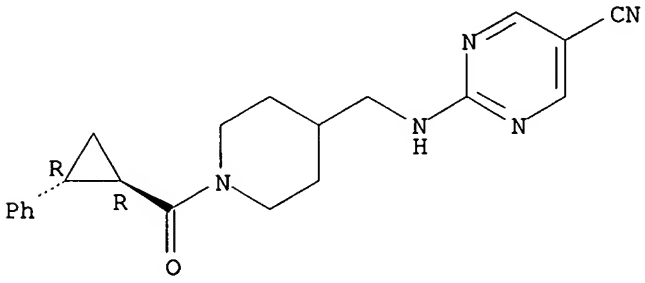


RN 455266-85-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-methylphenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



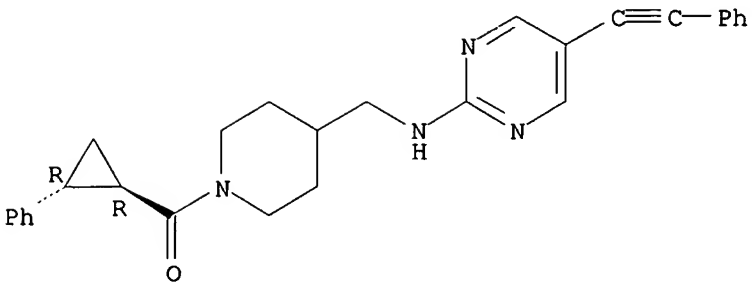
RN 455266-86-1 CAPLUS
CN 4-Piperidinemethanamine, N-(5-cyano-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

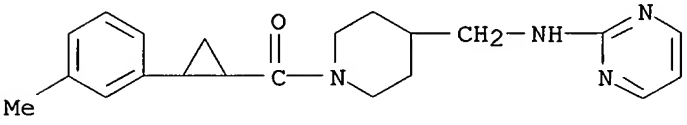


RN 455266-87-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-[5-(phenylethynyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

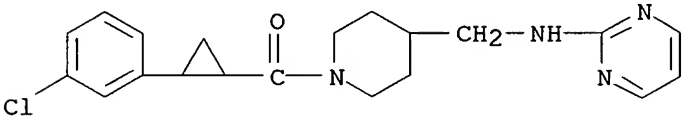
Absolute stereochemistry.



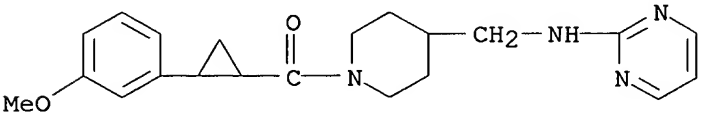
RN 455266-88-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-methylphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



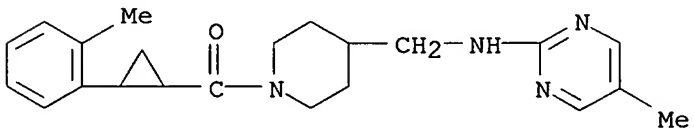
RN 455266-89-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-chlorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-91-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-methoxyphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

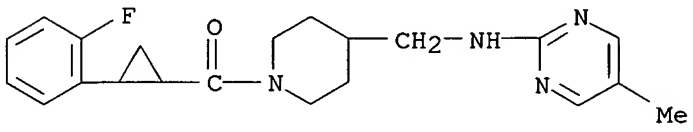


RN 455266-92-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-methylphenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

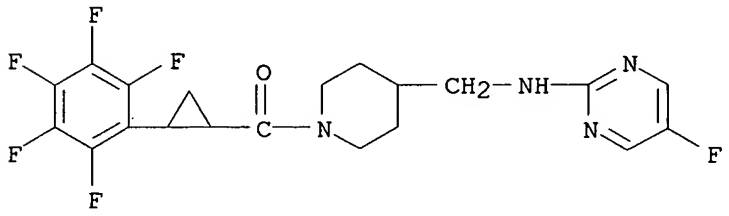


RN 455266-93-0 CAPLUS

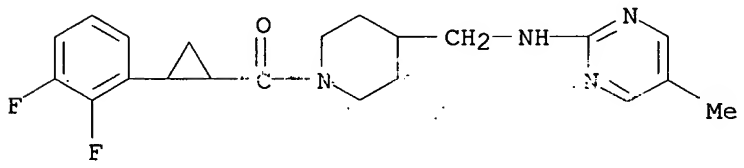
CN 4-Piperidinemethanamine, 1-[[2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



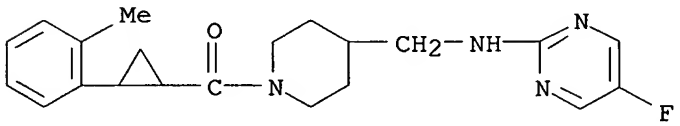
RN 455266-94-1 CAPLUS
CN 4-Piperidinemethanamine, N-(5-fluoro-2-pyrimidinyl)-1-[[2-(pentafluorophenyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)



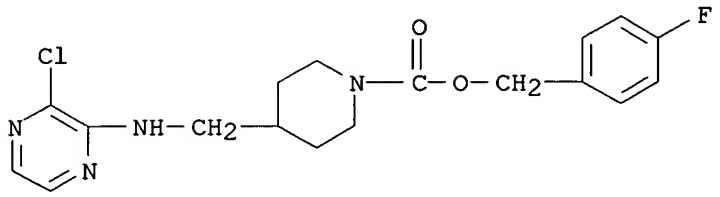
RN 455266-96-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



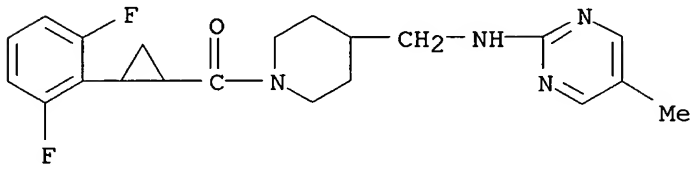
RN 455266-97-4 CAPLUS
CN 4-Piperidinemethanamine, N-(5-fluoro-2-pyrimidinyl)-1-[[2-(2-methylphenyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)



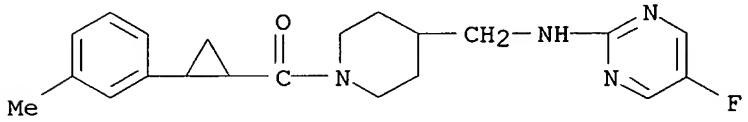
RN 455266-98-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455266-99-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

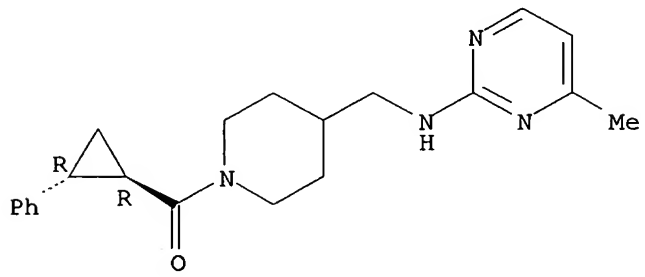


RN 455267-00-2 CAPLUS
CN 4-Piperidinemethanamine, N-(5-fluoro-2-pyrimidinyl)-1-[[2-(3-methylphenyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

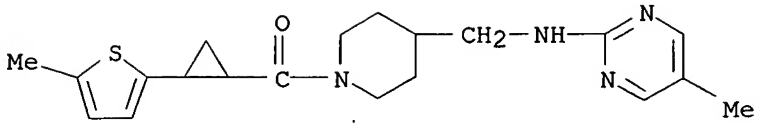


RN 455267-02-4 CAPLUS
CN 4-Piperidinemethanamine, N-(4-methyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

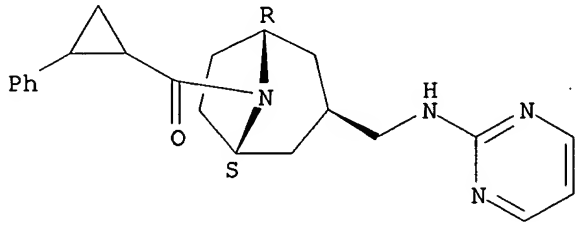


RN 455267-03-5 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-2-pyrimidinyl)-1-[[2-(5-methyl-2-thienyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

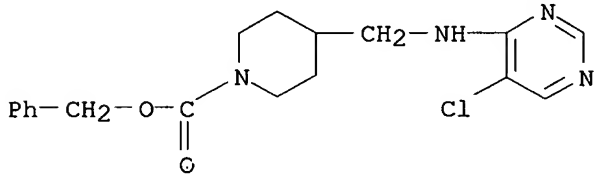


RN 455267-04-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-3-methanamine, 8-[(2-phenylcyclopropyl)carbonyl]-N-2-pyrimidinyl-, (3-exo)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

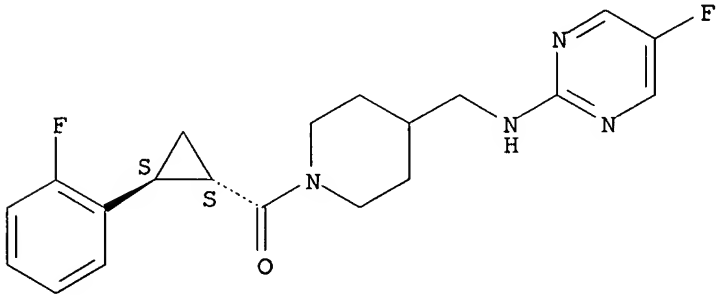


RN 455290-08-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



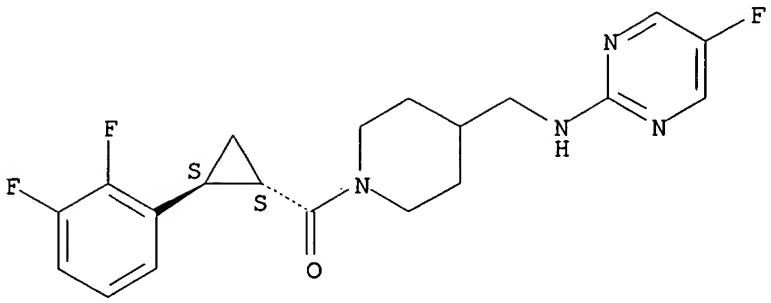
RN 455290-10-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1S,2S)-2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



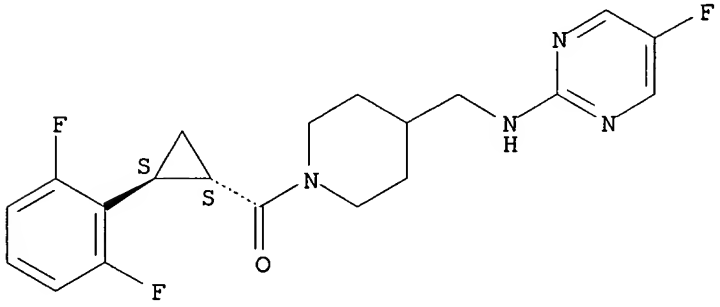
RN 455290-13-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1S,2S)-2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

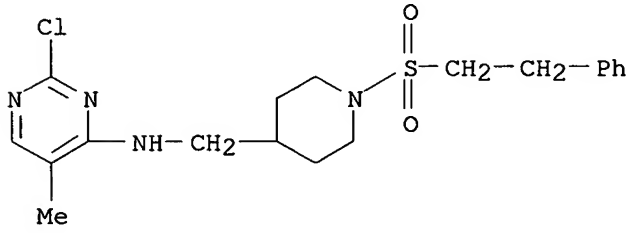


RN 455305-07-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1S,2S)-2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

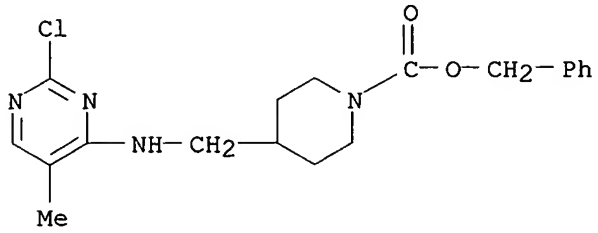


IT **455267-18-2P 455267-73-9P 455267-78-4P**
455267-93-3P 455267-98-8P 455267-99-9P
455268-00-5P 455268-01-6P 455268-04-9P
455268-05-0P 455268-06-1P 455290-15-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455267-18-2 CAPLUS
CN 4-Piperidinemethanamine, N-(2-chloro-5-methyl-4-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

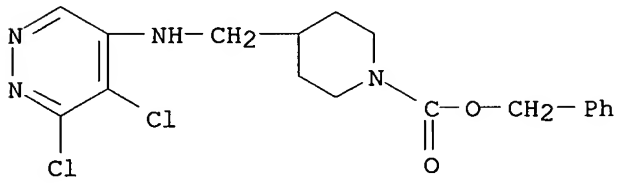


RN 455267-73-9 CAPLUS

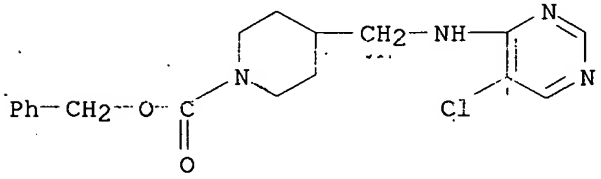
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-78-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5,6-dichloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-93-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

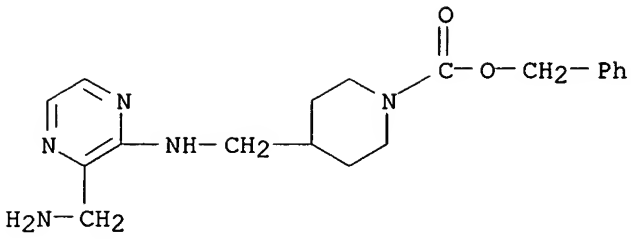


● HCl

RN 455267-98-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

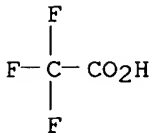
CM 1

CRN 455266-41-8
CMF C19 H25 N5 O2



CM 2

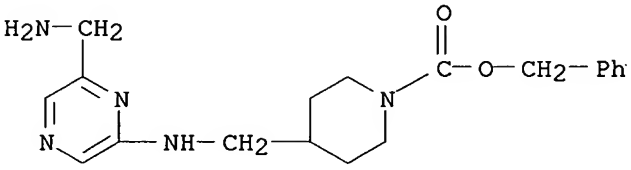
CRN 76-05-1
CMF C2 H F3 O2



RN 455267-99-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

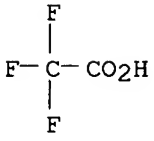
CM 1

CRN 455266-32-7
CMF C19 H25 N5 O2



CM 2

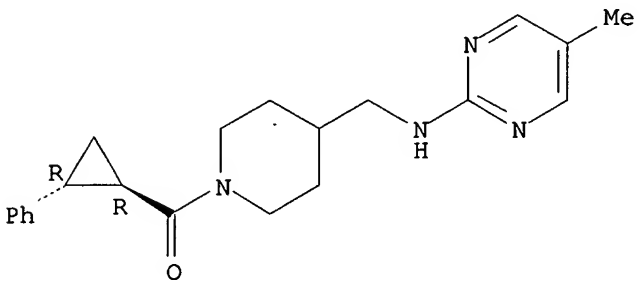
CRN 76-05-1
CMF C2 H F3 O2



RN 455268-00-5 CAPLUS

CN 4-Piperidinemethanamine, N-(5-methyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

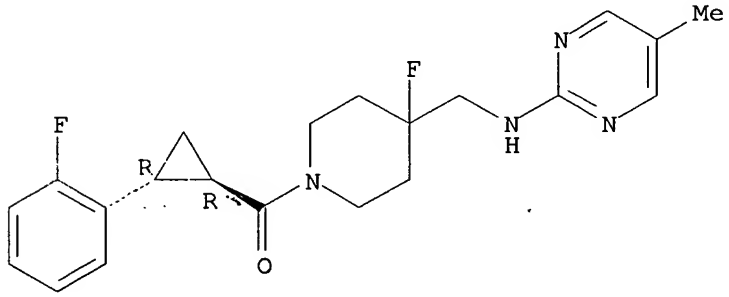
Absolute stereochemistry.



● HCl

RN 455268-01-6 CAPLUS
CN 4-Piperidinemethanamine, 4-fluoro-1-[[(1R,2R)-2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

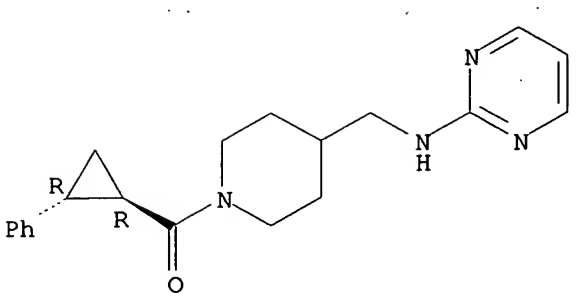


RN 455268-04-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-2-pyrimidinyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

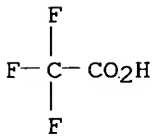
CRN 455268-03-8
CMF C20 H24 N4 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

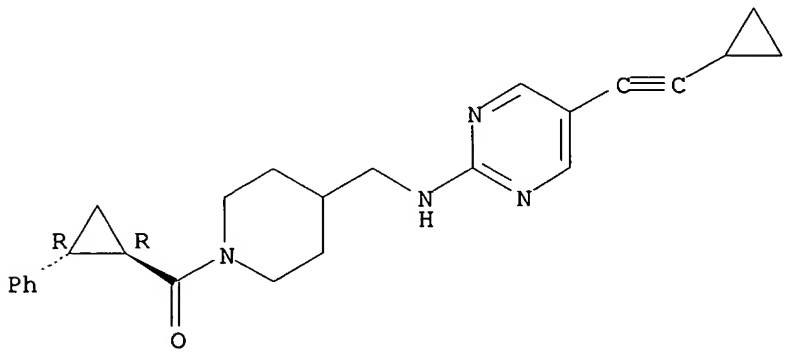


RN 455268-05-0 CAPLUS
CN 4-Piperidinemethanamine, N-[5-(cyclopropylethynyl)-2-pyrimidinyl]-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

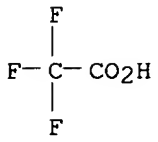
CRN 455266-80-5
CMF C25 H28 N4 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

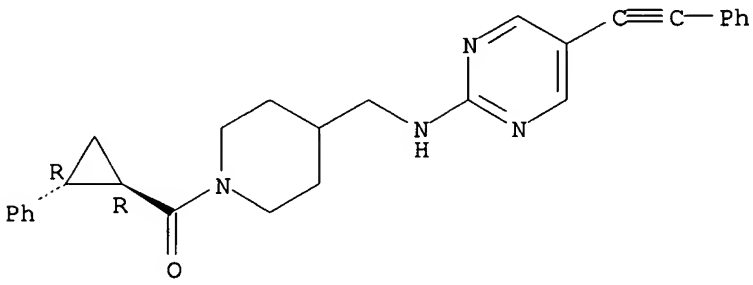


RN 455268-06-1 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-[5-(phenylethynyl)-2-pyrimidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

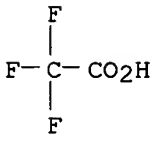
CRN 455266-87-2
CMF C28 H28 N4 O

Absolute stereochemistry.

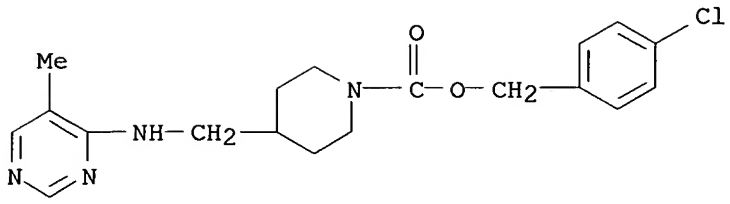


CM 2

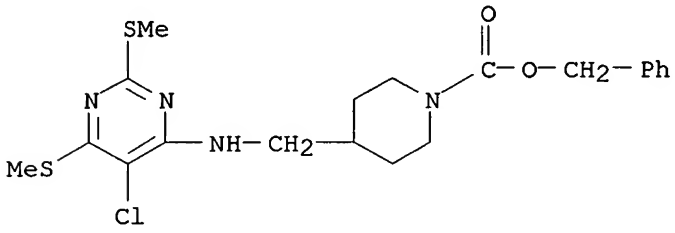
CRN 76-05-1
CMF C2 H F3 O2



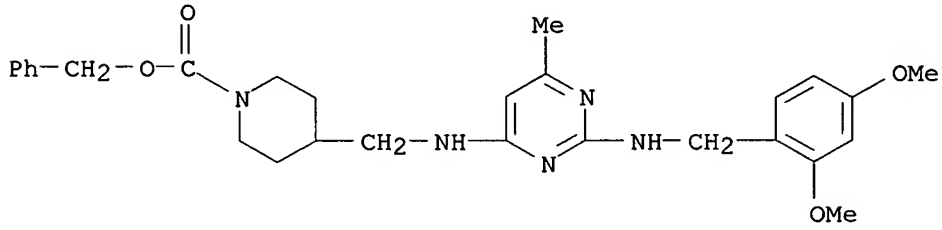
RN 455290-15-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



IT **455267-76-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455267-76-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[5-chloro-2,6-bis(methylthio)-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

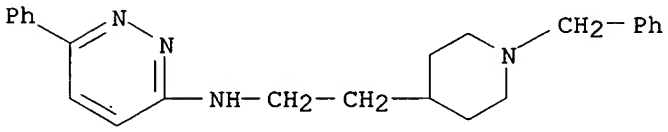


IT **455267-15-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455267-15-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[[(2,4-dimethoxyphenyl)methyl]amino]-6-methyl-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

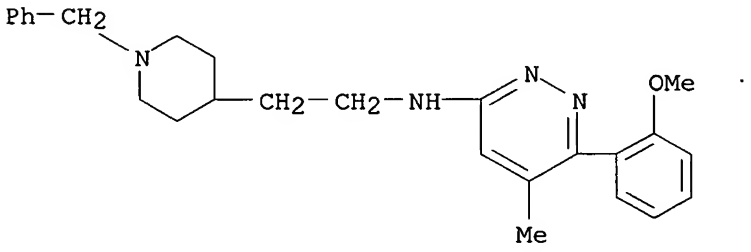


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2002:526638 CAPLUS
DN 137:384804
TI Efficient palladium-catalyzed amination and alkylation of 3-iodo-6-arylpyridazines
AU Parrot, Isabelle; Ritter, Guillaume; Wermuth, Camille G.; Hibert, Marcel
CS Laboratoire de Pharmacochimie de la Communication Cellulaire, UMR 7081 CNRS/ULP, Universite Louis Pasteur, Faculte de Pharmacie, Illkirch, 67401, Fr.
SO Synlett (2002), (7), 1123-1127
CODEN: SYNLES; ISSN: 0936-5214
PB Georg Thieme Verlag
DT Journal
LA English
AB A simple and efficient amination and alkylation of 3-iodo-6-arylpyridazines has been performed using palladium-catalyzed cross coupling reaction. This new route allows access to a wide-ranging series of pharmacol. useful pyridazine derivs.
IT **221196-76-5P 475633-79-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed amination and Suzuki alkylation of iodoarylpyridazines)
RN 221196-76-5 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

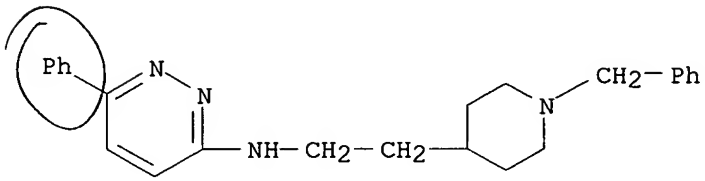


RN 475633-79-5 CAPLUS
CN 3-Pyridazinamine, 6-(2-methoxyphenyl)-5-methyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

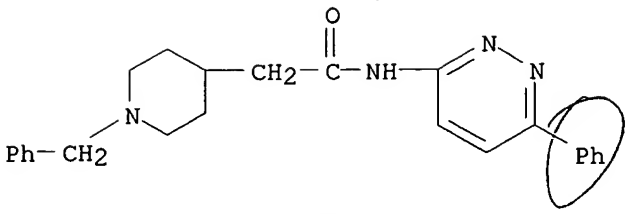


RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

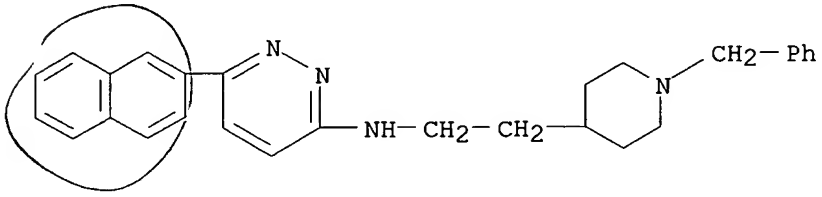
L12 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2002:46469 CAPLUS
DN 137:179359
TI Structure-based 3D QSAR and design of novel acetylcholine-esterase inhibitors
AU Sippl, W.; Contreras, J. M.; Rival, Y.; Wermuth, C. G.
CS Institut fur Pharmazeutische Chemie, Heinrich-Heine-Universitat Dusseldorf, Germany
SO Rational Approaches to Drug Design, Proceedings of the European Symposium on Quantitative Structure-Activity Relationships, 13th, Duesseldorf, Germany, Aug. 27-Sept. 1, 2000 (2001), Meeting Date 2000, 56-64. Editor(s): Hoeltje, Hans-Dieter; Sippl, Wolfgang. Publisher: Prous Science, Barcelona, Spain.
CODEN: 69CEP6; ISBN: 84-8124-176-8
DT Conference
LA English
AB The binding of the developed aminopyridazine derivs. to acetylcholinesterase (AChE) was studied. The availability of crystal structures of AChE sep. complexed with structurally diverse inhibitors makes it possible to establish and analyze a model of the binding site. Using GRID interaction fields and mol. mechanics methodol., the inhibitors binding into the model were obtained and assessed and refine the model. Using a combination of receptor-based alignment and three dimensional (3D) QSAR yielded a considerable and predictive model, indicated by the high cross-correlation coeff. and the low SDEP value. The model was successful both as a generator of design ideas and for the prediction of biol. activities. The availability of the crystal structure of the structurally related inhibitor donepezil confirmed the accuracy in predicting the binding conformation of AChE inhibitors.
IT **221196-76-5 357173-69-4 357173-78-5**
357173-79-6 357173-80-9 357173-81-0
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-based 3D QSAR and design of novel acetylcholinesterase inhibitors)
RN 221196-76-5 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



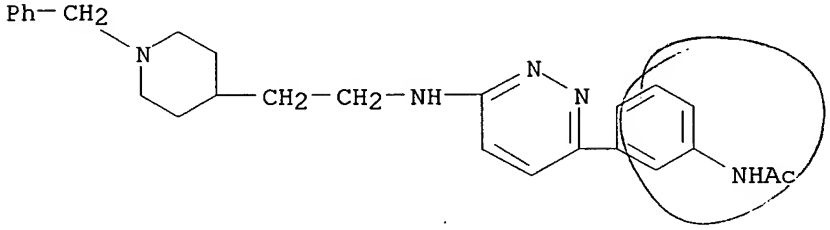
RN 357173-69-4 CAPLUS
CN 4-Piperidineacetamide, 1-(phenylmethyl)-N-(6-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



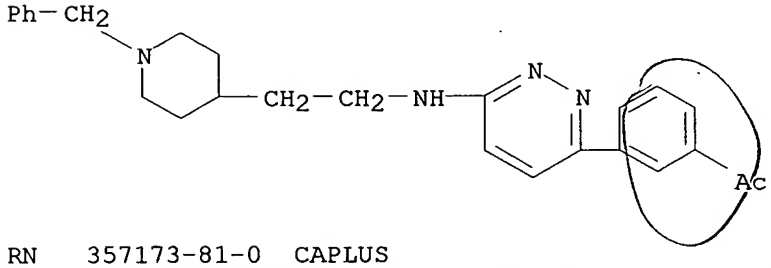
RN 357173-78-5 CAPLUS
CN 3-Pyridazinamine, 6-(2-naphthalenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



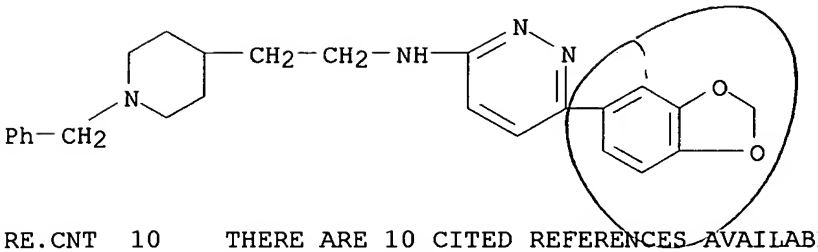
RN 357173-79-6 CAPLUS
CN Acetamide, N-[3-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 357173-80-9 CAPLUS
CN Ethanone, 1-[3-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]phenyl]- (9CI) (CA INDEX NAME)

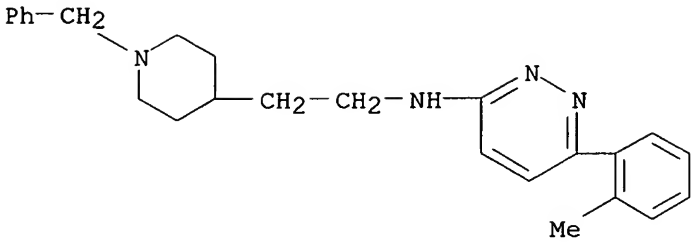


RN 357173-81-0 CAPLUS
CN 3-Pyridazinamine, 6-(1,3-benzodioxol-5-yl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



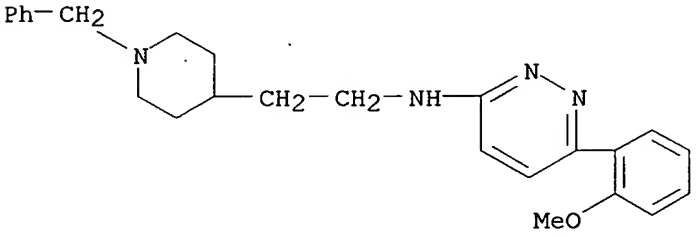
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2001:527783 CAPLUS
DN 135:257207
TI Design, Synthesis, and Structure-Activity Relationships of a Series of 3-[2-(1-Benzylpiperidin-4-yl)ethylamino]pyridazine Derivatives as Acetylcholinesterase Inhibitors
AU Contreras, Jean-Marie; Parrot, Isabelle; Sippl, Wolfgang; Rival, Yveline M.; Wermuth, Camille G.
CS Laboratoire de Pharmacochimie de la Communication Cellulaire, UMR 7081 du CNRS Universite Louis Pasteur Faculte de Pharmacie, Illkirch, 67401, Fr.
SO Journal of Medicinal Chemistry (2001), 44(17), 2707-2718
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:257207
AB Starting from 3-[2-(1-benzylpiperidin-4-yl)ethylamino]-6-phenylpyridazine (I), a series of pyridazine analogs, e.g. the [(piperidinylethyl)amino]pyridazines II [R = Ph, R1, R2 = H, Me, Et, Pr, Me2CH; R1 = R2 = H, R = H, Ph, Cl, MeO, 2-MeC6H4, 2-EtC6H4, 2-ClC6H4, 2-naphthyl, 3-(AcNH)C6H4, 3-AcC6H4, 4-FC6H4, 2-thienyl, 3-pyridinyl] and the tricyclic phenylpyridazines III (n = 0, 1, 2, 3) were prepd. and their AChE inhibiting structure-activity relationships were detd. Structural modifications were achieved on four different parts of I and showed that introduction of a lipophilic environment at C-5 of the pyridazine ring was favorable for AChE-inhibitory activity and AChE/BuChE selectivity, that substitution and various replacements of the C-6 Ph group are possible and led to equiv. or slightly more active derivs., and that isosteric replacements or modifications of the benzylpiperidine moiety were detrimental to the activity. III (n = 1) was the most potent inhibitor with an IC50 of 10 nM on elec. eel AChE. Compared to I, this represents a 12-fold increase in potency. Moreover, II (R = Ph, R1 = Me, R2 = H), which showed an IC50 of 21 nM, was 100-times more selective for human AChE (human BuChE/AChE ratio of 24) than the ref. compd. tacrine.
IT **242802-90-0 242802-91-1 242802-92-2**
242802-93-3 242802-94-4 361979-69-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. and structure-activity relationships of [(benzylpiperidinyl)ethylamino]pyridazines and their analogs with acetylcholinesterase inhibiting activity)
RN 242802-90-0 CAPLUS
CN 3-Pyridazinamine, 6-(2-methylphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



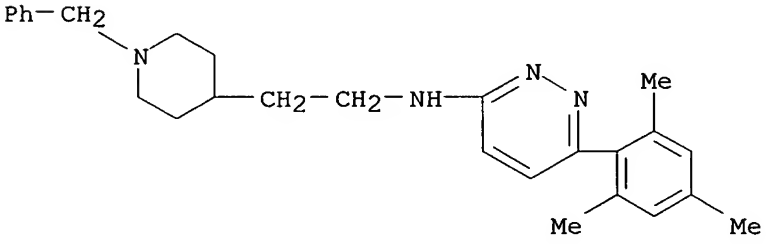
●2 HCl

RN 242802-91-1 CAPLUS
CN 3-Pyridazinamine, 6-(2-methoxyphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



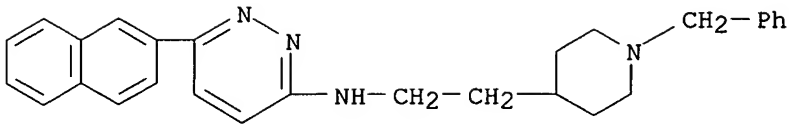
●2 HCl

RN 242802-92-2 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-6-(2,4,6-trimethylphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



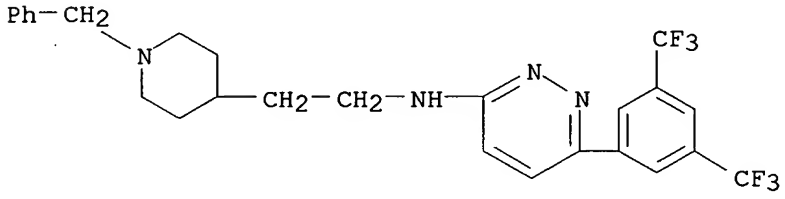
●2 HCl

RN 242802-93-3 CAPLUS
CN 3-Pyridazinamine, 6-(2-naphthalenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



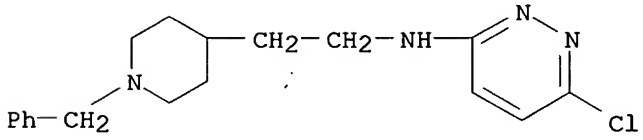
●2 HCl

RN 242802-94-4 CAPLUS
CN 3-Pyridazinamine, 6-[3,5-bis(trifluoromethyl)phenyl]-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



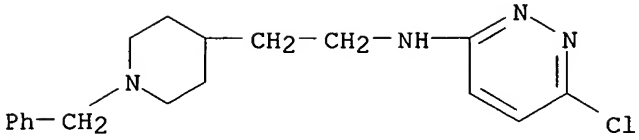
●2 HCl

RN 361979-69-3 CAPLUS
CN 3-Pyridazinamine, 6-chloro-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



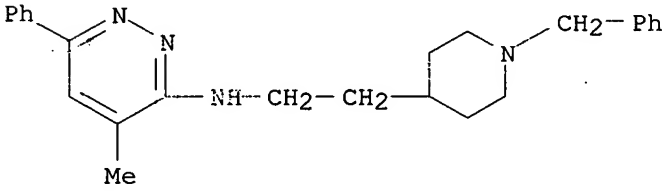
●2 HCl

IT **242802-89-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(prepn. and structure-activity relationships of [(benzylpiperidinyl)ethylamino]pyridazines and their analogs with acetylcholinesterase inhibiting activity)
RN 242802-89-7 CAPLUS
CN 3-Pyridazinamine, 6-chloro-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

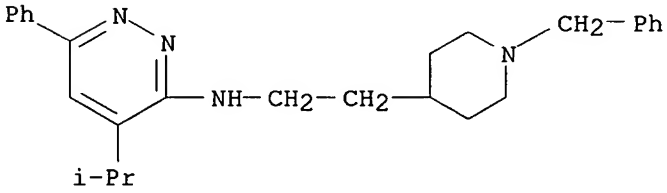


IT **357173-49-0P 357173-50-3P 357173-51-4P**
357173-52-5P 357173-53-6P 357173-56-9P
357173-57-0P 357173-59-2P 357173-62-7P
357173-66-1P 357173-69-4P 357173-79-6P
357173-80-9P 357173-81-0P 361979-31-9P
361979-32-0P 361979-33-1P 361979-34-2P
361979-35-3P 361979-41-1P 361979-47-7P
361979-48-8P 361979-49-9P 361979-50-2P
361979-51-3P 361979-52-4P 361979-53-5P
361979-54-6P 361979-55-7P 361979-56-8P
361979-57-9P 361979-58-0P 361979-59-1P
361979-60-4P 361979-61-5P 361979-64-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structure-activity relationships of [(benzylpiperidinyl)ethylamino]pyridazines and their analogs with acetylcholinesterase inhibiting activity)

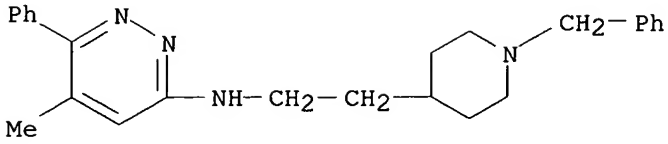
RN 357173-49-0 CAPLUS
CN 3-Pyridazinamine, 4-methyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



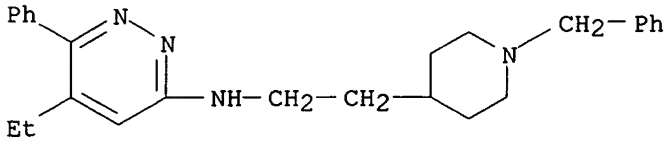
RN 357173-50-3 CAPLUS
CN 3-Pyridazinamine, 4-(1-methylethyl)-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



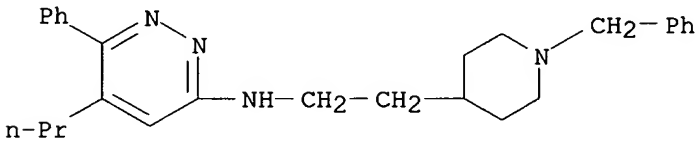
RN 357173-51-4 CAPLUS
CN 3-Pyridazinamine, 5-methyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



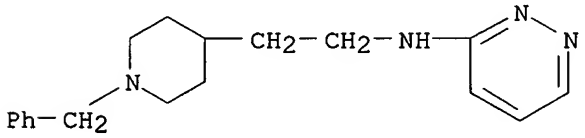
RN 357173-52-5 CAPLUS
CN 3-Pyridazinamine, 5-ethyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



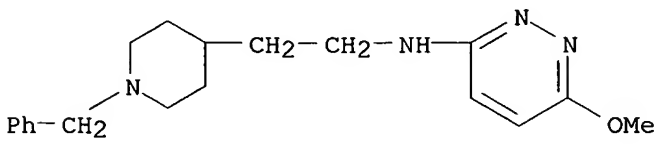
RN 357173-53-6 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-5-propyl- (9CI) (CA INDEX NAME)



RN 357173-56-9 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

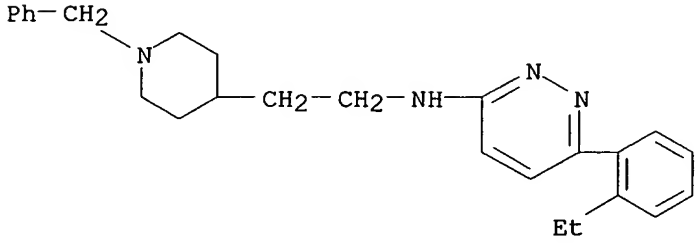


RN 357173-57-0 CAPLUS
CN 3-Pyridazinamine, 6-methoxy-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

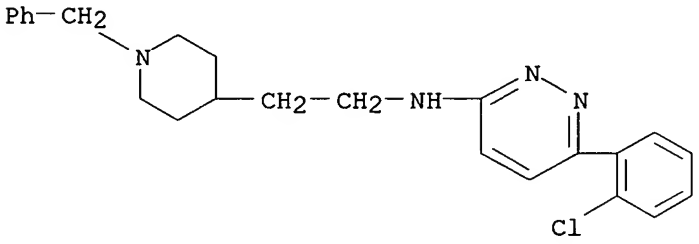


RN 357173-59-2 CAPLUS

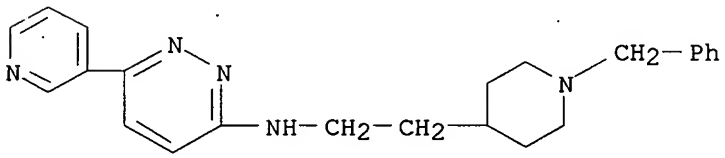
CN 3-Pyridazinamine, 6-(2-ethylphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



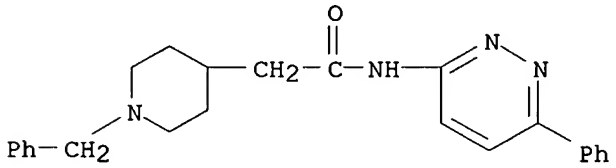
RN 357173-62-7 CAPLUS
CN 3-Pyridazinamine, 6-(2-chlorophenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



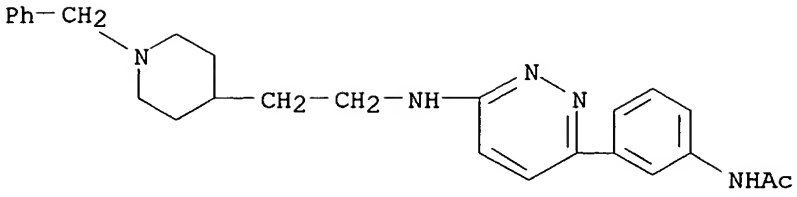
RN 357173-66-1 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



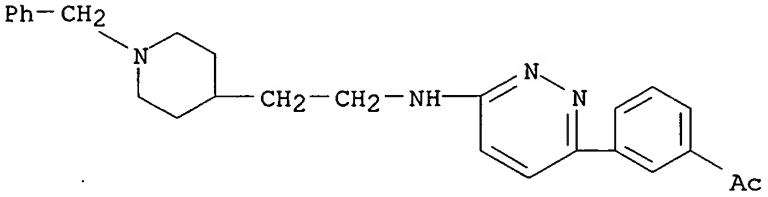
RN 357173-69-4 CAPLUS
CN 4-Piperidineacetamide, 1-(phenylmethyl)-N-(6-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



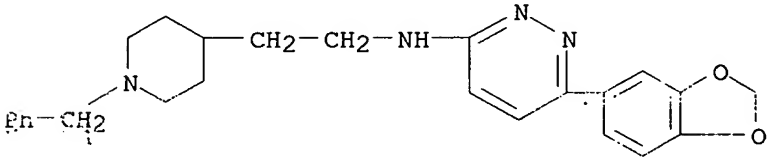
RN 357173-79-6 CAPLUS
CN Acetamide, N-[3-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]phenyl]- (9CI) (CA INDEX NAME)



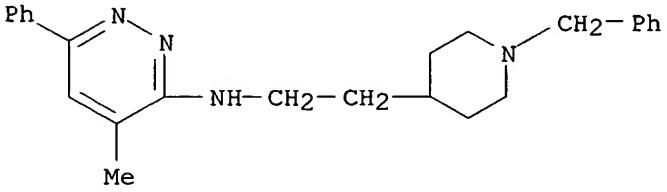
RN 357173-80-9 CAPLUS
CN Ethanone, 1-[3-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 357173-81-0 CAPLUS
CN 3-Pyridazinamine, 6-(1,3-benzodioxol-5-yl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



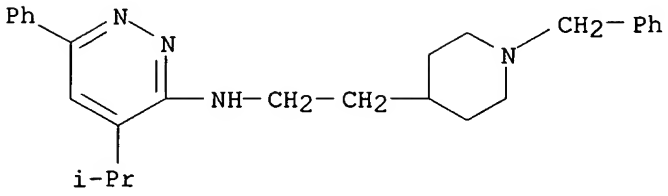
RN 361979-31-9 CAPLUS
CN 3-Pyridazinamine, 4-methyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

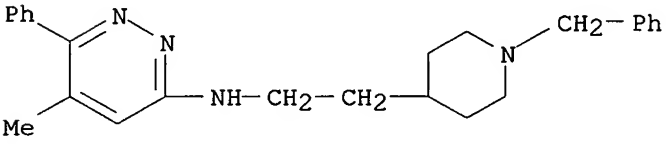
RN 361979-32-0 CAPLUS
CN 3-Pyridazinamine, 4-(1-methylethyl)-6-phenyl-N-[2-[1-(phenylmethyl)-4-

piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



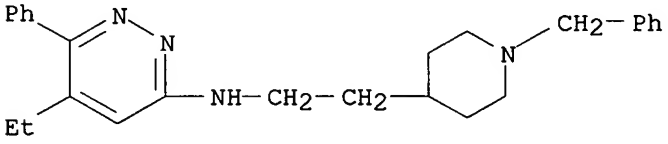
●2 HCl

RN 361979-33-1 CAPLUS
CN 3-Pyridazinamine, 5-methyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



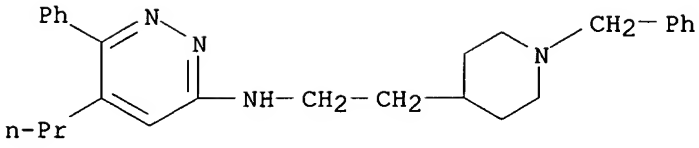
●2 HCl

RN 361979-34-2 CAPLUS
CN 3-Pyridazinamine, 5-ethyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



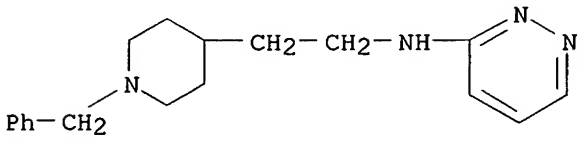
●2 HCl

RN 361979-35-3 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-5-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



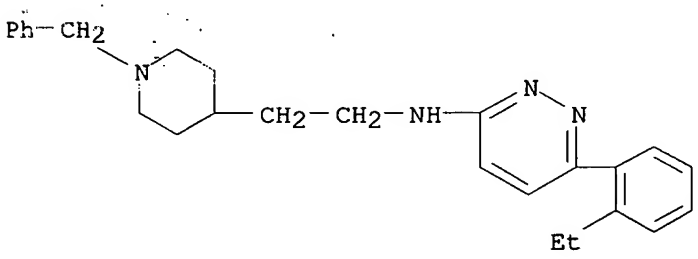
●2 HCl

RN 361979-41-1 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



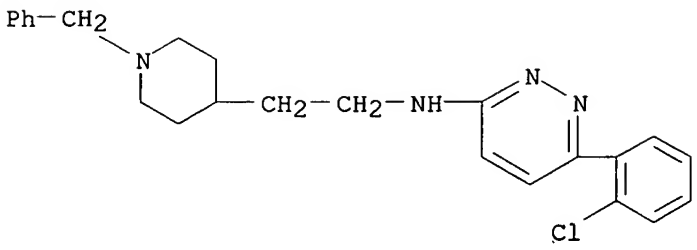
●2 HCl

RN 361979-47-7 CAPLUS
CN 3-Pyridazinamine, 6-(2-ethylphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



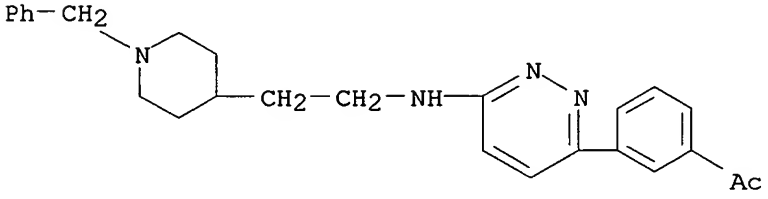
●2 HCl

RN 361979-48-8 CAPLUS
CN 3-Pyridazinamine, 6-(2-chlorophenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



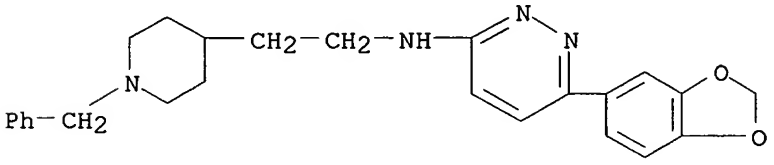
●2 HCl

RN 361979-49-9 CAPLUS
CN Ethanone, 1-[3-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



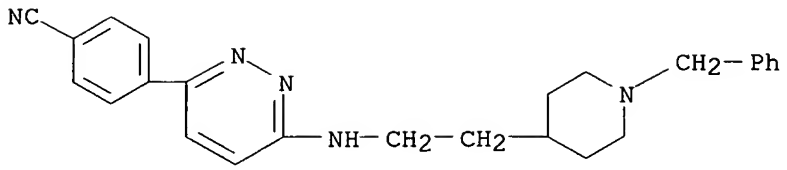
●2 HCl

RN 361979-50-2 CAPLUS
CN 3-Pyridazinamine, 6-(1,3-benzodioxol-5-yl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

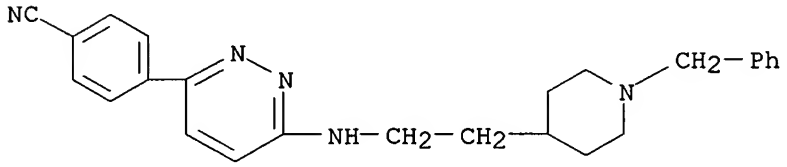


●2 HCl

RN 361979-51-3 CAPLUS
CN Benzonitrile, 4-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]- (9CI) (CA INDEX NAME)

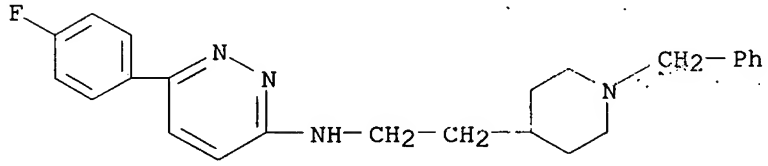


RN 361979-52-4 CAPLUS
CN Benzonitrile, 4-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

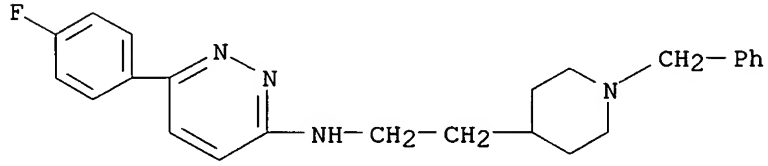


●2 HCl

RN 361979-53-5 CAPLUS
CN 3-Pyridazinamine, 6-(4-fluorophenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



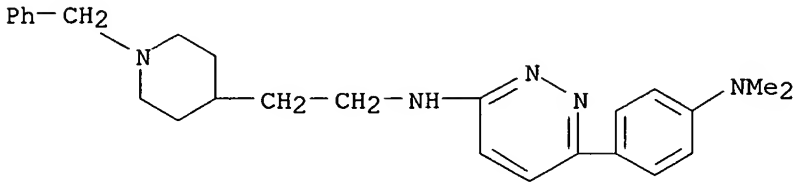
RN 361979-54-6 CAPLUS
CN 3-Pyridazinamine, 6-(4-fluorophenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



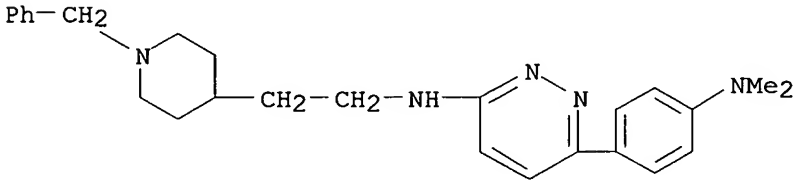
●2 HCl

RN 361979-55-7 CAPLUS
CN 3-Pyridazinamine, 6-[4-(dimethylamino)phenyl]-N-[2-[1-(phenylmethyl)-4-

piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

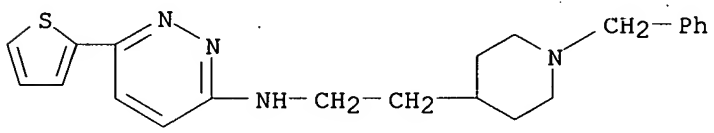


RN 361979-56-8 CAPLUS
CN 3-Pyridazinamine, 6-[4-(dimethylamino)phenyl]-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

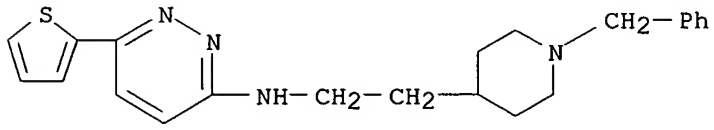


●3 HCl

RN 361979-57-9 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-6-(2-thienyl)- (9CI) (CA INDEX NAME)

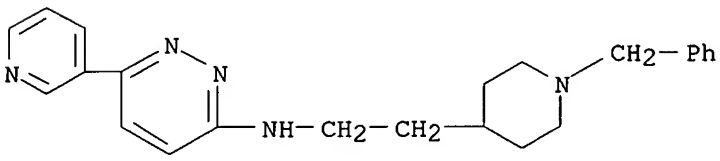


RN 361979-58-0 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-6-(2-thienyl)-, dihydrochloride (9CI) (CA INDEX NAME)



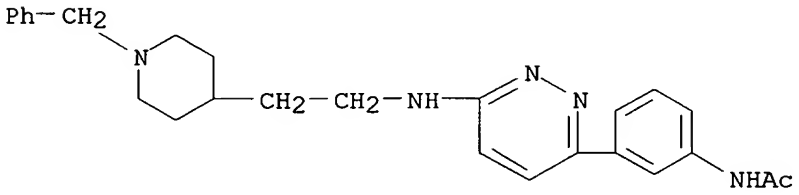
●2 HCl

RN 361979-59-1 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-6-(3-pyridinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



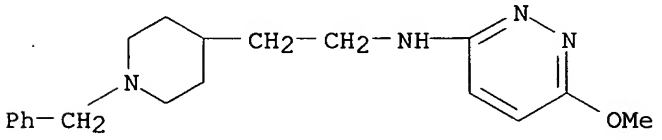
●3 HCl

RN 361979-60-4 CAPLUS
CN Acetamide, N-[3-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



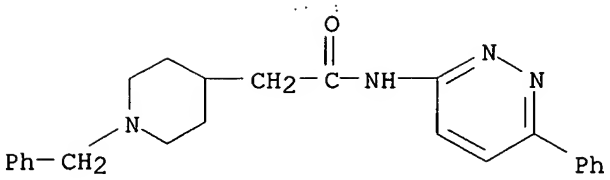
●2 HCl

RN 361979-61-5 CAPLUS
CN 3-Pyridazinamine, 6-methoxy-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 361979-64-8 CAPLUS
CN 4-Piperidineacetamide, 1-(phenylmethyl)-N-(6-phenyl-3-pyridazinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2001:435072 CAPLUS
DN 135:46188
TI Substituted pyridazines having cytokine inhibitory activity
IN Mcintyre, Charles J.; Liverton, Nigel J.; Claremon, David A.
PA Merck + Co., Inc., USA
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001042241	A1	20010614	WO 2000-US33097	20001207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1240160	A1	20020918	EP 2000-986274	20001207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI US 1999-170319P	P	19991213		
WO 2000-US33097	W	20001207		

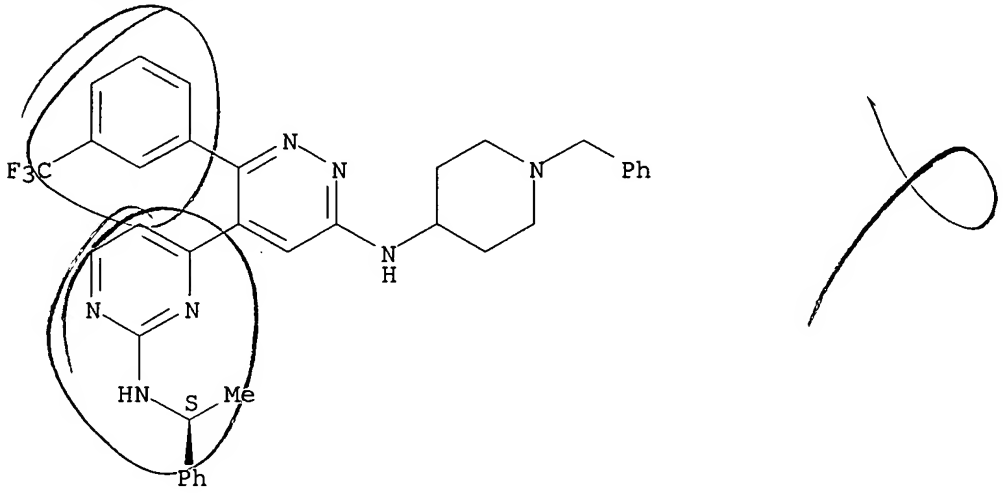
OS MARPAT 135:46188
AB Pyridazines I [A is halogen, Ph, PhS(:O)m (m = 0-2), or R5R6N; R1 is H, alkylamino, or (un)substituted arylamino; R2, R3, R4 are independently halogen, hydroxy, F3C, amino, nitro, (C1-C6)alkyl, (C1-C6)alkoxy, (C3-C8)cycloalkyl, Ph; R5 and R6 are independently hydrogen, alkoxy-, (un)substituted amino-, and (un)substituted phenyl-substituted (or unsubstituted) (C1-C6)alkyl or R5R6 = (C4-C10)(un)substituted (mono- or bicyclic)heterocycle; Q is CH or N] are prepd. as inhibitors or antagonists of the formation and activity of cytokines such as interleukin-1.beta. (IL-1.beta.), IL-6, and IL-8 for the treatment of cytokine mediated diseases and conditions such as inflammation, arthritis, sepsis and septic shock, osteoporosis, bone resorption diseases, and Crohn's disease. E.g., the dihydrochloride of I [A = Me2NCH2CH2NH; R1 = (S)-PhCH(Me)NH; R2 = 3-F3C; R3 = R4 = H] (II) was prepd. by amidation of 3-trifluoromethylbenzoyl chloride with N-methoxymethylamine, displacement of the amide with 2-(methylthio)-4-pyrimidinylmethyllithium, alkylation of the ketone with Me bromoacetate, hydrolysis of the ester with hydrogen chloride in dioxane, addn. and cyclization of the acid and ketone moieties with hydrazine, oxidn. of the methylthio group to the pyrimidinyl Me sulfone with sodium tungstate and hydrogen peroxide, addn. of (S)-.alpha.-methylbenzylamine to the pyrimidinyl sulfone with substitution to give the pyrimidinamine, oxidn. of the cyclic hydrazone to the hydroxypyridazine with DDQ, and chlorination of the hydroxypyridazine with phosphorus oxychloride to give I [A = Cl; R1 = (S)-PhCH(Me)NH; R2 = 3-F3C; R3 = R4 = H], a key intermediate in the prepn. of the claimed pyridazines. E.g., treatment of I [A = Cl; R1 = (S)-PhCH(Me)NH; R2 = 3-F3C; R3 = R4 = H] with 2-(dimethylamino)ethylamine and heating at 100.degree. gave II as the free base which was converted to the hydrochloride by treatment with 1N HCl. No biol. data is provided.
IT **344464-78-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridazine derivs. as inhibitors of cytokine formation and activity for the treatment of cytokine-mediated diseases such as arthritis)

RN 344464-78-4 CAPLUS

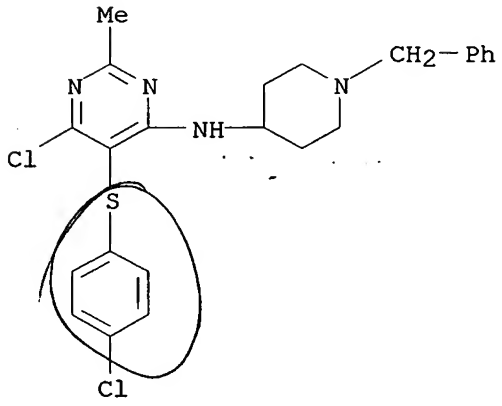
CN 3-Pyridazinamine, 5-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-N-[1-(phenylmethyl)-4-piperidinyl]-6-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2001:321154 CAPLUS
DN 135:175184
TI Conformational analysis of tandospirone in aqueous solution lead evolution of potent dopamine D4 receptor ligands
AU Nishimura, T.; Igarashi, J.; Sunagawa, M.
CS Sumitomo Pharmaceuticals Research Division, Konohanaku, Osaka, 554-0022, Japan
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(9), 1141-1144
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB The significant contribution of folded conformation (I) of the anxiolytic tandospirone in aq. soln. was verified by dynamic 1H NMR. A structurally rigid mimic of I was designed and synthesized to evaluate the implication of I towards neuroleptic receptor binding. The designed structures provided a new rigid scaffold for dopamine D4 ligands.
IT **204642-63-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(conformational anal. of tandospirone in aq. soln., lead generation and prepn. of dopamine D4 receptor ligands)
RN 204642-63-7 CAPLUS
CN 4-Pyrimidinamine, 6-chloro-5-[(4-chlorophenyl)thio]-2-methyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



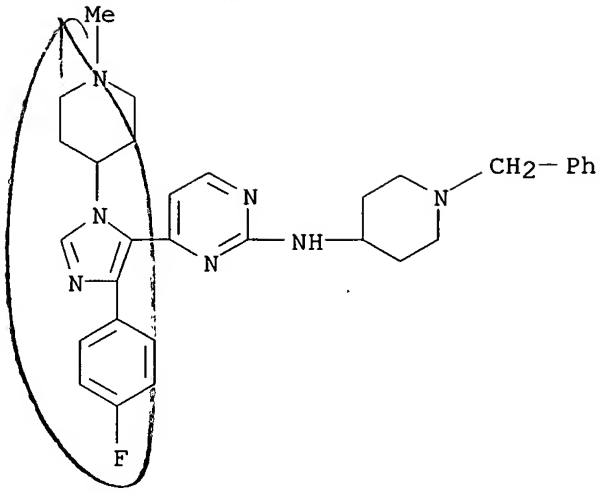
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2001:278036 CAPLUS
DN 134:295821
TI Imidazole compounds useful as cytokine inhibitors.
IN Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Osifo, Irennegbe Kelly; Boehm, Jeffrey Charles
PA Smithkline Beecham Corporation, USA
SO U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 636,779, fabandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6218537	B1	20010417	US 1998-973594	19980513
	ZA 9604723	A	19970617	ZA 1996-4723	19960606
	WO 9640143	A1	19961219	WO 1996-US10039	19960607

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI US 1995-473396 A2 19950607
US 1996-636779 B2 19960419
WO 1996-US10039 W 19960607
OS CASREACT 134:295821; MARPAT 134:295821
AB Novel 1,4,5-trisubstituted imidazole compds. I and their compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl, all bearing a substituted amino group, plus an optional addnl. substituent; R2 = alkyl, N3, heterocyclyl, alk(en/yn)yl, haloalkyl, etc.; R4 = (un)substituted Ph, 1- or 2-naphthyl, heteroaryl]. I are useful for treating a variety of cytokine-mediated diseases, particularly those mediated by CSBP/RK/p38 kinase, and may also be useful as antivirals (no data). For example, 2-(methylthio)pyrimidine-4-carboxaldehyde (prepn. given) was condensed with 4-amino-1-methylpiperidine-2HCl to give the imine (98%), which was cyclized with the tosylmethyl isocyanide deriv. 4-FC6H4CH(Tos)N.tplbond.C (50%) to give imidazole deriv. II [R = SMe]. This underwent S-oxidn. with K persulfate to give 83% II [R = S(O)Me], which was condensed with PhCH2NH2 (82%) to give title compd. II [R = NHCH2Ph].
IT **186314-81-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of imidazole derivs. as cytokine inhibitors)
RN 186314-81-8 CAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

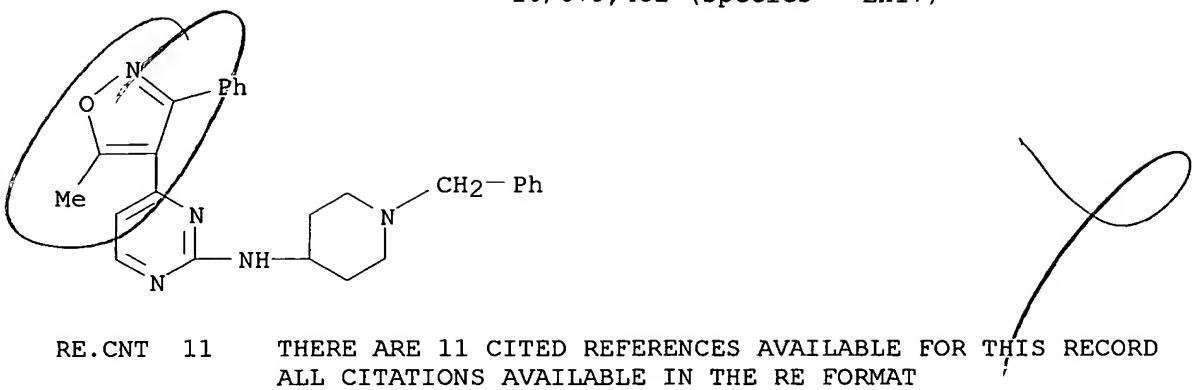


RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2001:137207 CAPLUS
DN 134:178569
TI Preparation of as isoxazolylpyrimidines and related compounds as inhibitors of c-JUN N-terminal kinases and other protein kinases.
IN Green, Jeremy; Bemis, Guy; Grillot, Anne-Laure; Ledeboer, Mark; Salituro, Francis; Harrington, Edmund; Gao, Huai; Baker, Christopher; Cao, Jingrong; Hale, Michael
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001012621	A1	20010222	WO 2000-US22445	20000811
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1218369	A1	20020703	EP 2000-957485	20000811
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	NO 2002000713	A	20020412	NO 2002-713	20020212
PRAI	US 1999-148795P	P	19990812		
	US 1999-166922P	P	19991122		
	US 2000-211517P	P	20000614		
	WO 2000-US22445	W	20000811		

OS MARPAT 134:178569
AB Title compds. [I; XYZ = NOCR2, ON:CR2, N:NNR3, OC(R2):CR2, NN(R3)CR2; W = H, CONH2, TnR, TnAr2; R = (substituted) aliphatyl; n = 0, 1; T = CO, CO2, CONH, SO2, SO2NH, COCH2, CH2; R2 = H, R, CH2OR, CH2OH, CHO, CH2SR, CH2SO2R, CH2NH2, CH2CN, (substituted) aryl, arylmethyl, heterocyclyl, heterocyclylmethyl, etc.; R3 = H, R, COR, CO2R, SO2R; G = R, Ar1; Ar1 = (substituted) (fused) aryl, aralkyl, heterocyclyl; Q = Q1, Q2; A = N, CR3; U = CR3, O, S, NR3; Ar2 = (substituted) (fused) aryl, heterocyclyl], were prepd. Thus, 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylamine (prepn. given) was refluxed with PhBr, tris(dibenzylideneacetone)dipalladium, BINAP, and NaOCMe3 were refluxed together for 16 h to give 36% 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylphenylamine. Several I inhibited KNK3 at <0.1 .mu.M.
IT **326819-56-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of as isoxazolylpyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)
RN 326819-56-1 CAPLUS
CN 2-Pyrimidinamine, 4-(5-methyl-3-phenyl-4-isoxazolyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

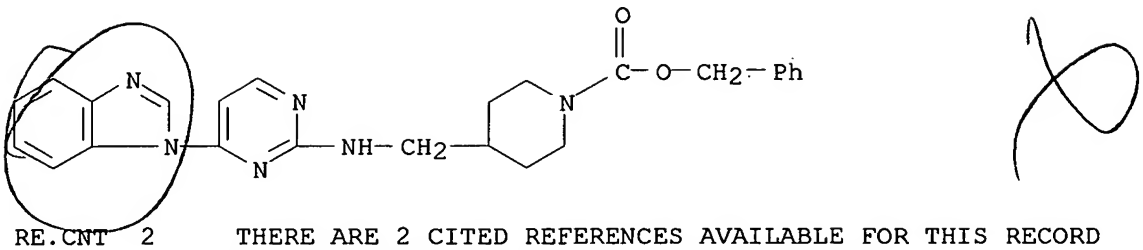


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2001:12267 CAPLUS
DN 134:71602
TI Preparation and effect of benzimidazolylpyrimidine derivatives as SRC kinase inhibitorss
IN Goulet, Joung L.; Holmes, Mark A.; Hunt, Julianne A.; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Zaller, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

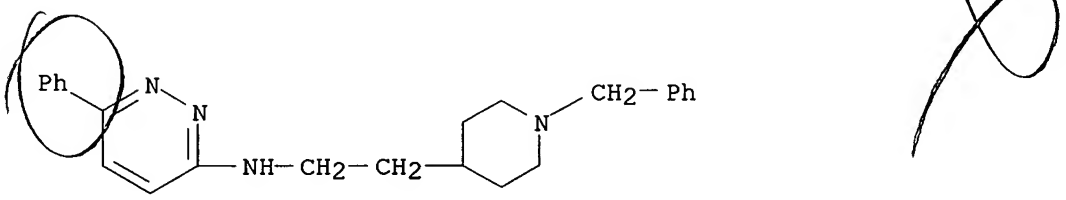
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001000207	A1	20010104	WO 2000-US17510	20000626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6329380	B1	20011211	US 2000-603688	20000626
EP 1206260	A1	20020522	EP 2000-953637	20000626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003503351	T2	20030128	JP 2001-505916	20000626
PRAI US 1999-141630P	P	19990630		
WO 2000-US17510	W	20000626		

OS MARPAT 134:71602
AB Title Pyrimidine compds. [I; R1, R2 independently = H, Br, Cl, I, F, OH, SH, CN, NO2, NH2; R1R2 ; fused methylenedioxy ring, fused 6-membered arom. ring; R3, R5 independently = H, alkyl, aryl; R3R5 = O; R4 = H, alkyl, alkoxyl; X1, X2, X3, X4 independently = CH, CBr, COH, CSH, CNO2, N; R7 = H, NH2, alkyl, aryl, alkylamino, arylamino; Y = O, N, CH; Z = CO, SO2, bond; m, n independently = 0, 1, 2, 3, 4], or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same, which are inhibitors of tyrosine kinase enzymes, and as such are useful in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. Thus, the title compd. II was prepd. and tested.
IT **315717-39-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of benzimidazolylpyrimidine derivs. as SRC kinase inhibitors)
RN 315717-39-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2000:882953 CAPLUS
DN 135:40387
TI Comparative molecular field analysis of aminopyridazine acetylcholinesterase inhibitors
AU Sippl, Wolfgang; Contreras, Jean-Marie; Rival, Yveline; Wermuth, Camille G.
CS Institut fur Pharmazeutische Chemie, Heinrich-Heine-Universitat, Dusseldorf, D-40225, Germany
SO Molecular Modeling and Prediction of Bioactivity, [Proceedings of the European Symposium on Quantitative Structure-Activity Relationships: Molecular Modeling and Prediction of Bioactivity], 12th, Copenhagen, Denmark, Aug. 23-28, 1998 (2000), Meeting Date 1998, 53-58. Editor(s): Gundertofte, Klaus; Jorgensen, Flemming Steen. Publisher: Kluwer Academic/Plenum Publishers, New York, N. Y.
CODEN: 69ASO3
DT Conference
LA English
AB A study was conducted in which the combination of ligand- and receptor-based models has been successfully applied to a set of aminopyridazine derivs. with acetylcholinesterase inhibitor activities. Highly predictive and robust models were obtained using a manually and an automated detd. inhibitor-alignment. Besides the good predictivity, the models are also in close agreement with the known three-dimensional structure of the enzyme. The use of crystallog. data in the detn. of the relative orientation of the studied inhibitors as an alignment tool is strongly supported by the results.
IT **221196-76-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative mol. field anal. of aminopyridazine acetylcholinesterase inhibitors)
RN 221196-76-5 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

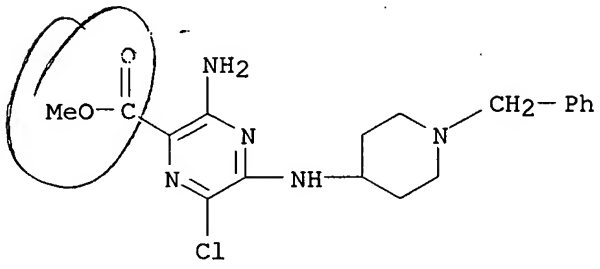


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2000:855763 CAPLUS
DN 134:29423
TI Preparation of [(quinazolinylpiperidinyl)amino]benzoates and analogs as bactericides
IN Kung, Pei-Pei; Cook, Phillip Dan; Guinosso, Charles John
PA Isis Pharmaceuticals, Inc., USA
SO U.S., 22 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6156758	A	20001205	US 1999-391843	19990908
PRAI US 1999-391843		19990908		

OS MARPAT 134:29423
AB RZ(NR4)nZCO2R1 [I; R = (un)substituted 2-quinazolinyl; R1 = OH, (ar)alkoxy, aryloxy, etc.; R4 = H, alkyl, acyl; Z = piperidine- or piperazine-1,4-diyl; Z1 = (un)substituted 1,4-phenylene, -pyridine-2,5- or -5,2-diyl, -pyrazine-2,5-diyl; n = 0 or 1] were prepd. Thus, Me 3-amino-5,6-dichloro-2-pyrazinecarboxylate was condensed with 1-protected-4-aminopiperidine and the deprotected product condensed with 4-amino-2-chloro-6,7-dimethoxyquinazoline to give title compd. II. Data for biol. activity of I were given.
IT **253192-21-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of [(quinazolinylpiperidinyl)amino]benzoates and analogs as bactericides)
RN 253192-21-1 CAPLUS
CN Pyrazinecarboxylic acid, 3-amino-6-chloro-5-[[1-(phenylmethyl)-4-piperidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

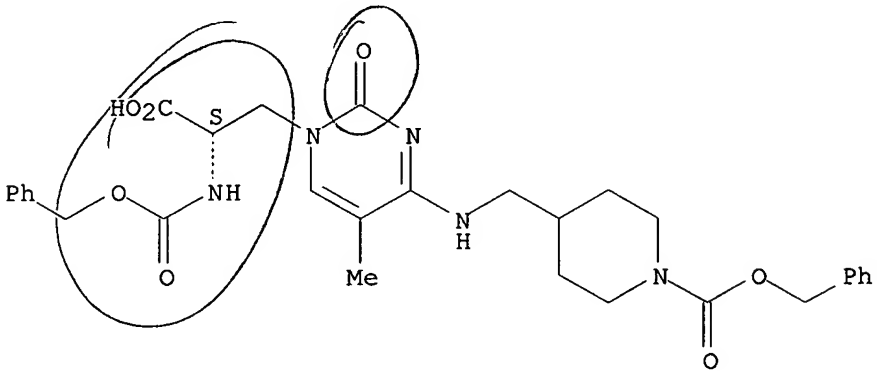
L12 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2000:742067 CAPLUS
DN 133:309900
TI Preparation of oxopyrimidinealkanoates and analogs as integrin receptor ligands
IN Zechel, Johann-Christian; Kling, Andreas; Geneste, Herve; Lange, Udo; Lauterbach, Arnulf; Graef, Claudia Isabella; Subkowski, Thomas; Sadowski, Jens; Hornberger, Wilfried
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 301 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 9

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000061551	A2	20001019	WO 2000-EP2746	20000329
WO 2000061551	A3	20001228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19916719	A1	20001019	DE 1999-19916719	19990413
DE 19962998	A1	20010712	DE 1999-19962998	19991224
EP 1171435	A2	20020116	EP 2000-920612	20000329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009739	A	20020409	BR 2000-9739	20000329
JP 2002541243	T2	20021203	JP 2000-610827	20000329
BG 105979	A	20020628	BG 2001-105979	20011004
NO 2001004961	A	20011107	NO 2001-4961	20011012
PRAI DE 1999-19916719	A	19990413		
DE 1999-19962998	A	19991224		
WO 2000-EP2746	W	20000329		

OS MARPAT 133:309900
AB BGUT [B = a structural element contg. .gtoreq.1 atom capable of forming a H-bond under physiol. conditions (sic); G = (un)substituted divalent oxopyrimidine group I; T = CO2H or a group hydrolizable to CO2H; U = bond, (heteroatom-interrupted)(oxo)alkylene, (hetero)arylene, etc.] were prepd. as integrin receptor ligands (no data). Thus, ROCCH(NHCbz)CH2NH2 (R = resin) was cyclocondensed with R1CH:CMeCSNHCO2Et (prepn. given) to give a resin-bound oxothioxopyrimidine which was treated with BrCN and the product condensed with 1-(2-pyridinyl)piperidine-4-methanamine (prepn. given) to give, after resin cleavage, title compd. II.
IT **302340-01-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxopyrimidinealkanoates and analogs as integrin receptor ligands)
RN 302340-01-8 CAPLUS
CN 1(2H)-Pyrimidinepropanoic acid, 5-methyl-2-oxo-.alpha.-[[(phenylmethoxy)carbonyl]amino]-4-[[[1-[(phenylmethoxy)carbonyl]-4-

piperidinyl]methyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2000:725459 CAPLUS
DN 133:296373
TI Preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine modulators of chemokine receptor activity
IN Caldwell, Charles; Chapman, Kevin; Hale, Jeffrey; Kim, Dooseop; Lynch, Christopher; Maccoss, Malcolm; Mills, Sander G.; Willoughby, Christopher; Berk, Scott; Kim, Ronald M.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000059498	A1	20001012	WO 2000-US9074	20000405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6498161	B1	20021224	US 2000-543019	20000404
PRAI US 1999-128172P	P	19990406		

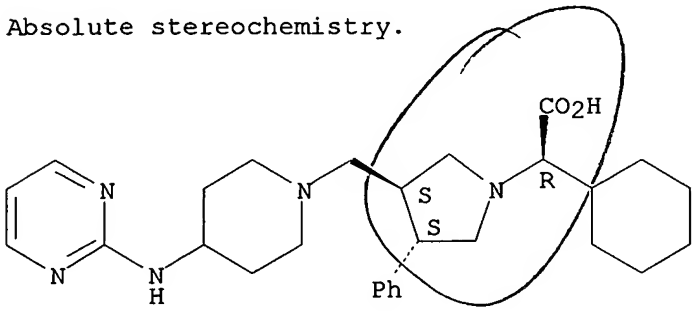
OS MARPAT 133:296373
AB The title compds. (I) [wherein R1 = CO2H, NO2, tetrazolyl, hydroxyisoxazole, SO2NH(alkyl)R9, or PO3H2; R9 = H, (cyclo)alkyl, benzyl, or (un)substituted phenyl; R2 = (un)substituted piperidinyl, tetrahydropyridinyl, piperazinyl, or 1-oxa-8-azaspiro[4.5]decyl; R3 = (un)substituted Ph or heterocyclyl; R4 = H or (un)substituted alkyl, (alkyl)cycloalkyl, alkenyl, alkynyl, Ph, alkylphenyl, naphthyl, biphenyl, heterocyclyl, cyclohexenyl, etc.; R5 and R6 = independently H or (un)substituted alkyl; or R4 and R5 may be joined together to form an (un)substituted C3-8 cycloalkyl ring; n = 1-3] were prepd. as modulators of chemokine receptors, esp. the chemokine receptors CCR-5 and/or CCR-3. For example, 2-(R)-((3-(R)-formyl)-4-(S)-3-fluorophenylpyrrolidinyl-1-yl)-3-cyclobutanepropionic acid benzyl ester (prepn. given) was treated with Pd/C and dissolved in ClCH2CH2Cl. 4-[N-(pyrimid-2-yl)-N-(prop-1-yl)amino]piperidine.bul.HCl (4-step prepn. given), NaBH(OAc)3, and TEA were added, followed by di-tert-butyldicarbonate, to give II. I showed binding activity to the CCR-5 or the CCR-3 receptor, generally with IC50 values of < 1 .mu.M. The present invention is directed to compds. which inhibit the entry of human immunodeficiency virus (HIV) into target cells and are of value in the prevention and treatment of HIV infection and the resulting AIDS syndrome (no data). The invention is further directed to compds. which are useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders, including asthma, allergic rhinitis, dermatitis, conjunctivitis, rheumatoid arthritis, and atherosclerosis (no data).
IT **301223-22-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine chemokine

receptor modulators by reaction of 3-phenyl-4-formylpyrrolidines with heterocycles)

RN 301223-22-3 CAPLUS

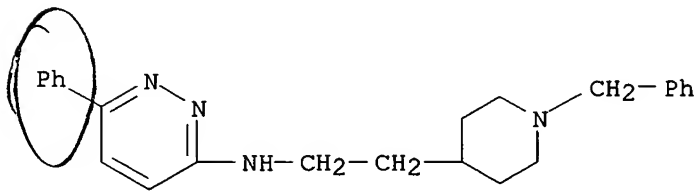
CN 1-Pyrrolidineacetic acid, .alpha.-cyclohexyl-3-phenyl-4-[[4-(2-pyrimidinylamino)-1-piperidinyl]methyl]-, (.alpha.R,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2000:343284 CAPLUS
DN 133:144467
TI Structure-based 3D-QSAR-merging the accuracy of structure-based alignments with the computational efficiency of ligand-based methods
AU Sippl, W.; Holtje, H.-D.
CS Institute for Pharmaceutical Chemistry, Heinrich-Heine-University at Dusseldorf, Dusseldorf, D-40225, Germany
SO THEOCHEM (2000), 503(1-2), 31-50
CODEN: THEODJ; ISSN: 0166-1280
PB Elsevier Science B.V.
DT Journal
LA English
AB One of the major challenges in computational approaches to drug design is the accurate prediction of binding affinity of biomols. The strategies that can be applied for this purpose fall into two major categories-the indirect ligand-based and the direct receptor-based approach. In this contribution, we used a combination of both approaches in order to improve the prediction accuracy for drug mols. The combined approach was tested on two sets of ligands for which the three-dimensional structure of the target receptor was known-estrogen receptor ligands and acetylcholinesterase inhibitors. The binding modes of the ligands under study were detd. using an automated docking program (AutoDock) and were compared with available X-ray structures of corresponding protein-ligand complexes. The ligand alignments obtained from the docking simulations were subsequently taken as the basis for a comparative field anal. applying the grid/golpe program. Using the interaction field derived with a water probe and applying the smart region definition variable selection, highly predictive models were obtained. The comparison of our models with interaction energy-based models and with traditional CoMFA models obtained using a ligand-based alignment indicates that the combination of structure-based and 3D-QSAR methods is able to improve the prediction ability of the underlying model.
IT **221196-76-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure-based 3D-QSAR-merging the accuracy of structure-based alignments with the computational efficiency of ligand-based methods)
RN 221196-76-5 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2000:241135 CAPLUS
DN 132:279106
TI Non-peptide GnRH agents, methods and intermediates for their preparation
IN Anderson, Mark Brian; Vazir, Haresh N.; Luthin, David Robert; Paderes, Genevieve Deguzman; Pathak, Ved P.; Christie, Lance Christopher; Hong, Yufeng; Tompkins, Eileen Valenzuela; Li, Haitao; Faust, James
PA Agouron Pharmaceuticals, Inc., USA; et al.
SO PCT Int. Appl., 444 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

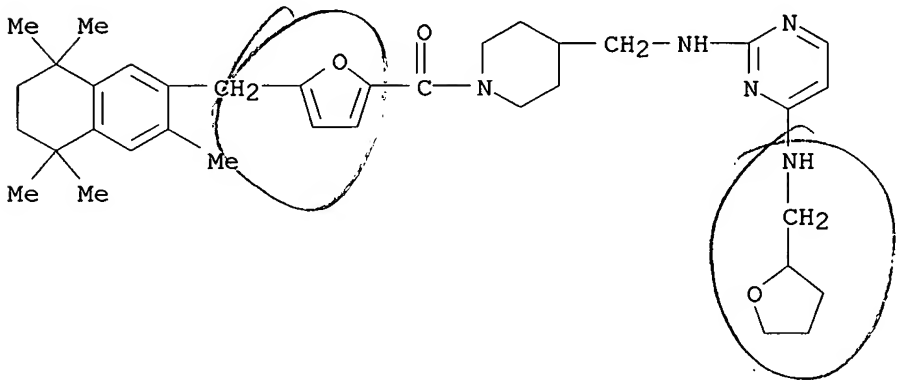
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000020358	A2	20000413	WO 1999-US18790	19990820
	WO 2000020358	A3	20001116		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2341346	AA	20000413	CA 1999-2341346	19990820
	BR 9913374	A	20010515	BR 1999-13374	19990820
	EP 1105120	A2	20010613	EP 1999-968010	19990820
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EE 200100102	A	20020617	EE 2001-102	19990820
	SI 20746	C	20020630	SI 1999-20076	19990820
	JP 2002535244	T2	20021022	JP 2000-574479	19990820
	NO 2001000309	A	20010411	NO 2001-309	20010119
	LV 12732	B	20020320	LV 2001-45	20010316
	BG 105362	A	20011231	BG 2001-105362	20010319
	LT 4904	B	20020425	LT 2001-24	20010319
PRAI	US 1998-97520P	P	19980820		
	WO 1999-US18790	W	19990820		

OS MARPAT 132:279106
AB Non-peptide GnRH agents capable of inhibiting the effect of gonadotropin-releasing hormone are described. The compds. and their pharmaceutically acceptable salts, multimers, prodrugs, and active metabolites are suitable for treating mammalian reproductive disorders and steroid hormone-dependent tumors as well as for regulating fertility, where suppression of gonadotropin release is indicated. The compds. include those of formula I [X = C:O, C:S, S:O, or SO2; Het = 5-membered NOS-heterocycle; R1, R2 = H, alkyl; R3-R7 = H, halo, (un)substituted alkyl, aryl, heteroaryl, CH2OR, OR, CO2R; R = alkyl, aryl, etc.; adjacent rings positions such as R6R7 may form (un)substituted 5- or 6-membered ring with up to 4 heteroatoms; R8 = lipophilic moiety such as alkyl, aryl, CH2OR, OR, etc.; R9 = H, (un)substituted alkyl]. Methods and intermediates for synthesizing the compds. are also described. For instance, 4,4,7-trimethylchroman (prepn. given) was alkylated in the 6- and 8-positions using Et 5-(chloromethyl)-2-furoate (46% total yield), and the resulting esters were hydrolyzed to a mixt. of acids. This unsepd. mixt. was treated with SOCl2 and amidated with 2,4,6-trimethoxyphenylamine-

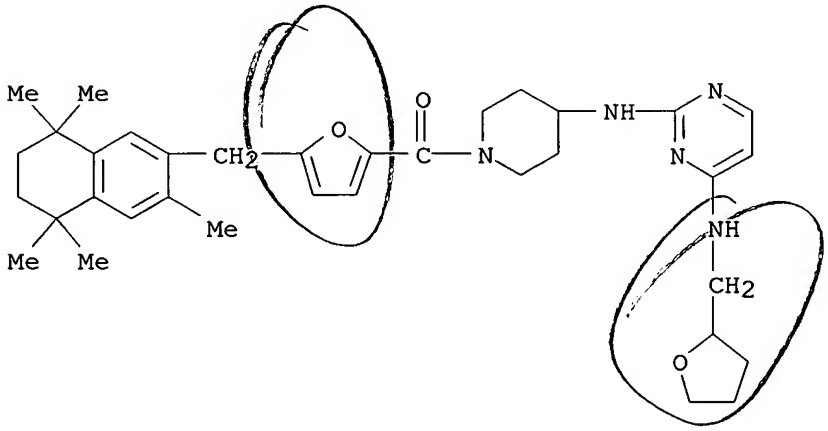
HCl to give the invention compd. II and its chroman-6-position isomer, which were sepd. by HPLC. Several compds. exhibited high affinity (<100 nM) at human GnRH receptors. The compds. antagonized GnRH-stimulated inositol phosphate accumulation in cells with recombinant human GnRH receptors, and an example compd. reduced plasma LH levels in castrated male rats. Various biol. data for several hundred compds. are given.

IT **263847-60-5P 263849-98-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of non-peptide GnRH agents for regulating gonadotropin secretion)

RN 263847-60-5 CAPLUS
CN 4-Piperidinemethanamine, N-[4-[[(tetrahydro-2-furanyl)methyl]amino]-2-pyrimidinyl]-1-[[5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]-2-furanyl]carbonyl]- (9CI) (CA INDEX NAME)



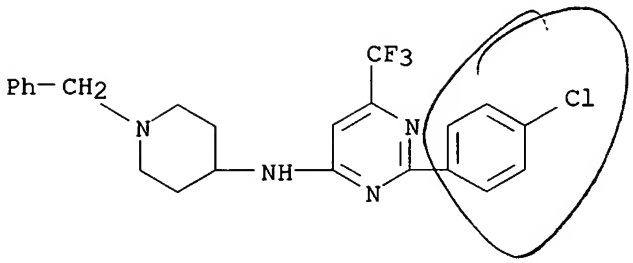
RN 263849-98-5 CAPLUS
CN 4-Piperidinamine, N-[4-[[(tetrahydro-2-furanyl)methyl]amino]-2-pyrimidinyl]-1-[[5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]-2-furanyl]carbonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 20 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2000:115763 CAPLUS
DN 132:151833
TI Preparation of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate production.
IN Schindler, Ursula; Schoenafinger, Karl; Strobel, Hartmut
PA Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SO Ger. Offen., 22 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

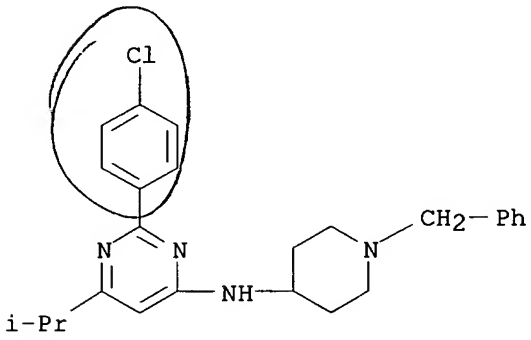
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19836697	A1	20000217	DE 1998-19836697	19980813
	CA 2340405	AA	20000224	CA 1999-2340405	19990804
	WO 2000009496	A1	20000224	WO 1999-EP5636	19990804
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9957307	A1	20000306	AU 1999-57307	19990804
	BR 9913003	A	20010508	BR 1999-13003	19990804
	EP 1112266	A1	20010704	EP 1999-944330	19990804
	EP 1112266	B1	20030514		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002522536	T2	20020723	JP 2000-564948	19990804
PRAI	DE 1998-19836697	A	19980813		
	WO 1999-EP5636	W	19990804		

OS MARPAT 132:151833
AB Title compds. [I; R1 = (substituted) alkyl, cycloalkyl, 5-7 membered heterocyclyl; R2 = H, (substituted) alkyl, cycloalkyl, 5-7 membered heterocyclyl; R1R2N = (substituted) 5-7 membered heterocyclyl; R3 = aryl; R4 = alkyl, CF3, aryl], were prepd. Thus, 4-chloro-2(4-chlorophenyl)-6-isopropylpyrimidine (prepn. given) and 4-amino-2,2,6,6,-tetramethylpiperidine were stirred at 150.degree. for 2 h to give 2-(4-chlorophenyl)-6-isopropyl-4-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidine dihydrochloride. Tested I at 50 .mu.M stimulated guanylate cyclase by >4 to 28-fold.
IT **257948-58-6P 257949-25-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate prodn.)
RN 257948-58-6 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[1-(phenylmethyl)-4-piperidinyl]-6-(trifluoromethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 257949-25-0 CAPLUS
CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-(1-methylethyl)-N-[1-(phenylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

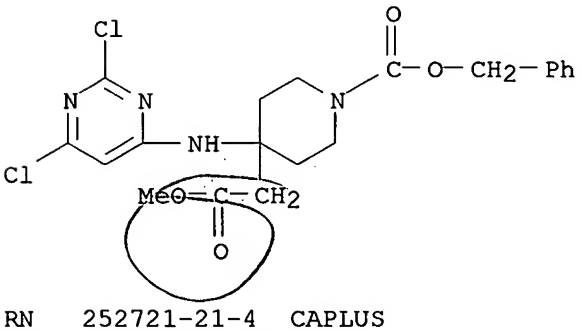


●2 HCl

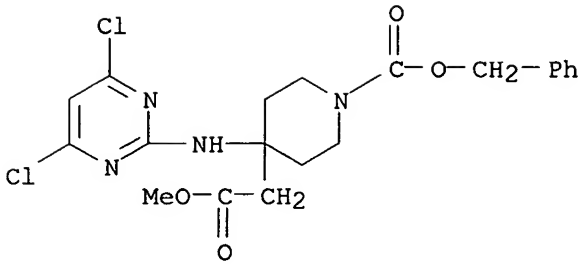
L12 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1999:811218 CAPLUS
DN 132:49974
TI Preparation of heterocyclic compounds as hypoglycemic agents
IN Suzuki, Mikio; Ohdoi, Keisuke; Kato, Katsuhiro; Matsumoto, Hiromitsu; Toyama, Koji; Kitahara, Masaki; Yotsumoto, Takashi
PA Nissan Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 227 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965881	A1	19991223	WO 1999-JP3214	19990616
	W: AU, CA, CN, CZ, FI, HU, IL, KR, LT, MX, NO, NZ, RO, RU, SI, SK, UA, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 2001031652	A2	20010206	JP 1999-172366	19990618
PRAI	JP 1998-172435	A	19980619		
	JP 1999-140693	A	19990520		

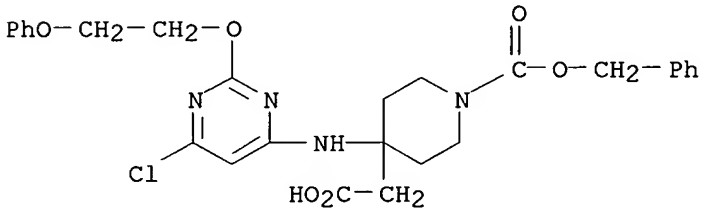
OS MARPAT 132:49974
AB The title compds. [I; A = CH[(CH2)mR1](CH2)nR2, II, III (wherein m, n, n1, n2 = 0-3; R1 = H, halo, NO2, etc.; R2 = H, halo, NO2, etc,; R3, R31 = alkyl; R4 = H, alkyl, acyl, etc.); D = a bond, CH2, O, etc.; X1-X5 = N, CR5 (R5 = H, halo, etc.)] having a hypoglycemic effect, and therefore useful for preventing and treating diabetes and diabetic complications, were prepd. and formulated. Thus, reacting 2,6-dichloro-4-(2-phenoxyethoxy)pyrimidine (prepn. given) with Me 3(R)-amino-4-(tert-butoxycarbonylamino)butyrate afforded 86% (R)-IV which showed 53.4% carnitine-palmitoyl transferase (CPT) inhibition at 30 .mu.M.
IT **252721-20-3P 252721-21-4P 252721-22-5P**
252721-23-6P 252721-24-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidinyl compds. as hypoglycemic agents)
RN 252721-20-3 CAPLUS
CN 4-Piperidineacetic acid, 4-[(2,6-dichloro-4-pyrimidinyl)amino]-1-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



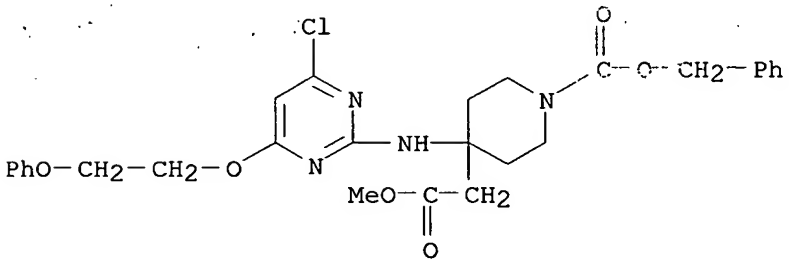
RN 252721-21-4 CAPLUS
CN 4-Piperidineacetic acid, 4-[(4,6-dichloro-2-pyrimidinyl)amino]-1-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



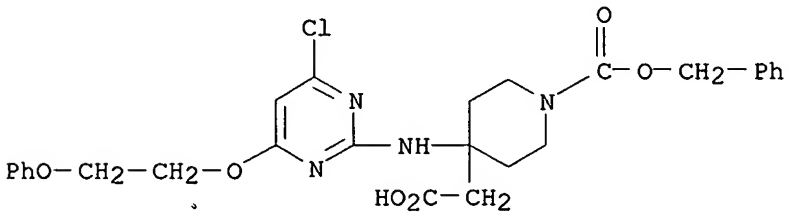
RN 252721-22-5 CAPLUS
CN 4-Piperidineacetic acid, 4-[[6-chloro-2-(2-phenoxyethoxy)-4-pyrimidinyl]amino]-1-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



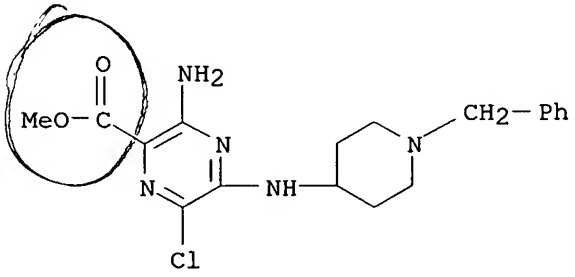
RN 252721-23-6 CAPLUS
CN 4-Piperidineacetic acid, 4-[[4-chloro-6-(2-phenoxyethoxy)-2-pyrimidinyl]amino]-1-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 252721-24-7 CAPLUS
CN 4-Piperidineacetic acid, 4-[[4-chloro-6-(2-phenoxyethoxy)-2-pyrimidinyl]amino]-1-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



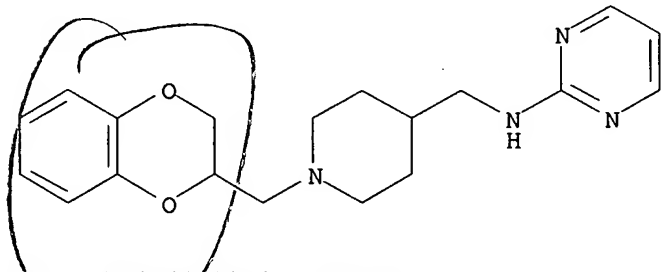
L12 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1999:653031 CAPLUS
DN 132:64231
TI Structure-activity relationships of novel 2-substituted quinazoline antibacterial agents
AU Kung, Pei-Pei; Casper, Martin D.; Cook, Kimberley L.; Wilson-Lingardo, Laura; Risen, Lisa M.; Vickers, Timothy A.; Ranken, Ray; Blyn, Lawrence B.; Wyatt, Jacqueline R.; Cook, P. Dan; Ecker, David J.
CS Ibis Therapeutics a Division of Isis Pharmaceuticals and Medicinal Chemistry, Isis Pharmaceuticals, Carlsbad, CA, 92008, USA
SO Journal of Medicinal Chemistry (1999), 42(22), 4705-4713
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB High-throughput screening of inhouse compd. libraries led to the discovery of a novel antibacterial agent, pyrazinyl quinazoline compd. I (MIC: 12-25 .mu.M against S. pyogenes). In an effort to improve the activity of this active compd., a series of 2-substituted quinazolines, e.g., II (X, Y = N, CH, Z = Cl, H, NO2, W = NH2, H, R = Me, CMe3, H) was synthesized and evaluated in several antibacterial assays. One such compd., I (X = Y = CH, Z = W = R = H) (III) displayed improved broad-spectrum antibacterial activity against a variety of bacterial strains. This mol. also inhibited transcription/translation of bacterial RNA, suggesting a mechanism for its antibiotic effects. Structure-activity relationship studies of III led to the synthesis of another 24 compds. Although some of these mols. were found to be active in bacterial growth assays, none were as potent as III. Compd. III was tested for its ability to cure a systemic K. pneumonia infection in the mouse and displayed moderate effects compared with a control antibiotic, gentamycin.
IT **253192-21-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn., antibacterial activity, and structure-activity relationship of quinazolines)
RN 253192-21-1 CAPLUS
CN Pyrazinecarboxylic acid, 3-amino-6-chloro-5-[[1-(phenylmethyl)-4-piperidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

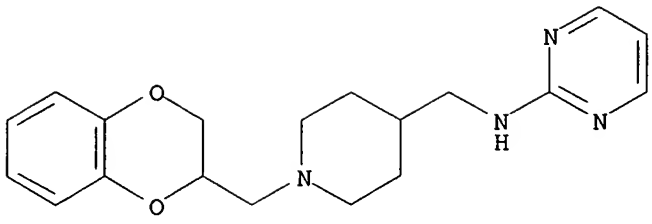
L12 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1999:499944 CAPLUS
DN 131:280998
TI N-Substituted (2,3-Dihydro-1,4-benzodioxin-2-yl)methylamine Derivatives as D2 Antagonists/5-HT1A Partial Agonists with Potential as Atypical Antipsychotic Agents
AU Birch, Alan M.; Bradley, Paul A.; Gill, Julie C.; Kerrigan, Frank; Needham, Pat L.
CS Research and Development Department, Knoll Pharmaceuticals, Nottingham, NG1 1GF, UK
SO Journal of Medicinal Chemistry (1999), 42(17), 3342-3355
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 131:280998
AB A series of N-substituted 1-(2,3-dihydro-1,4-benzodioxin-2-yl)methylamine derivs. with D2 antagonist/5-HT1A partial agonist activity has been prepd. as potential atypical antipsychotic agents. Optimization of in vitro receptor binding activity and in vivo activity in rodent models of psychosis has led to a compd. (I) which showed good affinities for human D2, D3, and 5-HT1A receptors but significantly less affinity for human .alpha.1 adrenoceptors and rat H1 and muscarinic receptors. In rodents, I showed functional D2-like antagonism and 5-HT1A partial agonism. After oral dosing, I showed good activity in rodent antipsychotic tests and very little potential to cause extrapyramidal side effects (EPS), as measured by its ability to induce catalepsy in rats only at very high doses. In the light of this promising profile of activity, I has been selected for clin. investigation as a novel antipsychotic agent with a predicted low propensity to cause EPS.
IT **246265-92-9P 246265-93-0P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(N-substituted (dihydrobenzodioxinyl)methylamine derivs. as D2 antagonists/5-HT1A partial agonists with potential as atypical antipsychotic agents in relation to affinity for .alpha.1 adrenoceptors)
RN 246265-92-9 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

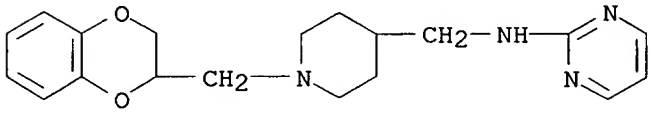


RN 246265-93-0 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



IT **246266-08-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(N-substituted (dihydrobenzodioxinyl)methylamine derivs. as D2 antagonists/5-HT1A partial agonists with potential as atypical antipsychotic agents in relation to affinity for .alpha.1 adrenoceptors)
RN 246266-08-0 CAPLUS
CN 2-Pyrimidinamine, N-[[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

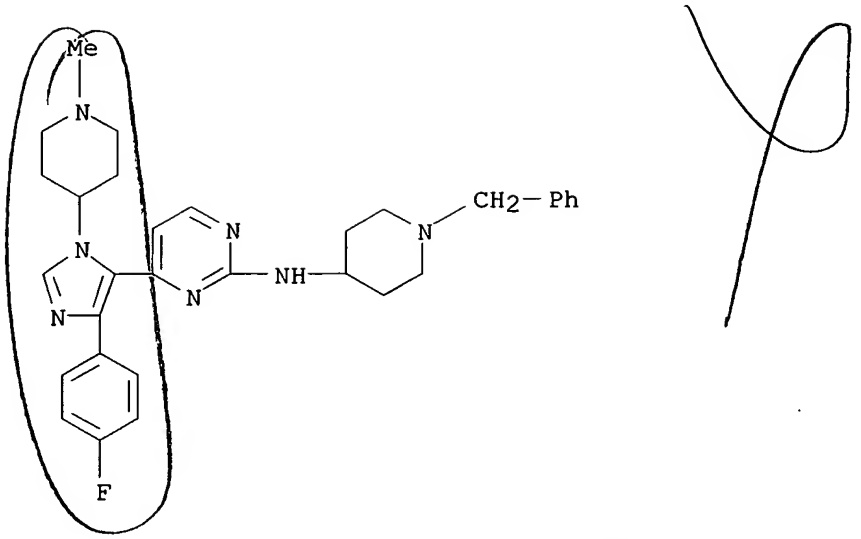


RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1999:262172 CAPLUS
DN 130:306613
TI Cytokine production blockers for the management of uterine contractions
IN Alvi, Samir Ahmed
PA Imperial College Innovations Ltd., UK
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

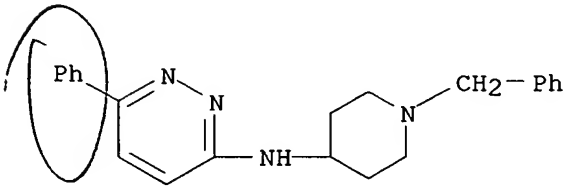
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9918942	A1	19990422	WO 1998-GB3015	19981008
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2316296	AA	19990422	CA 1998-2316296	19981008
	AU 9894493	A1	19990503	AU 1998-94493	19981008
	EP 1021173	A1	20000726	EP 1998-947651	19981008
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2001519381	T2	20011023	JP 2000-515577	19981008
PRAI	US 1997-61614P	P	19971010		
	WO 1998-GB3015	W	19981008		

OS MARPAT 130:306613
AB The present invention is to the novel use of a cytokine inhibitor for the prophylactic treatment, or management of excessive, undesired or inappropriate uterine activity, such as contractions, in a mammal in need thereof. An example of a cytokine-prodn. blocker is SKF 86002 [6-(4-fluorophenyl)-2,3-dihydro-5-(4-pyridinyl)imidazo[2,1-b]thiazole], a CSBP/p38 protein kinase RK inhibitor.
IT **186314-81-8**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cytokine prodn. blockers for the management of uterine contractions)
RN 186314-81-8 CAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



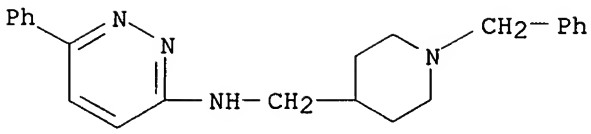
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1999:84847 CAPLUS
DN 130:223228
TI Aminopyridazines as acetylcholinesterase inhibitors
AU Contreras, Jean-Marie; Rival, Yveline M.; Chayer, Said; Bourguignon, Jean-Jacques; Wermuth, Camille G.
CS Laboratoire de Chimie Organique, Faculte de Pharmacie, Universite Louis Pasteur, Illkirch, 67401, Fr.
SO Journal of Medicinal Chemistry (1999), 42(4), 730-741
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 130:223228
AB Following the discovery of the weak, competitive and reversible acetylcholinesterase (AChE)-inhibiting activity of minaprine I (R1 = Me, R2 = H, X = O) (IC50 = 85 .mu.M ón homogenized rat striatum AChE), a series of 3-amino-6-phenylpyridazines I [R1 = H, Me, CH2OH, etc., R2 = H, 4-Cl, 3,4-(OCH2O), X = O, CH2] and II (R = morpholino, piperidino, N(Me)CH2Ph, etc., n = 0-5) was synthesized and tested for inhibition of AChE. A classical structure-activity relationship exploration suggested that, in comparison to minaprine, the crit. elements for high AChE inhibition are as follows: (i) presence of a central pyridazine ring, (ii) necessity of a lipophilic cationic head, (iii) change from a 2- to a 4-5-carbon units distance between the pyridazine ring and the cationic head. Among all the derivs. investigated, 3-[2-(1-benzylpiperidin-4-yl)ethylamino]-6-phenylpyridazine, which shows an IC50 of 0.12 .mu.M on purified AChE (elec. eel), was found to be one of the most potent anti-AChE inhibitors, representing a 5000-fold increase in potency compared to minaprine.
IT **221196-19-6P 221196-20-9P 221196-21-0P**
221196-74-3P 221196-75-4P 221196-76-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., acetylcholinesterase inhibitory activity, and structure activity relationship of aminopyridazines prepd. from oxo- and chloropyridazines and alkylamino compds.)
RN 221196-19-6 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



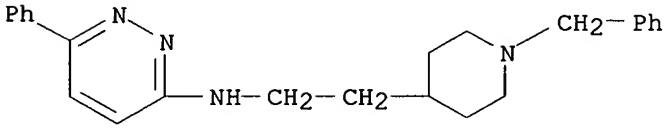
●2 HCl

RN 221196-20-9 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



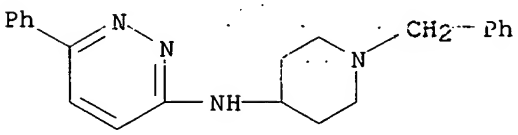
●2 HCl

RN 221196-21-0 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

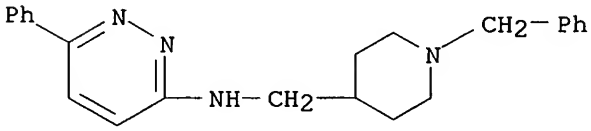


●2 HCl

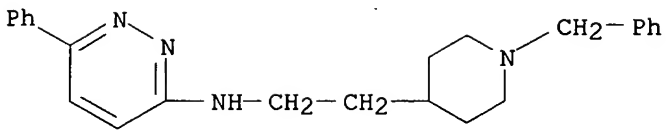
RN 221196-74-3 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 221196-75-4 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 221196-76-5 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

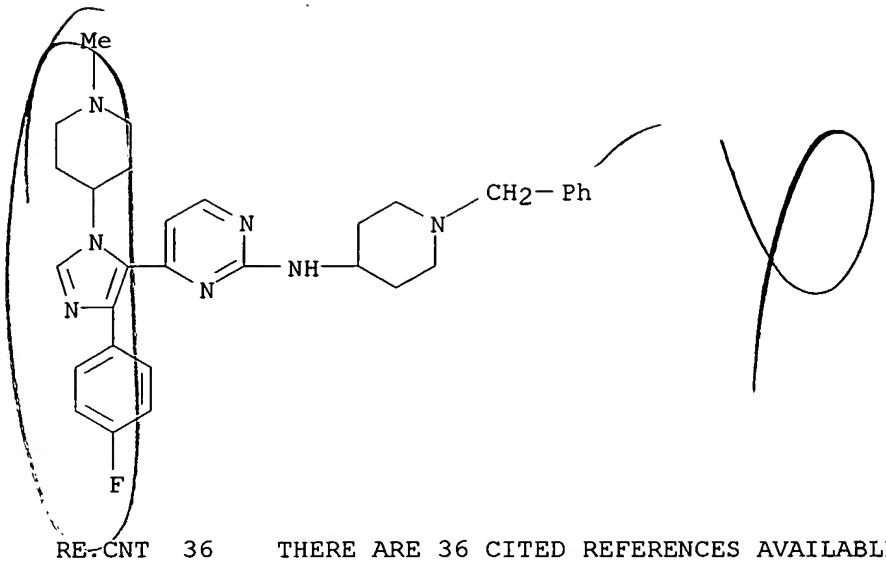


RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1998:226813 CAPLUS
DN 128:282837
TI Preparation of imidazoles as cytokine inhibitors
IN Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi Qiang; Osifo, Irennegbe Kelly; Boehm, Jeffrey Charles
PA Smithkline Beecham Corp., USA
SO U.S., 33 pp., Cont.-in-part of U.S. 5,658,903.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5739143	A	19980414	US 1996-764003	19961211
	US 5658903	A	19970819	US 1996-659102	19960603
	ZA 9604723	A	19970617	ZA 1996-4723	19960606
	ZA 9711092	A	19990722	ZA 1997-11092	19971210
	WO 9825619	A1	19980618	WO 1997-US23157	19971211
	W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9857033	A1	19980703	AU 1998-57033	19971211
	EP 961618	A1	19991208	EP 1997-953241	19971211
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2001506239	T2	20010515	JP 1998-527045	19971211
	US 5869660	A	19990209	US 1998-12946	19980123
	US 6369068	B1	20020409	US 1999-319859	19990611
PRAI	US 1995-473396	B2	19950607		
	US 1996-636779	B2	19960419		
	US 1996-659102	A2	19960603		
	US 1996-32766P	P	19961211		
	US 1996-764003	A	19961211		
	WO 1997-US23157	W	19971211		

OS MARPAT 128:282837
AB The title compds. [I; R1 = 4-pyridyl, pyrimidinyl, quinolinyl, etc.; R2 = heterocyclyl, C2-10 alkenyl, C3-7 cycloalkyl, etc.; R4 = (un)substituted Ph, 1-naphthyl, 2-naphthyl, heteroaryl], useful in treatment, e.g., inflammation and osteoporosis as cytokine inhibitors, were prepd. Thus, reaction of 4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-5-(2-methylsulfinyl-4-pyrimidinyl)imidazole (prepn. described) with PhCH2NH2 afforded 82% I [R1 = 2-benzylamino-4-pyrimidinyl; R2 = 1-methyl-4-piperinyl; R4 = 4-fluorophenyl] which showed IC50 of < 50 .mu.M in cytokine specific binding protein assay.
IT **186314-81-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of imidazoles as cytokine inhibitors)
RN 186314-81-8 CAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

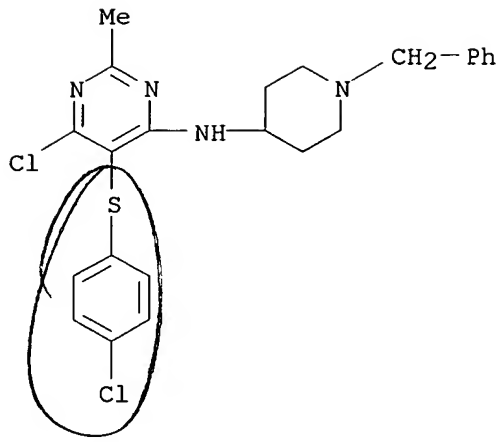


RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

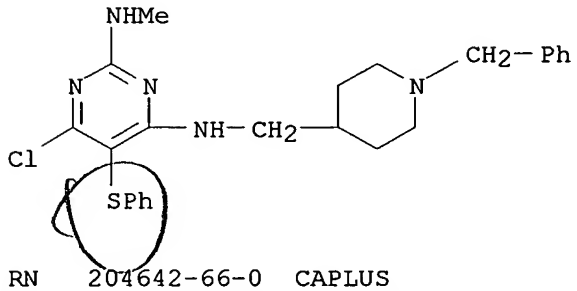
L12 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1998:219794 CAPLUS
DN 128:230389
TI Preparation of pyrimidine derivatives as psychotropic drugs
IN Igarashi, Jun-Etsu; Katsumi, Hiroyuki; Nishimura, Tamiki
PA Sumitomo Pharmaceuticals Co., Ltd., Japan; Igarashi, Jun-Etsu; Katsumi, Hiroyuki; Nishimura, Tamiki
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9814430	A1	19980409	WO 1997-JP3476	19970929
	W: CA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 10109937	A2	19980428	JP 1996-281788	19961002
	JP 10259181	A2	19980929	JP 1997-84537	19970317
PRAI	JP 1996-281788		19961002		
	JP 1997-84537		19970317		

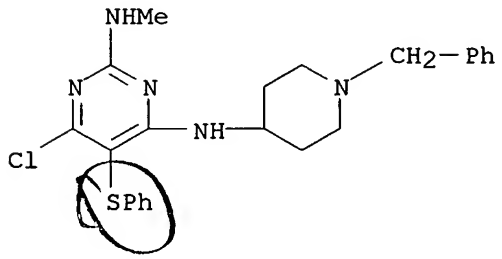
OS MARPAT 128:230389
AB The title compds. [I; W = optionally substituted alkyl, cycloalkyl, or aryl, etc.; X = halo, (un)substituted alkyl, cycloalkyl, or aryl, etc.; Y = O, S; A = (un)substituted amino or lower alkyl, etc.; G = N, or combine with A to form a ring; Z = (un)substituted N-contg. ring, etc.] are prepd. I are psychotropic drugs having a potent affinity for the D4 receptor but no affinity for the .alpha.1 receptor and being useful as remedies for, e.g., mental symptoms of schizophrenia, periodic psychosis, Parkinson's disease or drug abuse or those accompanying senile dementia or Alzheimer's disease. Thus, 4,6-dichloro-2-methylamino-5-phenylthiopyrimidine (prepn. given) was reacted with 1-benzyl-4-methylamino-piperidine in the presence of K2CO3 to give the title compd. (II). II showed Ki of 1.9 and 209 nM for human D4 and D2 binding resp.
IT **204642-63-7P 204642-64-8P 204642-66-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as psychotropic drugs)
RN 204642-63-7 CAPLUS
CN 4-Pyrimidinamine, 6-chloro-5-[(4-chlorophenyl)thio]-2-methyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 204642-64-8 CAPLUS
CN 2,4-Pyrimidinediamine, 6-chloro-N2-methyl-N4-[[1-(phenylmethyl)-4-piperidinyl]methyl]-5-(phenylthio)- (9CI) (CA INDEX NAME)



RN 204642-66-0 CAPLUS
CN 2,4-Pyrimidinediamine, 6-chloro-N2-methyl-N4-[1-(phenylmethyl)-4-piperidinyl]-5-(phenylthio)- (9CI) (CA INDEX NAME)

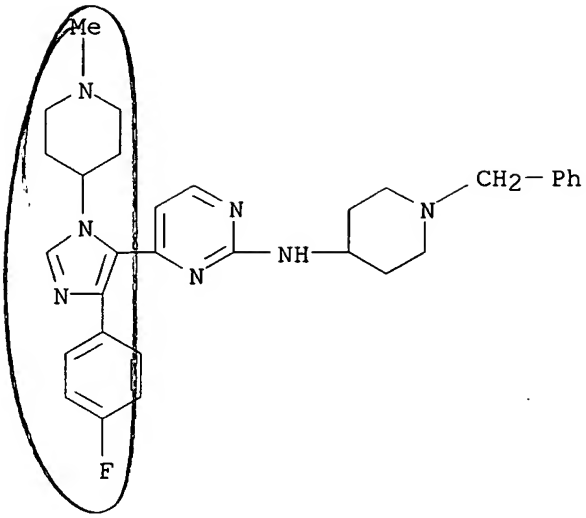


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 31 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1997:650347 CAPLUS
DN 127:314828
TI 1,4,5-Substituted imidazole compounds for treatment of CNS injuries to the brain
IN Feuerstein, Giora Z.
PA Smithkline Beecham Corporation, USA; Feuerstein, Giora Z.
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9735856	A1	19971002	WO 1997-US5820	19970324
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 889888	A1	19990113	EP 1997-917899	19970324
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
	JP 2000507558	T2	20000620	JP 1997-534693	19970324
	US 6096739	A	20000801	US 1998-142877	19980918
	US 6387898	B1	20020514	US 2000-627940	20000728
PRAI	US 1996-14137P	P	19960325		
	WO 1997-US5820	W	19970324		
	US 1998-142877	A3	19980918		

OS MARPAT 127:314828
AB 1,4,5-Substituted imidazole compds. and compns. are used for the treatment of CNS injuries to the brain. The preferred method of inhibition is the the inhibition of the CSBP/p38/RK kinase pathway. Compds. of the invention were active (IC50<50 .mu.M) in a cytokine specific binding protein (CSBP) assay.
IT **186314-81-8**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(imidazole derivs. for treatment of CNS injuries to brain)
RN 186314-81-8 CAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

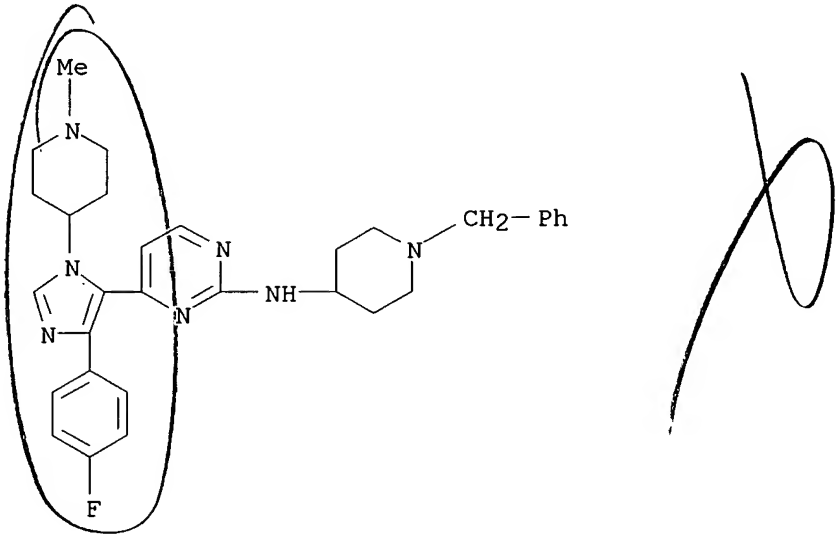

Me
N
N
N
N
N
NH
N
CH2— Ph
F

L12 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1997:119170 CAPLUS
DN 126:144274
TI Imidazole compounds useful as cytokine inhibitors.
IN Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi-Qiang; Osifo, Irennegbee Kelly; Boehm, Jeffrey Charles
PA Smithkline Beecham Corporation, USA; Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi-Qiang; Osifo, Irennegbee Kelly; Boehm, Jeffrey Charles
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640143	A1	19961219	WO 1996-US10039	19960607
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	IL 118544	A1	20010808	IL 1996-118544	19960603
	ZA 9604723	A	19970617	ZA 1996-4723	19960606
	TW 442481	B	20010623	TW 1996-85106749	19960606
	CA 2223533	AA	19961219	CA 1996-2223533	19960607
	AU 9662726	A1	19961230	AU 1996-62726	19960607
	AU 699646	B2	19981210		
	EP 831830	A1	19980401	EP 1996-921517	19960607
	EP 831830	B1	20030305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	CN 1192147	A	19980902	CN 1996-195882	19960607
	BR 9608591	A	19990105	BR 1996-8591	19960607
	JP 11513017	T2	19991109	JP 1996-502174	19960607
	AT 233561	E	20030315	AT 1996-921517	19960607
	NO 9705716	A	19980204	NO 1997-5716	19971205
	US 6218537	B1	20010417	US 1998-973594	19980513
PRAI	US 1995-473396	A	19950607		
	US 1996-636779	A	19960419		
	WO 1996-US10039	W	19960607		

OS MARPAT 126:144274
AB Novel 1,4,5-trisubstituted imidazole compds. I and their compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl, all bearing a substituted amino group, plus an optional addnl. substituent; R2 = alkyl, N3, heterocyclyl, alk(en/yn)yl, haloalkyl, etc.; R4 = (un)substituted Ph, 1- or 2-naphthyl, heteroaryl]. I are useful for treating a variety of cytokine-mediated diseases, particularly those mediated by CSBP/RK/p38 kinase, and may also be useful as antivirals (no data). For example, 2-(methylthio)pyrimidine-4-carboxaldehyde (prepn. given) was condensed with 4-amino-1-methylpiperidine-2HCl to give the imine (98%), which was cyclized with the tosylmethyl isocyanide deriv. 4-FC6H4CH(Tos)N.tplbond.C (50%) to give imidazole deriv. II [R = SMe]. This underwent S-oxidn. with K persulfate to give 83% II [R = S(O)Me], which was condensed with PhCH2NH2 (82%) to give title compd. II [R = NHCH2Ph].

IT **186314-81-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of imidazole derivs. as cytokine inhibitors)
RN 186314-81-8 CAPLUS
CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-1-(1-methyl-4-piperidinyl)-1H-imidazol-5-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

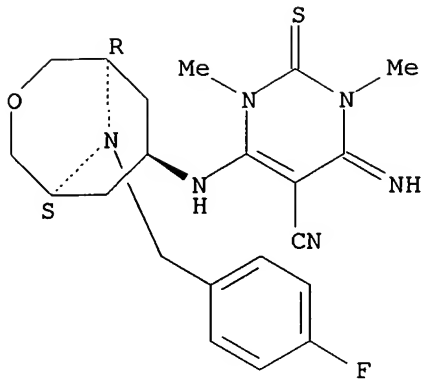


L12 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1996:171798 CAPLUS
DN 124:232479
TI Preparation of pyrimidine derivatives as gastrointestinal movement accelerators
IN Kikuchi, Haruhiko; Satoh, Hiroaki; Fukutomi, Ruta; Inomata, Kohei; Suzuki, Masashi; Hagihara, Koichiro; Arai, Takeo; Mino, Setsuko; Eguchi, Haruko
PA Nisshin Flour Milling Co., Ltd., Japan
SO PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9531442	A1	19951123	WO 1995-JP937	19950517
W: BR, CA, JP, KR, US				
RW: BE, CH, DE, ES, FR, GB, IT, NL, SE				
CA 2189963	AA	19951123	CA 1995-2189963	19950517
EP 760368	A1	19970305	EP 1995-918728	19950517
EP 760368	B1	19990728		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
BR 9507666	A	19970923	BR 1995-7666	19950517
ES 2136291	T3	19991116	ES 1995-918728	19950517
US 5736550	A	19980407	US 1996-737335	19961115
PRAI JP 1994-127161		19940518		
WO 1995-JP937		19950517		

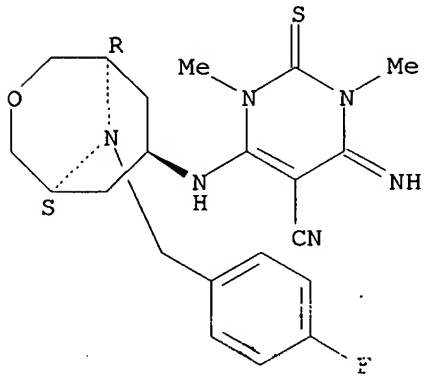
OS MARPAT 124:232479
AB The title compds. I [X represents O or NR5, and Y represents O, S or NR5, R5 being hydrogen, C1-C6 alkyl, etc.; R1 and R2 represents each independently hydrogen, C1-C6 alkyl, etc.; R3 represents CN or COOR6, R6 being C1-C6 alkyl, C3-C6 cycloalkyl, aryl, etc.; and R4 represents SR7 or NR8R9, wherein R7 represents C1-C6 alkyl, R8 represents C1-C6 alkyl, etc., and R9 represents hydrogen, C1-C6 alkyl, etc., or R8 and R9 together with the nitrogen atom to which they are bonded represent an N-substituted piperazine ring] are claimed. In an in vitro test using elec. stimulated guinea pig ileum, the title compd. II (prepn. given) at 10-7 M promoted acetylcholine release.
IT **174559-30-9P 174559-31-0P 174559-32-1P**
174559-33-2P 174559-38-7P 174560-10-2P
174560-11-3P 174560-17-9P 174560-68-0P
174560-69-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as gastrointestinal movement accelerators)
RN 174559-30-9 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[9-[(4-fluorophenyl)methyl]-3-oxa-9-azabicyclo[3.3.1]non-7-yl]amino]-1,2,3,4-tetrahydro-4-imino-1,3-dimethyl-2-thioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 174559-31-0 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[9-[(4-fluorophenyl)methyl]-3-oxa-9-azabicyclo[3.3.1]non-7-yl]amino]-1,2,3,4-tetrahydro-4-imino-1,3-dimethyl-2-thioxo-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

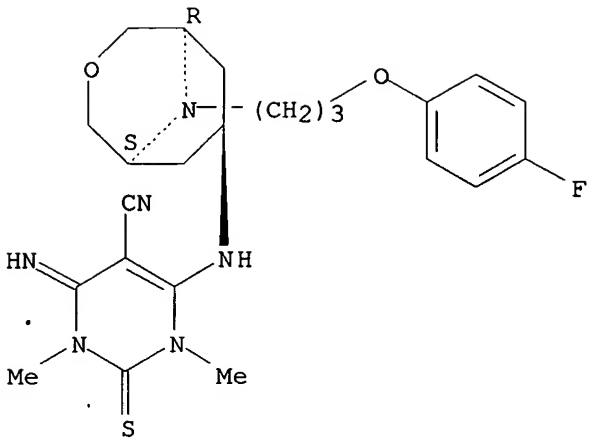
Relative stereochemistry.



● HCl

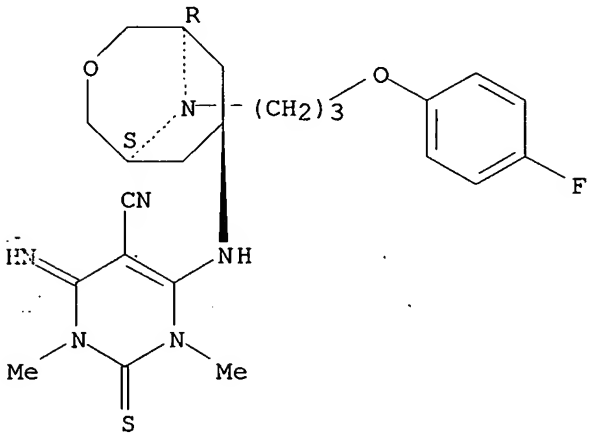
RN 174559-32-1 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[9-[3-(4-fluorophenoxy)propyl]-3-oxa-9-azabicyclo[3.3.1]non-7-yl]amino]-1,2,3,4-tetrahydro-4-imino-1,3-dimethyl-2-thioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 174559-33-2 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[9-[3-(4-fluorophenoxy)propyl]-3-oxa-9-azabicyclo[3.3.1]non-7-yl]amino]-1,2,3,4-tetrahydro-4-imino-1,3-dimethyl-2-thioxo-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

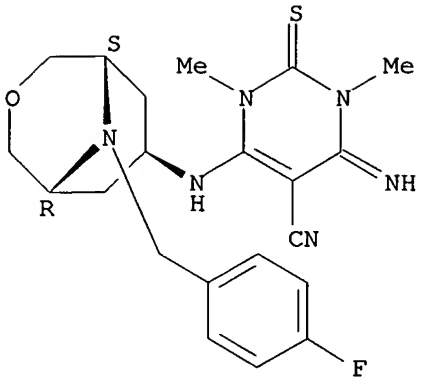
Relative stereochemistry.



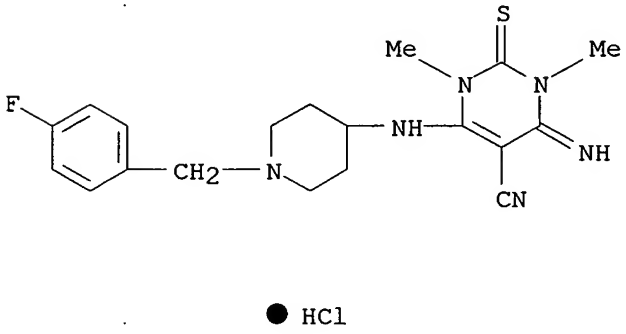
● HCl

RN 174559-38-7 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[9-[(4-fluorophenyl)methyl]-3-oxa-9-azabicyclo[3.3.1]non-7-yl]amino]-1,2,3,4-tetrahydro-4-imino-1,3-dimethyl-2-thioxo-, exo- (9CI) (CA INDEX NAME)

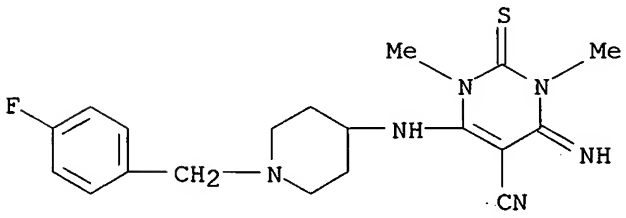
Relative stereochemistry.



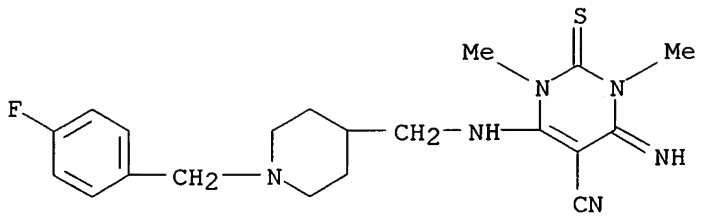
RN 174560-10-2 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]amino]-1,2,3,4-tetrahydro-4-imino-1,3-dimethyl-2-thioxo-, monohydrochloride (9CI) (CA INDEX NAME)



RN 174560-11-3 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]amino]-1,2,3,4-tetrahydro-4-imino-1,3-dimethyl-2-thioxo- (9CI) (CA INDEX NAME)

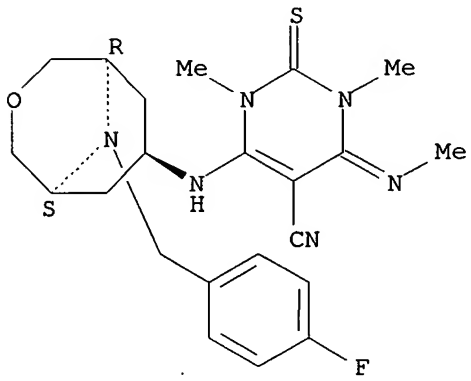


RN 174560-17-9 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]amino]-1,2,3,4-tetrahydro-4-imino-1,3-dimethyl-2-thioxo- (9CI) (CA INDEX NAME)



RN 174560-68-0 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[9-[(4-fluorophenyl)methyl]-3-oxa-9-azabicyclo[3.3.1]non-7-yl]amino]-1,2,3,4-tetrahydro-1,3-dimethyl-4-(methylimino)-2-thioxo-, monohydrochloride, endo- (9CI) (CA INDEX NAME)

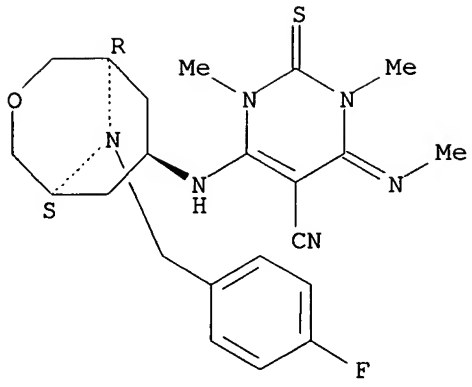
Relative stereochemistry.
Double bond geometry unknown.



● HCl

RN 174560-69-1 CAPLUS
CN 5-Pyrimidinecarbonitrile, 6-[[9-[(4-fluorophenyl)methyl]-3-oxa-9-azabicyclo[3.3.1]non-7-yl]amino]-1,2,3,4-tetrahydro-1,3-dimethyl-4-(methylimino)-2-thioxo-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.


S
R
Me
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O
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N
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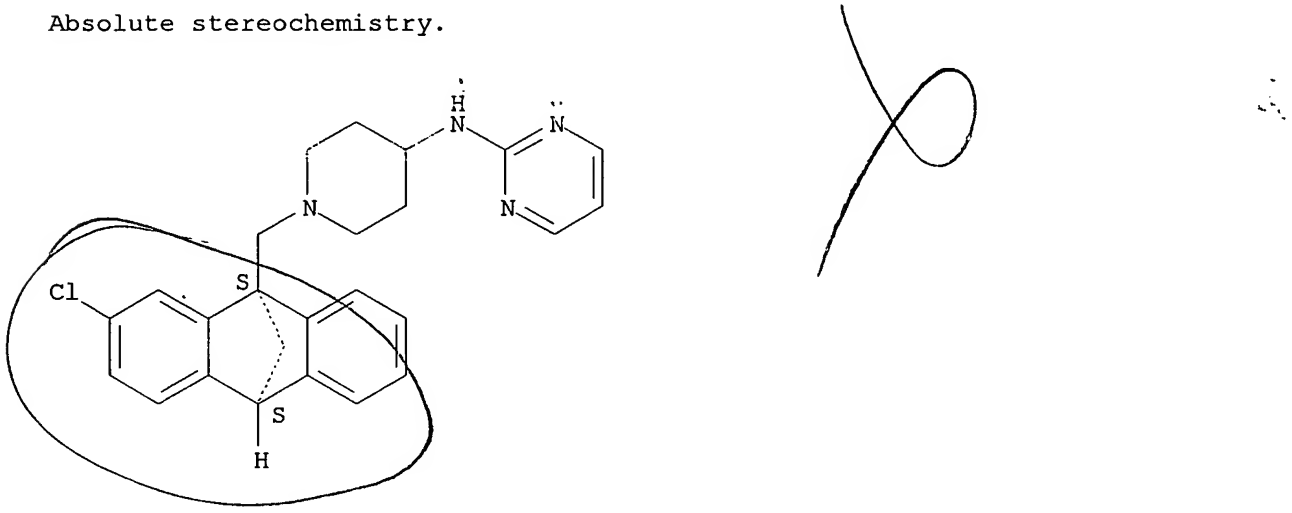
Me
N
H
N
S
CN
F

L12 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1995:752265 CAPLUS
DN 123:246036
TI Putative atypical antipsychotics with mixed dopaminergic (D1, D2) and serotonergic (5HT2) activity: the design evolution of ZD3638
AU Klimas, Michael T.; Goldstein, Jeffrey M.; Trainor, Diane A.; Jacobs, Robert T.; Ohnmacht, Cy J.; Roberts, Richard A.; Yee, Ying K.; Terpko, Marc O.; Thomas, Steve P.; et al.
CS Dep. Med. Chem., Dep. Pharmacology, Zeneca Pharmaceuticals, Wilmington, DE, 19897, USA
SO Bioorganic & Medicinal Chemistry Letters (1995), 5(16), 1795-800
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
AB The pharmacol. activity of a series of 9,10-dihydro-9,10-methanoanthracene methylene amines which function as mixed dopaminergic (D1/D2) and serotonergic (5HT2) antagonists is described. The work resulted in a putative atypical antipsychotic, ZD3638, of novel structure and pharmacol. profile.
IT **168751-60-8**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(dihydromethanoanthracenes as putative atypical antipsychotics with mixed dopaminergic and serotonergic antagonist activity in relation to design evolution of ZD3638)
RN 168751-60-8 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-, (9S)- (9CI) (CA INDEX NAME)

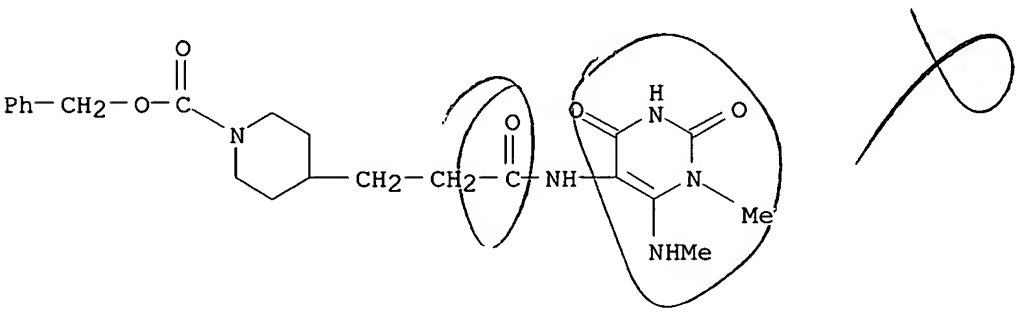
Absolute stereochemistry.



L12 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1995:459445 CAPLUS
DN 122:214091
TI Preparation of benzimidazoles, xanthines, and analogs as tissue aggregation inhibitors
IN Austel, Volkhard; Pieper, Helmut; Himmelsbach, Frank; Linz, Guenter; Mueller, Thomas; Weisenberger, Johannes; Guth, Brian
PA Dr. Karl Thomae GmbH, Germany
SO Ger. Offen., 27 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4304650	A1	19940818	DE 1993-4304650	19930216
	EP 611660	A2	19940824	EP 1994-102222	19940214
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 07002839	A2	19950106	JP 1994-17568	19940214
	CA 2115737	AA	19940817	CA 1994-2115737	19940215
	FI 9400697	A	19940817	FI 1994-697	19940215
	NO 9400524	A	19940817	NO 1994-524	19940215
	AU 9455130	A1	19940818	AU 1994-55130	19940215
	ZA 9401021	A	19950815	ZA 1994-1021	19940215
PRAI	DE 1993-4304650		19930216		

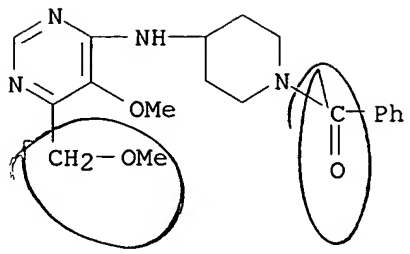
OS MARPAT 122:214091
AB Title compds [I; A = (un)substituted C(:NH)NH2, -NHC(:NH)NH2, -piperidinyl; B = Z, ZO, ZNR3, CONR3, etc.; R3 = H, (phenyl)alkyl; X1-X4 = CO, CRb, NRb, CDER, etc.; D = alkylene, O, S, CO, CONR3, etc.; E = bond or alkylene; R = CO2H, alkoxycarbonyl, SO3H, etc.; Rb = H, OH, alkyl, alkoxy, NH2, etc.; 1 of Y1,Y2 = N or CH and the other = O or NRd; Rd = H, (un)substituted (phenyl)alkyl, etc.; Z = alkylene; dashed lines = optional position of addnl. bonds] were prepd. Thus, 4,3-Cl(O2N)C6H3COCl was amidated by .beta.-alanine and the product converted in 3 steps to 3,4-(H2N)(MeHN)C6H3CONHCH2CH2CO2Me which was cyclocondensed with 3-(1-benzyloxycarbonyl-4-piperidinyl)propionyl chloride (prepn. given) and the product converted in 2 steps to title compd. II.2HBr. The latter had EC50 of 430nM against collagen-induced platelet aggregation in vitro.
IT **161910-55-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazoles, xanthines, and analogs as tissue aggregation inhibitors)
RN 161910-55-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-oxo-3-[[1,2,3,4-tetrahydro-1-methyl-6-(methylamino)-2,4-dioxo-5-pyrimidinyl]amino]propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1994:134510 CAPLUS
DN 120:134510
TI Preparation of substituted pyrimidines as pesticides
IN Schaper, Wolfgang; Preuss, Rainer; Salbeck, Gerhard; Braun, Peter; Knauf, Werner; Sachse, Burkhard; Waltersdorfer, Anna; Kern, Manfred; Luemmen, Peter; Bonin, Werner
PA Hoechst A.-G., Germany
SO Ger. Offen., 55 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4208254	A1	19930916	DE 1992-4208254	19920314
	WO 9319050	A1	19930930	WO 1993-EP536	19930310
	W: AU, BG, BR, CA, CZ, FI, HU, JP, KR, LK, NO, PL, RO, RU, SD, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9337466	A1	19931021	AU 1993-37466	19930310
	AU 671108	B2	19960815		
	EP 631575	A1	19950104	EP 1993-906495	19930310
	EP 631575	B1	20011004		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT				
	HU 67295	A2	19950328	HU 1994-2620	19930310
	HU 219142	B	20010228		
	JP 07506347	T2	19950713	JP 1993-516214	19930310
	BR 9306083	A	19971118	BR 1993-6083	19930310
	PL 175078	B1	19981030	PL 1993-304742	19930310
	CA 2131545	C	19990316	CA 1993-2131545	19930310
	RU 2155755	C2	20000910	RU 1994-41695	19930310
	AT 206403	E	20011015	AT 1993-906495	19930310
	ES 2164658	T3	20020301	ES 1993-906495	19930310
	US 5571815	A	19961105	US 1993-29889	19930311
	ZA 9301774	A	19930930	ZA 1993-1774	19930312
	IL 105042	A1	20000716	IL 1993-105042	19930312
	CN 1076692	A	19930929	CN 1993-102859	19930313
	CN 1043886	B	19990630		
PRAI	DE 1992-4208254	A	19920314		
	WO 1993-EP536	A	19930310		

OS MARPAT 120:134510
AB Title compds. [I; R = XEQ; E = bond, alkylene; Q = (substituted) C3-8 cycloalkyl, N-(hetero)aryl(carbonyl)-4-piperidyl, etc.; R1 = H, halo, (cyclo)alkyl; R2 = H, halo, (halo)alkyl, alkoxy, etc.; R3 = H, halo, (halo)alkyl, alkoxy, NH2, etc.; or R2R3 = atoms to form a ring; X = NH or O] were prepd. as acaricides, agrochem. fungicides, insecticides, nematocides, etc. Thus, 4-chloro-5,6,7,8-tetrahydroquinazoline was condensed with cis-4-phenylcyclohexanol to give title compd. II, which gave complete control of Pyrenophora teres on barley plants at 500 mg/L.
IT **152809-07-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as pesticide)
RN 152809-07-9 CAPLUS
CN 4-Piperidinamine, 1-benzoyl-N-[5-methoxy-6-(methoxymethyl)-4-pyrimidinyl]-(9CI) (CA INDEX NAME)


N
NH
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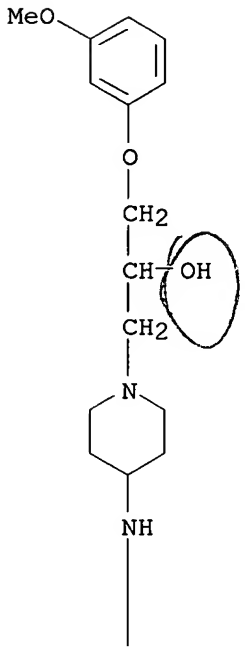
OMe
CH2—OMe
C
Ph
O

L12 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1993:449413 CAPLUS
DN 119:49413
TI New pyrazine derivatives, their preparation and their use as ingredients in drugs
IN Koeppe, Herbert; Speck, Georg; Stockhaus, Klaus
PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim KG
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

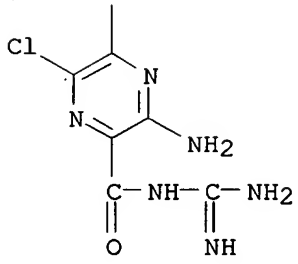
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9304048	A1	19930304	WO 1992-EP1738	19920731
	W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	DE 4127026	A1	19930218	DE 1991-4127026	19910816
	DE 4130461	A1	19930318	DE 1991-4130461	19910913
	AU 9223870	A1	19930316	AU 1992-23870	19920731
	AU 669122	B2	19960530		
	EP 598770	A1	19940601	EP 1992-916697	19920731
	EP 598770	B1	19971015		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06509798	T2	19941102	JP 1992-504057	19920731
	NO 9400523	A	19940215	NO 1994-523	19940215
PRAI	DE 1991-4127026	A	19910816		
	DE 1991-4130461	A	19910913		
	WO 1992-EP1738	A	19920731		

OS CASREACT 119:49413; MARPAT 119:49413
AB A process for the prepn. of pyrazine deriv. I where R1 = H or alkyl, R2 = functionalized alkyl moiety, R3, R5 = H and R4, R6 = H, Me, Et, Bu, benzyl was accomplished by conventional methods. E.g., reaction of 4.44 g of Me 3-amino-5,6-dichloropyrazine-2-carboxylate and 3.6 g of 2-amino-1-(2,6-dimethylphenoxy)propane with 2.2 g Et3N in 40 mL anhyd. DMF gave an intermediate pyrazinecarboxylic acid ester which underwent subsequent ammonolysis in 50 mL MeOH and 80mL of methanolic guanidine soln. and eluted on silica gel by AcOH:i-PrOH:NH3 eluent to give N-amidino-3-amino-6-chloro-5-(2-[1-(2,6-dimethylphenoxy)]propylamino)pyrazine-2-carboxamide-hydrochloride. The products are suitable for use as active ingredients in drugs (no data).
IT **147932-04-5P 147932-05-6P 147932-06-7P**
147958-45-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 147932-04-5 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-(aminoiminomethyl)-6-chloro-5-[[1-[2-hydroxy-3-(3-methoxyphenoxy)propyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

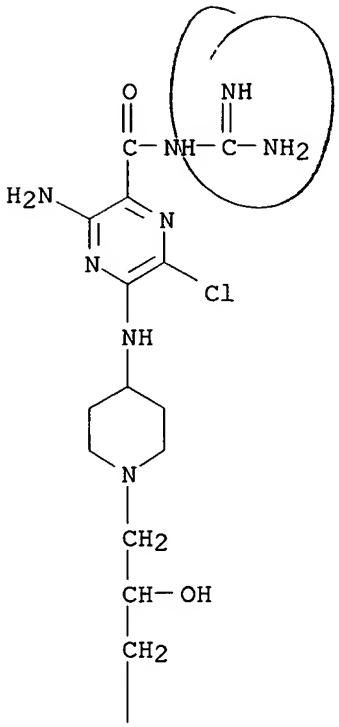


PAGE 2-A

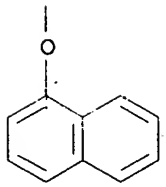


RN 147932-05-6 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-(aminoiminomethyl)-6-chloro-5-[[1-[2-hydroxy-3-(1-naphthalenyloxy)propyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

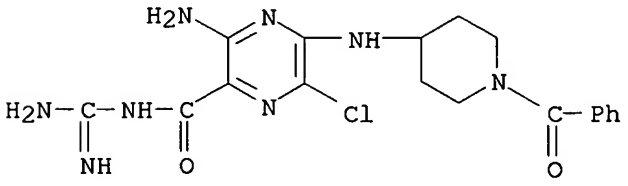
PAGE 1-A



PAGE 2-A

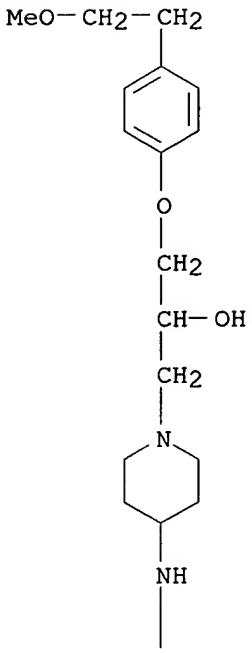


RN 147932-06-7 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-(aminoiminomethyl)-5-[(1-benzoyl-4-piperidinyl)amino]-6-chloro- (9CI) (CA INDEX NAME)

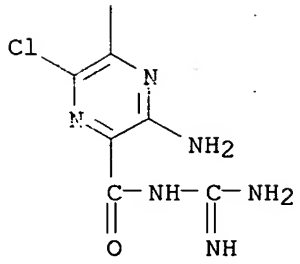


RN 147958-45-0 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-(aminoiminomethyl)-6-chloro-5-[[1-[2-hydroxy-3-[4-(2-methoxyethyl)phenoxy]propyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

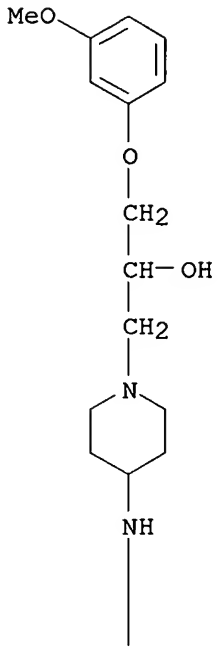


L12 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1993:408831 CAPLUS
DN 119:8831
TI Preparation of 2-guanidinocarbonyl-3,5-diamino-6-chloropyrazines as drugs
IN Koeppe, Herbert; Speck, Georg; Stockhaus, Klaus
PA Boehringer Ingelheim KG, Germany
SO Ger. Offen., 19 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

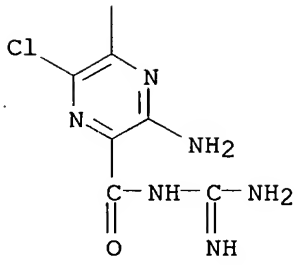
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4127026	A1	19930218	DE 1991-4127026	19910816
	WO 9304048	A1	19930304	WO 1992-EP1738	19920731
	W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9223870	A1	19930316	AU 1992-23870	19920731
	AU 669122	B2	19960530		
	EP 598770	A1	19940601	EP 1992-916697	19920731
	EP 598770	B1	19971015		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06509798	T2	19941102	JP 1992-504057	19920731
	HU 67661	A2	19950428	HU 1994-430	19920731
	CZ 280760	B6	19960417	CZ 1994-337	19920731
	AT 159250	E	19971115	AT 1992-916697	19920731
	ES 2108129	T3	19971216	ES 1992-916697	19920731
	RU 2124008	C1	19981227	RU 1994-15265	19920731
	ZA 9206132	A	19930331	ZA 1992-6132	19920814
	NO 9400523	A	19940215	NO 1994-523	19940215
PRAI	DE 1991-4127026	A	19910816		
	DE 1991-4130461	A	19910913		
	WO 1992-EP1738	A	19920731		

OS MARPAT 119:8831
AB Title compds. [I; R1 = H, alkyl; R2 = morpholino, (substituted) alkyl, 4-piperidinyl, amidino; R1R2N = (substituted) piperidinyl, piperazinyl; R3-R6 = H, alkyl, PhCH2], effective inhibitors of Na+/H+ and Na+/Li+ exchange useful as antihypertensives, mucolytics, diuretics, neoplasm inhibitors, and platelet activating factor antagonists (no data), are prepd. Thus, Me 3-amino-5,6-dichloropyrazine-2-carboxylate, 2-amino-1-(2,6-dimethylphenoxy)propane, and Et3N were heated in DMF at 95-100.degree. for 1.5 h to give Me 3-amino-6-chloro-5-[2-[1-(2,6-dimethylphenoxy)]propylamino]pyrazine-2-carboxylate. This was heated with guanidine in MeOH to give title compd. II.
IT **147932-04-5P 147932-05-6P 147932-06-7P**
147958-45-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 147932-04-5 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-(aminoiminomethyl)-6-chloro-5-[[1-[2-hydroxy-3-(3-methoxyphenoxy)propyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

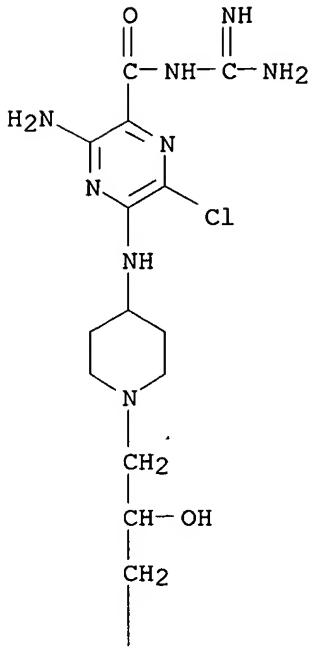


PAGE 2-A

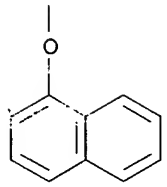


RN 147932-05-6 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-(aminoiminomethyl)-6-chloro-5-[[1-[2-hydroxy-3-(1-naphthalenyloxy)propyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

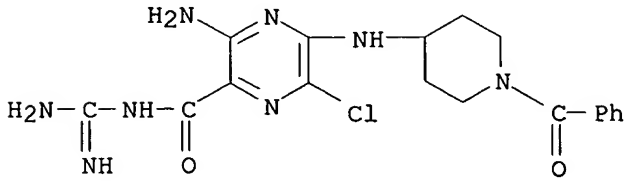
PAGE 1-A



PAGE 2-A

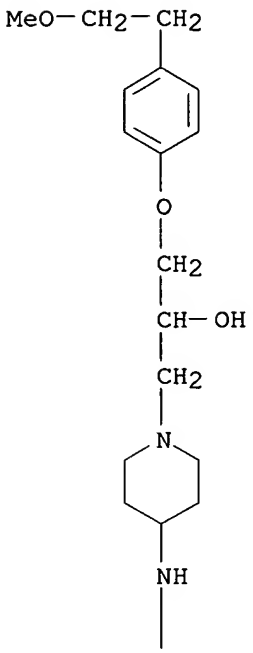


RN 147932-06-7 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-(aminoiminomethyl)-5-[(1-benzoyl-4-piperidinyl)amino]-6-chloro- (9CI) (CA INDEX NAME)

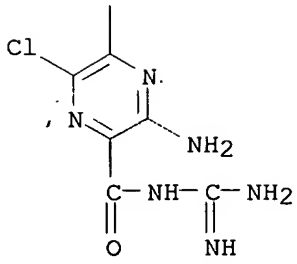


RN 147958-45-0 CAPLUS
CN Pyrazinecarboxamide, 3-amino-N-(aminoiminomethyl)-6-chloro-5-[[1-[2-hydroxy-3-[4-(2-methoxyethyl)phenoxy]propyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



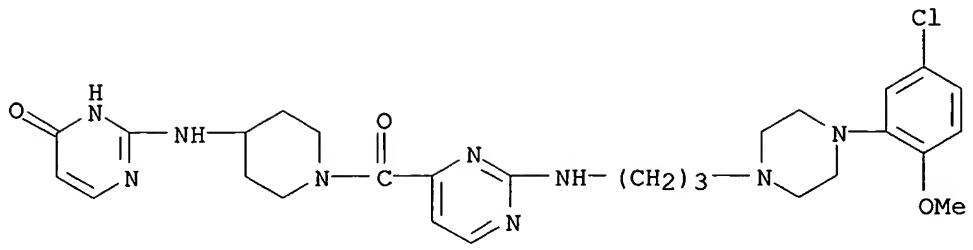
PAGE 2-A



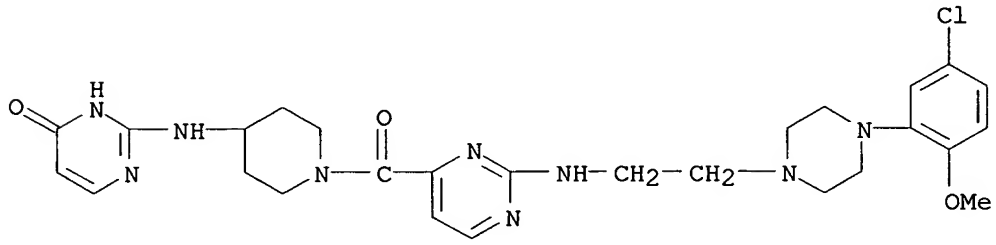
L12 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1993:234090 CAPLUS
DN 118:234090
TI Preparation of 2-[(4-phenylpiperazinoalkyl)amino]pyrimidine-4-carboxamide derivatives as antagonists of .alpha.1-adrenergic receptors
IN George, Pascal; Manoury, Philippe; Marabout, Benoit; Froissant, Jacques; Merly, Jean Pierre
PA Synthelabo S. A., Fr.
SO Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 520883	A1	19921230	EP 1992-401773	19920624
	EP 520883	B1	19950712		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	FR 2678272	A1	19921231	FR 1991-7939	19910627
	FR 2678272	B1	19940114		
	ES 2077998	T3	19951201	ES 1992-401773	19920624
	CA 2072433	AA	19921228	CA 1992-2072433	19920626
	NO 9202525	A	19921228	NO 1992-2525	19920626
	AU 9218588	A1	19930107	AU 1992-18588	19920626
	AU 644295	B2	19931202		
	CN 1067885	A	19930113	CN 1992-105057	19920626
	HU 61749	A2	19930301	HU 1992-2143	19920626
	ZA 9204785	A	19930331	ZA 1992-4785	19920626
	JP 05186435	A2	19930727	JP 1992-169073	19920626
	JP 3159526	B2	20010423		
	US 5244894	A	19930914	US 1992-904060	19920626
PRAI	FR 1991-7939	A	19910627		

OS MARPAT 118:234090
AB Title compds. I [n = 2, 3; X = one or more chosen from H, F, Cl, MeO; R = H, Me; R1 = H, Me, R2 = C1-6 alkyl, C2-3 hydroxyalkyl, C2-3 hydroxy(methoxy)alkyl, C2-3 dimethoxyalkyl, 2-(aminosulfonyl)ethyl, 2-(methylsulfonyl)ethyl, 2-(methylsulfonylamino)ethyl, CH2CONY1Y2 (Y1, Y2 = H, C1-6 alkyl), (CH2)2Ar (Ar = (un)substituted Ph with methoxy or aminosulfonyl group(s)), pyrimidinylaminoalkyl or arylcarbonylaminoalkyl; or R1R2 form (un)substituted N heterocycles piperidine, morpholine, thiomorpholine, piperazine] are prepd. by treatment of the appropriate N-unsubstituted carboxamide with an aliph. alc. to give the ester, then reaction of the ester with an amine (directly if a primary amine, or with Me3Al and secondary amine) to give product. Compds. I and their pharmaceutically acceptable salts or formulations are .alpha.1-adrenergic receptor antagonists.
IT **147117-55-3P 147117-56-4P 147529-63-3P**
147529-65-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antagonist of .alpha.1-adrenergic receptors)
RN 147117-55-3 CAPLUS
CN 4-Piperidinamine, 1-[[2-[[3-[4-(5-chloro-2-methoxyphenyl)-1-piperazinyl]propyl]amino]-4-pyrimidinyl]carbonyl]-N-(1,4-dihydro-4-oxo-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 147117-56-4 CAPLUS
CN 4-Piperidinamine, 1-[[2-[[2-[4-(5-chloro-2-methoxyphenyl)-1-piperazinyl]ethyl]amino]-4-pyrimidinyl]carbonyl]-N-(1,4-dihydro-4-oxo-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 147529-63-3 CAPLUS
CN Piperidine, 1-[[2-[[3-[4-(5-chloro-2-methoxyphenyl)-1-piperazinyl]propyl]amino]-4-pyrimidinyl]carbonyl]-4-[[(1,4-dihydro-4-oxo-2-pyrimidinyl)amino]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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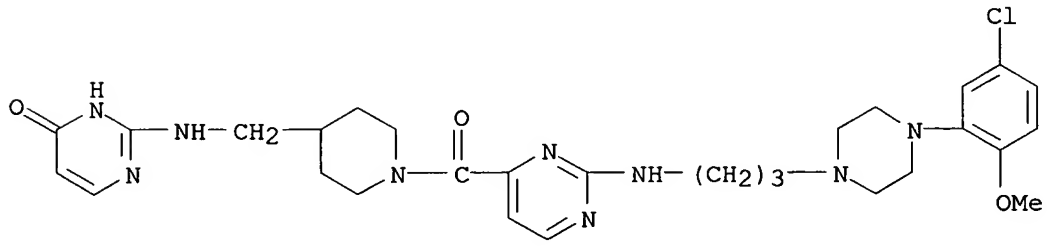
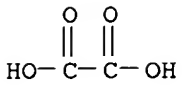
CRN 148023-30-7
CMF C29 H38 Cl N9 O3



CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 147529-65-5 CAPLUS
CN Piperidine, 1-[[2-[[2-[4-(5-chloro-2-methoxyphenyl)-1-piperazinyl]ethyl]amino]-4-pyrimidinyl]carbonyl]-4-[[(1,4-dihydro-4-oxo-2-pyrimidinyl)amino]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 147529-64-4
CMF C28 H36 Cl N9 O3

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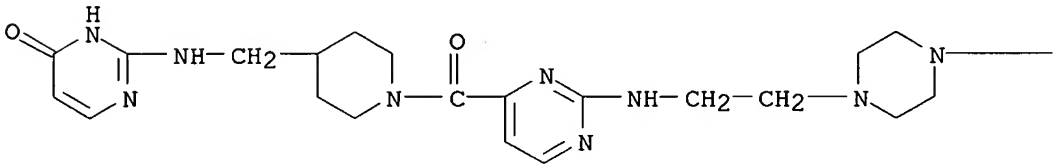
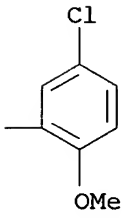
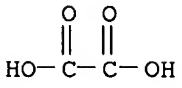


PAGE 1-B



CM 2

CRN 144-62-7
CMF C2 H2 O4

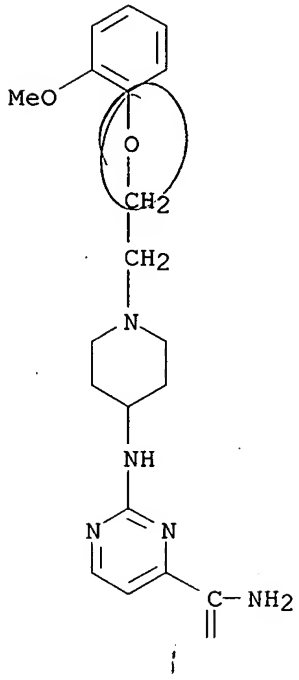


L12 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1993:213105 CAPLUS
DN 118:213105
TI Preparation of 2-aminopyrimidine-4-carboxamide derivatives as .alpha.1-adrenergic receptor antagonists
IN George, Pascal; Maloizel, Christian; Marabout, Benoit; Merly, Jean Pierre
PA Synthelabo S. A., Fr.
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 520882	A1	19921230	EP 1992-401771	19920624
	EP 520882	B1	19950712		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	FR 2678268	A1	19921231	FR 1991-7938	19910627
	FR 2678268	B1	19930903		
	FR 2691148	A1	19931119	FR 1992-6005	19920518
	ES 2077376	T3	19951116	ES 1992-401771	19920624
	NO 9202523	A	19921228	NO 1992-2523	19920626
	AU 9218587	A1	19930107	AU 1992-18587	19920626
	AU 645429	B2	19940113		
	CN 1067887	A	19930113	CN 1992-105077	19920626
	CA 2072434	AA	19930128	CA 1992-2072434	19920626
	HU 61745	A2	19930301	HU 1992-2142	19920626
	ZA 9204783	A	19930331	ZA 1992-4783	19920626
	ZA 9204784	A	19930331	ZA 1992-4784	19920626
	JP 05194419	A2	19930803	JP 1992-168991	19920626
	JP 3159525	B2	20010423		
	US 5246939	A	19930921	US 1992-903977	19920626
PRAI	FR 1991-7938	A	19910627		
	FR 1992-6005	A	19920518		

OS MARPAT 118:213105
AB Title compds. I (X = one or more substituents chosen from H, F, Cl, Me, Me2CH, MeO; n = 2, 3, m = 1, p = 1 or n = 2, 3, m = 0, p = 2; q = 0, 1), isolated as hydrochloride or fumarate salts, are prepd. by amination of 2-chloropyrimidine-4-carboxamide with the appropriate substituted amine which is prepd. by two different approaches. Compds. I are .alpha.1-adrenergic receptor antagonists, as studied in isolated rabbit urethra.
IT **146993-07-9P 146993-08-0P 147007-65-6P**
147007-66-7P 147007-67-8P 147007-68-9P
147007-69-0P 147007-70-3P 147007-72-5P
147007-75-8P 147396-86-9P 147396-87-0P
147396-88-1P 147396-89-2P 147396-91-6P
147396-94-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as .alpha.1-adrenergic receptor antagonist)
RN 146993-07-9 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[2-(2-methoxyphenoxy)ethyl]-4-piperidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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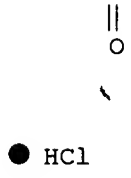
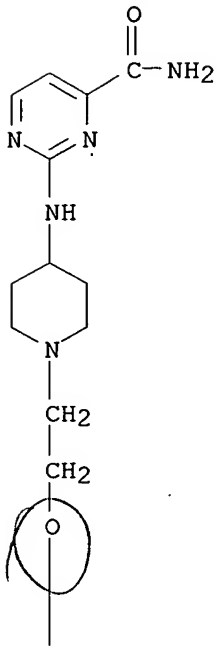


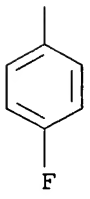
● HCl

RN 146993-08-0 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[2-(4-fluorophenoxy)ethyl]-4-piperidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



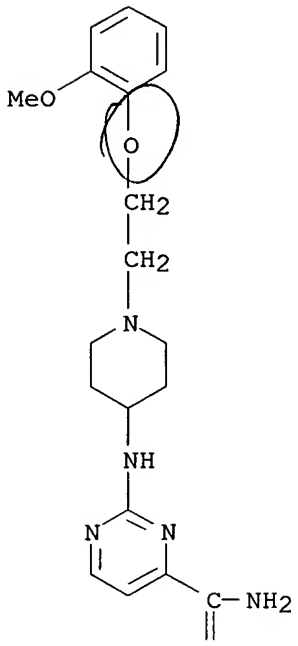
PAGE 2-A



● HCl

RN 147007-65-6 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[2-(2-methoxyphenoxy)ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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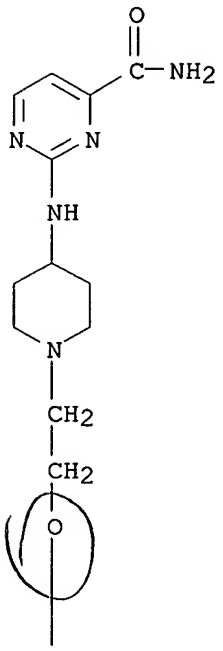
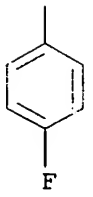
RN 147007-66-7 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[2-(4-fluorophenoxy)ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

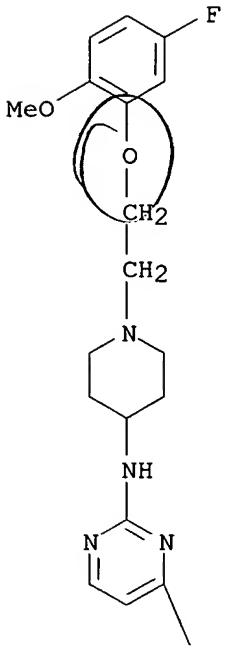


PAGE 2-A



RN 147007-67-8 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[2-(5-fluoro-2-methoxyphenoxy)ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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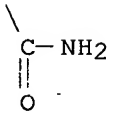
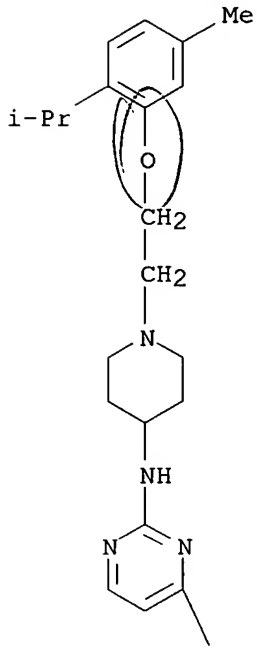
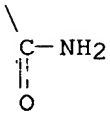


RN 147007-68-9 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[2-[5-methyl-2-(1-methylethyl)phenoxy]ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

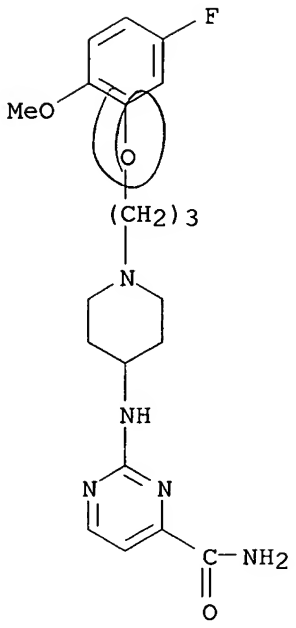
PAGE 1-A



PAGE 2-A

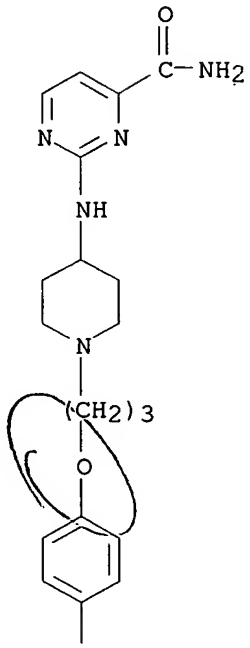


RN 147007-69-0 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[3-(5-fluoro-2-methoxyphenoxy)propyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 147007-70-3 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[3-(4-fluorophenoxy)propyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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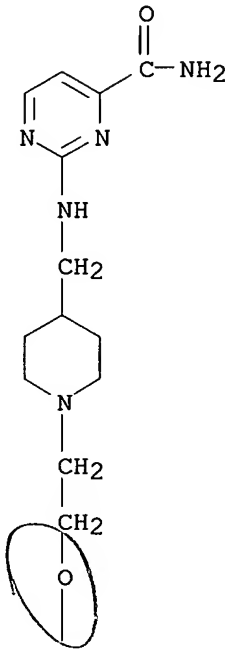
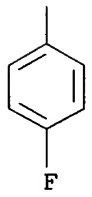
RN 147007-72-5 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[[1-[2-(4-fluorophenoxy)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

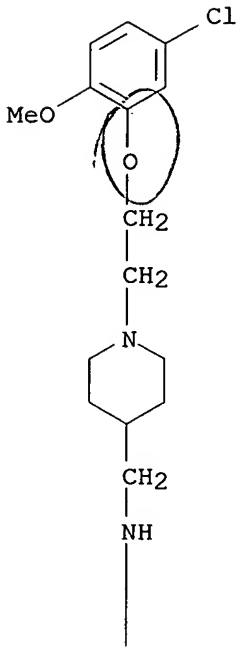


PAGE 2-A

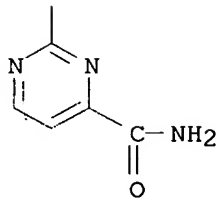


RN 147007-75-8 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[[1-[2-(5-chloro-2-methoxyphenoxy)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

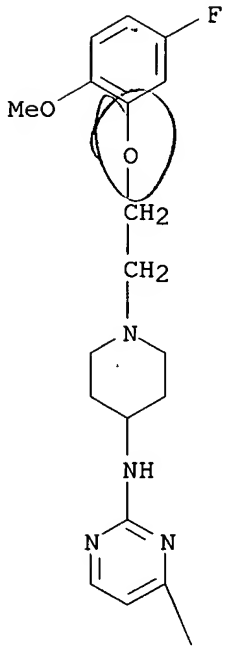


RN 147396-86-9 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[2-(5-fluoro-2-methoxyphenoxy)ethyl]-4-piperidinyl]amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

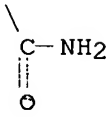
CM 1

CRN 147007-67-8
CMF C19 H24 F N5 O3

PAGE 1-A



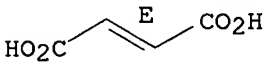
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

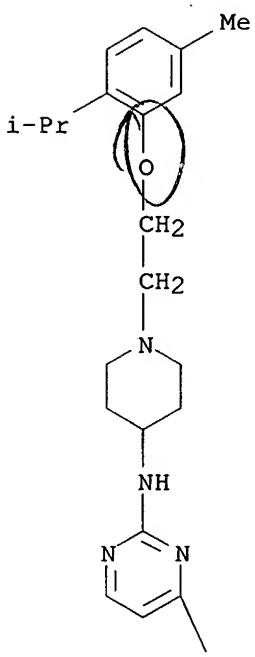


RN 147396-87-0 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[2-[5-methyl-2-(1-methylethyl)phenoxy]ethyl]-4-piperidinyl]amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

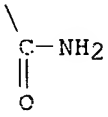
CM 1

CRN 147007-68-9
CMF C22 H31 N5 O2

PAGE 1-A



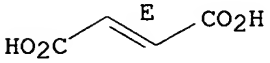
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

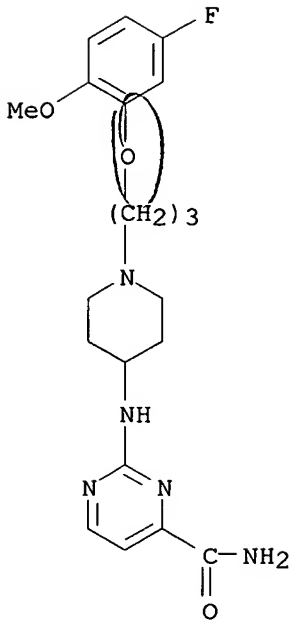
Double bond geometry as shown.



RN 147396-88-1 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[3-(5-fluoro-2-methoxyphenoxy)propyl]-4-piperidinyl]amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

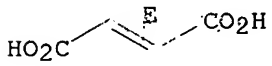
CRN 147007-69-0
CMF C20 H26 F N5 O3



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

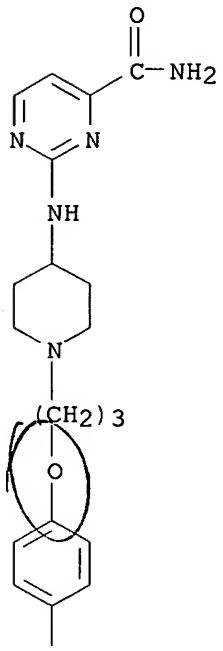


RN 147396-89-2 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[1-[3-(4-fluorophenoxy)propyl]-4-piperidinyl]amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 147007-70-3
CMF C19 H24 F N5 O2

PAGE 1-A

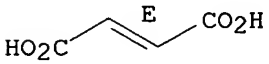


PAGE 2-A

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

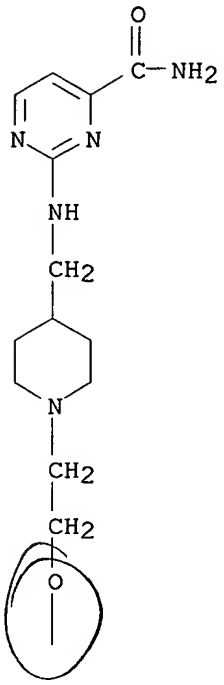


RN 147396-91-6 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[[1-[2-(4-fluorophenoxy)ethyl]-4-piperidinyl]methyl]amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

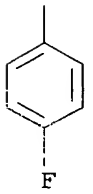
CM 1

CRN 147007-72-5
CMF C19 H24 F N5 O2

PAGE 1-A



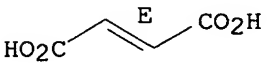
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

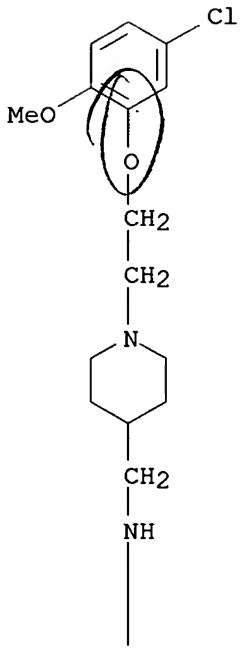


RN 147396-94-9 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[[1-[2-(5-chloro-2-methoxyphenoxy)ethyl]-4-piperidinyl]methyl]amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

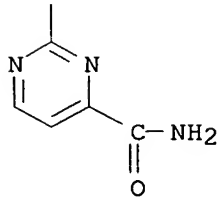
CM 1

CRN 147007-75-8
CMF C20 H26 Cl N5 O3

PAGE 1-A



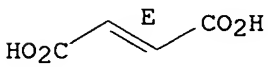
PAGE 2-A



CM 2

CRN 110-17-8
CMF C4 H4 O4

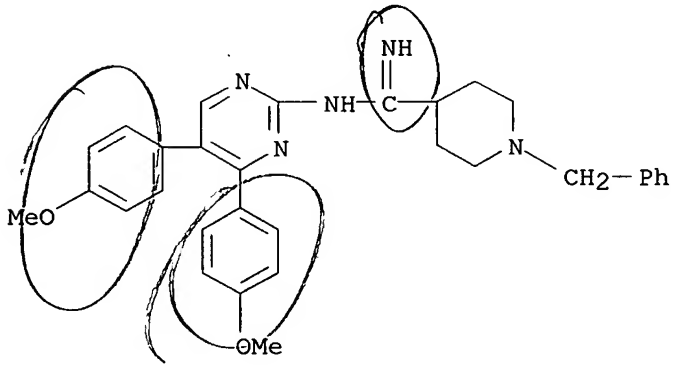
Double bond geometry as shown.



L12 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1992:235661 CAPLUS
DN 116:235661
TI Preparation of diphenylazines as antithrombotics vasodilators, antihypertensives, and antiinflammatories
IN Takasugi, Hisashi; Sakai, Hiroyoshi; Tanaka, Akito; Ishikawa, Takatoshi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9202513	A1	19920220	WO 1991-JP1042	19910805
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	JP 06501926	T2	19940303	JP 1991-513247	19910805
PRAI	GB 1990-17183		19900806		
	GB 1990-20345		19900918		
	WO 1991-JP1042		19910805		

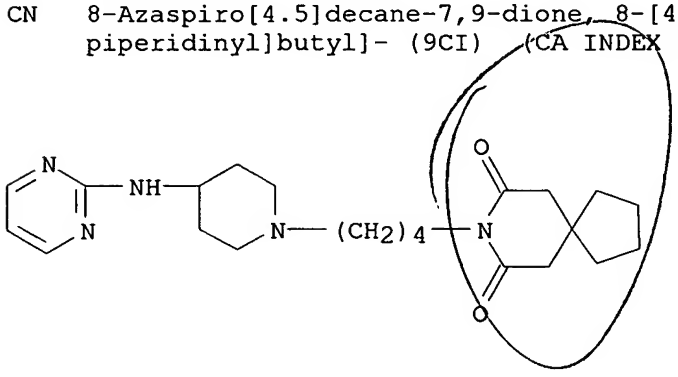
OS MARPAT 116:235661
AB Title compds. [I; R1,R2 = alkoxy; R3 = (substituted) (tetrahydro)pyridyl, piperidyl, piperazinyl, morpholinyl, substituted amino, carboxyalkyl, carboxyalkenyl, hydroxyalkyl, CHO, EtO2C, alkylaminocarbonyl, etc.; Y,Z = CH, N], were prepd. Thus, 3-ethoxycarbonyl-5,6-bis(4-methoxyphenyl)-1,2,4-trriazine and N-methylpiperazine were heated at 80-90.degree. for 4 h 40 min to give, after treatment with HCl in EtOH, title compd. II. In an ex vivo screen, II at 1.0 mg/kg orally gave 100% inhibition of arachidonic acid induced platelet aggregation in guinea pig platelet rich plasma.
IT **141425-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)
RN 141425-06-1 CAPLUS
CN 4-Piperidinecarboximidamide, N-[4,5-bis(4-methoxyphenyl)-2-pyrimidinyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1992:41481 CAPLUS
DN 116:41481
TI Preparation of new piperazine- and piperidine-containing azaspiro[4.5]decane-7,9-dione derivatives with serotoninergic activity
IN Orjales Venero, Aurelio; Rodes Solanes, Rosa
PA Fabrica Espanola de Productos Quimicos y Farmaceuticos S. A. (FAES), Spain
SO Span., 8 pp.
CODEN: SPXXAD
DT Patent
LA Spanish
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 2019228	A6	19910601	ES 1990-421	19900213
	FI 9100652	A	19910814	FI 1991-652	19910211
	EP 447345	A2	19910918	EP 1991-500014	19910211
	EP 447345	A3	19920415		
	R: AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE				
	NO 9100564	A	19910814	NO 1991-564	19910212
	AU 9170983	A1	19910815	AU 1991-70983	19910212
	CA 2036269	AA	19910814	CA 1991-2036269	19910213
	JP 08092221	A2	19960409	JP 1991-41144	19910213
PRAI	ES 1990-421		19900213		

OS MARPAT 116:41481
AB Title compds. I [X = N, CH; n = 2 or 4; Z = pyrimidin-2-ylamino, 3-F3CC6H4, or benzimidazol-2-yl substituted in 1-position by lower alkyl or 4-FC6H4CH2] are prepd. by cyclocondensation of 3,3-tetramethyleneglutaric anhydride (II) with corresponding amines in, e.g., pyridine, PhMe, or BuOH, at 80-140.degree., preferably at reflux temp. Thus, reaction of II with 1-(4-aminobutyl)-4-[3-(trifluoromethyl)phenyl]piperazine in refluxing pyridine over 20 h gave 66% I (X = N, n = 4, Z = 3-F3CC6H4). I showed 5-HT1A receptor activity (displacement of [3H]-8-OH-DPAT from rat frontal cortex tissue) similar to buspirone (Ki = 1.99 .times. 10-8).
IT **138307-24-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nervous system agent)
RN 138307-24-1 CAPLUS
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-[4-(2-pyrimidinylamino)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1991:23983 CAPLUS
DN 114:23983
TI Preparation of 2-aminopyrimidines as nervous system agents
IN Tomino, Ikuo; Takesue, Mitsuyuki; Kihara, Noriaki; Kitahara, Takumi; Awaya, Akira; Horikomi, Kazutoshi; Sasaki, Tadayuki; Mizuchi, Akira
PA Mitsui Petrochemical Industries, Ltd., Japan; Mitsui Pharmaceuticals, Inc.
SO Eur. Pat. Appl., 154 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

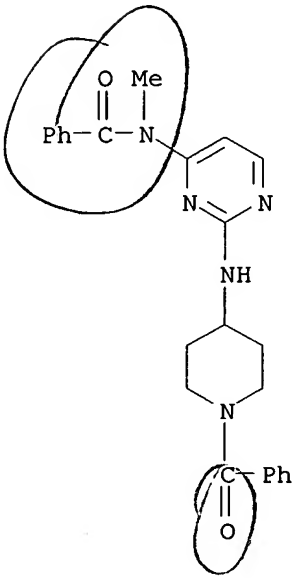
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 379806	A2	19900801	EP 1989-313595	19891227
	EP 379806	A3	19910529		
	EP 379806	B1	19960410		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 02221275	A2	19900904	JP 1989-41729	19890223
	HU 52769	A2	19900828	HU 1989-6762	19891222
	HU 206337	B	19921028		
	HU 61288	A2	19921228	HU 1992-1488	19891222
	HU 209574	B	19940829		
	HU 61293	A2	19921228	HU 1992-1485	19891222
	HU 210001	B	19950130		
	HU 61313	A2	19921228	HU 1992-1487	19891222
	HU 209594	B	19940829		
	JP 03014568	A2	19910123	JP 1989-334759	19891226
	JP 2744663	B2	19980428		
	EP 612746	A1	19940831	EP 1994-105018	19891227
	R: DE, FR, GB, IT				
	AT 136542	E	19960415	AT 1989-313595	19891227
	AU 8947329	A1	19900705	AU 1989-47329	19891228
	AU 629595	B2	19921008		
	CA 2006944	AA	19900629	CA 1989-2006944	19891229
	CN 1045390	A	19900919	CN 1989-109731	19891229
	CN 1037513	B	19980225		
	US 5147876	A	19920915	US 1989-459376	19891229
	US 5264435	A	19931123	US 1992-888726	19920526
	CN 1090846	A	19940817	CN 1993-119388	19931021
PRAI	JP 1988-333670		19881229		
	JP 1989-41728		19890223		
	JP 1989-41729		19890223		
	HU 1989-6762		19891222		
	EP 1989-313595		19891227		
	US 1989-459376		19891229		

OS MARPAT 114:23983
AB The title compds. [I; R1 = H, alkyl; X = morpholino, (substituted) pyrrolidino, piperidino, azepino, piperazino, tetrahydroquinolinyl, tetrahydroisoquinolinyl, etc.; Y = amino, pyridin-4-ylcarbonyl, piperidinyl-N-carbonyl, phenylcarbamoyl, benzoyl, phthalimido, etc., CH2R2; R2 = H, alkyl, alkoxy, alkylthio, dialkylamino; Z = H, halo, alkyl, alkoxycarbonyl], were prepd. Thus MeNH2 in MeOH was added to 2,4-dichloropyrimidine in CH2Cl2 at 5.degree. followed by stirring for 12 h at room temp. to give 2-chloro-4-methylaminopyrimidine. The latter was heated with 4-phenylpiperidine in BuOH at 130.degree. for 1 h to give 4-methylamino-2-(4-phenylpiperdino)pyrimidine. The latter in THF contg. Et3N was treated with PhCOCl in THF and then with pyridine. The mixt. was

stirred 2 days to give 70% title compd. II. I increased twitch tension in rats with crushed sciatic nerves from 33.3% of normal (controls) to 48.1-51.2% at 10-30 ng/kg i.p. daily over 30 d.

IT **131037-31-5P 131038-42-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nervous system agent)

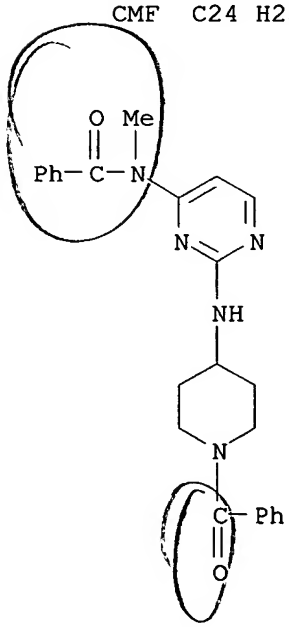
RN 131037-31-5 CAPLUS
CN Benzamide, N-[2-[(1-benzoyl-4-piperidinyl)amino]-4-pyrimidinyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 131038-42-1 CAPLUS
CN Benzamide, N-[2-[(1-benzoyl-4-piperidinyl)amino]-4-pyrimidinyl]-N-methyl-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

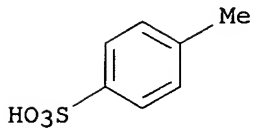
CM 1

CRN 131037-31-5
CMF C24 H25 N5 O2



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



L12 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1989:114567 CAPLUS
DN 110:114567
TI Preparation of (4-Piperidinylmethyl and -hetero)purines as antiallergic agents
IN Janssens, Frans Eduard; Diels, Gaston Stanislas Marcella
PA Janssen Pharmaceutica N. V., Belg.
SO Eur. Pat. Appl., 102 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

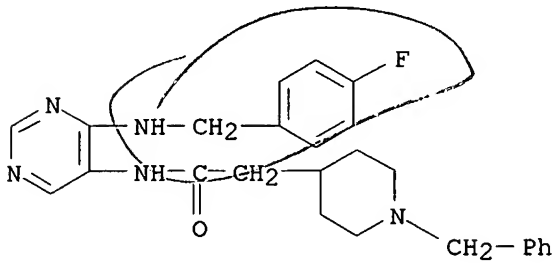
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 206415	A2	19861230	EP 1986-201048	19860617
	EP 206415	A3	19880316		
	EP 206415	B1	19930127		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	CA 1267889	A1	19900417	CA 1986-511113	19860609
	SU 1581221	A3	19900723	SU 1986-4027617	19860610
	AT 85055	E	19930215	AT 1986-201048	19860617
	JP 62000487	A2	19870106	JP 1986-143155	19860620
	ES 556381	A1	19871116	ES 1986-556381	19860620
	FI 8602655	A	19861225	FI 1986-2655	19860623
	FI 85704	B	19920214		
	FI 85704	C	19920525		
	DK 8602952	A	19861225	DK 1986-2952	19860623
	DK 169073	B1	19940808		
	NO 8602504	A	19861229	NO 1986-2504	19860623
	NO 163956	B	19900507		
	NO 163956	C	19900815		
	AU 8659191	A1	19870108	AU 1986-59191	19860623
	AU 588890	B2	19890928		
	HU 42095	A2	19870629	HU 1986-2631	19860623
	HU 199143	B	19900129		
	ZA 8604677	A	19880224	ZA 1986-4677	19860623
	IL 79193	A1	19901105	IL 1986-79193	19860623
	US 5041448	A	19910820	US 1989-323250	19890309
	US 5258380	A	19931102	US 1991-719273	19910621
PRAI	GB 1985-15934		19850624		
	US 1986-858339		19860501		
	EP 1986-201048		19860617		
	US 1989-323250		19890309		

AB The title compds. I [A1:A2:A3:A4 = N:CHN:CH, CH:NCH:N, wherein 1 or 2 H may each be replaced by halo, C1-6 alkyl, C1-6 alkoxy, F3C, HO; R1 = H, C1-10 alkyl, C3-6 cycloalkyl, etc.; R2 = H, C1-6 alkyl; B = H2C, O, S, SO, SO2, NR, R = H, C1-6 alkyl, C3-6 cycloalkyl, etc.; L = (un)substituted methoxyalkyl, -methylthioalkyl, -alkoxycarbonyl, alkylthio, (un)substituted alkyl, optionally with heteroatom interrupters, (un)substituted N-heterocyclyl, (un)substituted pyrimidinyloxyalkyl, -thioalkyl, etc., with restrictions] and their salts, useful as antiallergic agents, were prepd. 2-Ethenylpyridine, 9-[(4-fluorophenyl)methyl]-N-(4-piperidinyl)-9H-purin-8-amine and BuOH were refluxed overnight to give 9-[(4-fluorophenyl)methyl]-N-[1-[2-(2-pyridinyl)ethyl]-4-piperidinyl]-9H-purin-8-amine (II). In tests in rats against compd. 48/80, a potent histamine releasing agent, at 0.5 mg/kg, the ED50 of II was 0.01 mg/kg.
IT **116062-35-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization with phosphoryl chloride)

RN 116062-35-2 CAPLUS

CN 4-Piperidineacetamide, N-[4-[[(4-fluorophenyl)methyl]amino]-5-pyrimidinyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

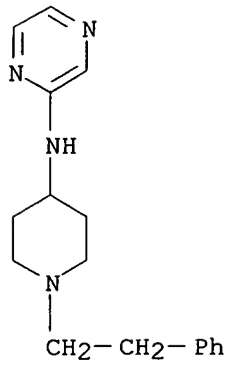


Same as #48

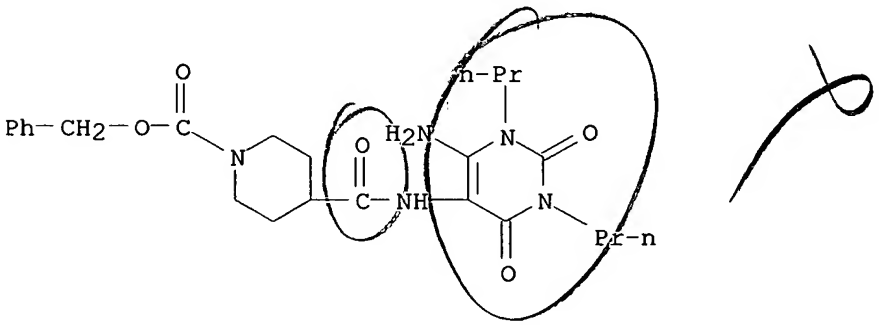
L12 ANSWER 50 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1988:630817 CAPLUS
DN 109:230817
TI Preparation and testing of 4-(heterocyclylacylamino)piperidines as narcotic antagonists and analgesics
IN Bagley, Jerome R.; Spencer, Kenneth H.
PA BOC Inc., USA
SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 277794	A2	19880810	EP 1988-300829	19880201
	EP 277794	A3	19891025		
	EP 277794	B1	19940420		
	R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 4791112	A	19881213	US 1987-9857	19870202
	DK 8800493	A	19880803	DK 1988-493	19880201
	FI 8800452	A	19880803	FI 1988-452	19880201
	FI 90980	B	19940114		
	FI 90980	C	19940425		
	AU 8811142	A1	19880804	AU 1988-11142	19880201
	AU 598905	B2	19900705		
	ES 2063030	T3	19950101	ES 1988-300829	19880201
	NO 8800443	A	19880803	NO 1988-443	19880202
	NO 169070	B	19920127		
	NO 169070	C	19920506		
	CN 88100563	A	19880817	CN 1988-100563	19880202
	JP 63264460	A2	19881101	JP 1988-22650	19880202
	JP 06062565	B4	19940817		
	US 4900738	A	19900213	US 1988-255184	19881007
	US 4954506	A	19900904	US 1990-468381	19900122
PRAI	US 1987-9857		19870202		
	US 1989-362119		19890606		

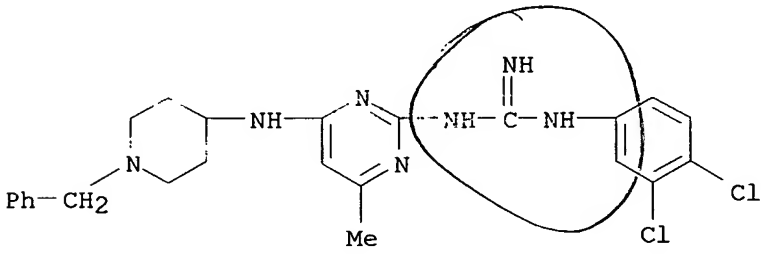
OS CASREACT 109:230817; MARPAT 109:230817
AB The title compds. [I; R = 4-10 membered (substituted) heterocyclyl; R1 = furanyl, thienyl, alkoxyalkyl; R2 = phenylalkyl] useful as narcotic antagonists and analgesics, were prepd. A mixt. of 2-chloro-4-methylpyridine N-oxide (prepn. given) and N-phenethyl-4-aminopiperidine was refluxed 48 h in ME2CHCH2CH2OH contg. Na2CO3 and KI and the coupling product was treated with PCl3 in CHCl3 to give N-phenethyl-4-(4-methylpyrid-2-yl)aminopiperidine, which was treated with 2-furoylchloride in Et3N/CHCl3 for 30 min at room temp to give N-(4-methylpyrid-2-yl)-N-[1-(2-phenylethyl)-4-piperidyl]-2-furamide. I had ED50's of 0.081-10.0 mg/kg i.v. in the hot plate analgesia test in mice.
IT **117523-88-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for narcotic antagonist and analgesic)
RN 117523-88-3 CAPLUS
CN Pyrazinamine, N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)


N
N
NH
N
CH2— CH2— Ph

L12 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1988:94512 CAPLUS
DN 108:94512
TI 8-Aryl- and 8-cycloalkyl-1,3-dipropylxanthines: further potent and selective antagonists for A1-adenosine receptors
AU Shamim, M. T.; Ukena, D.; Padgett, W. L.; Hong, O.; Daly, J. W.
CS Lab. Bioorg. Chem., Natl. Inst. Diabetes, Dig. Kidney Dis., Bethesda, MD, 20892, USA
SO Journal of Medicinal Chemistry (1988), 31(3), 613-17
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 108:94512
AB A series of 1,3-dipropylxanthines were prepd. with a variety of substituents, including aryl and cycloalkyl groups, at the 8-position. Polar carboxylate and carboxamide moieties were introduced as aryl substituents to increase H2O soly. 1,3-Dipropyl-8-[2-hydroxy-4-[(carboxymethyl)oxy]phenyl]xanthine (I) is a functionalized congener with high potency (Ki = 37 nM) and selectivity (54-fold) for A1-adenosine receptors. I was used to prep. a series of other analogs, some with higher potency and some with higher selectivity. 8-Cyclopentyl- and 8-cyclohexyl-1,3-dipropylxanthines were both very potent (Ki = 1-1.5 nM) and selective for A1 receptors, while 8-cycloalkylmethyl analogs were 10-fold less potent, but still very selective for A1 receptors. 8-Piperidinyl and 8-pyrazinyl analogs had very low activities as adenosine receptor antagonists.
IT **112683-79-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)
RN 112683-79-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-amino-1,2,3,4-tetrahydro-2,4-dioxo-1,3-dipropyl-5-pyrimidinyl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 54 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1974:103776 CAPLUS
DN 80:103776
TI Antimalarial drugs. 35. Synthesis and antimalarial effects of 1-(3,4-dichlorophenyl)-3-[4-[(1-ethyl-3-piperidyl)amino]-6-methyl-2-pyrimidinyl]guanidine and related substances
AU Elslager, Edward F.; Werbel, Leslie M.; Curry, Ann; Headen, Nancy; Johnson, Judith
CS Res. Dev. Div., Parke, Davis and Co., Ann Arbor, MI, USA
SO Journal of Medicinal Chemistry (1974), 17(1), 75-100
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Structure-antimalarial activity of 1-(3,4-dichlorophenyl)-3-[4-[(1-ethyl-3-piperidyl)amino]-6-methyl-2-pyrimidinyl]guanidine (I) [21062-28-2] and 120 analogs prepd. by condensation of the aryl(4-chloro-6-methyl-2-pyrimidinyl)guanidine derivs. with the appropriate polyamines is given. Curative activity against Plasmodium berghei infection in mice was shown by 90 compds. in single s.c. doses of 20-640 mg/kg. While 62 compds showed suppressive activity after oral administration, 46 of them were 2-30 times as potent as quinine-HCl [130-89-2]. Strong suppressive activity against P. gallinaceum in chicks was shown by 59 compds.
IT **51387-28-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antimalarial activity of)
RN 51387-28-1 CAPLUS
CN Guanidine, N-(3,4-dichlorophenyl)-N'-[4-methyl-6-[[1-(phenylmethyl)-4-piperidinyl]amino]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



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L3               STRUCTURE UPLOADED
L4               QUE L3 AND L1 NOT L2
L5             0 S L4 SSS SAM
L6               SCREEN 1840
L7               SCREEN 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047
L8               STRUCTURE UPLOADED
L9               QUE L8 AND L6 NOT L7
L10            3 S L9 SSS SAM
L11          332 S L9 SSS FUL

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     FILE 'CAOLD' ENTERED AT 19:34:00 ON 22 MAY 2003

=> s l11
L13            0 L11

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FULL ESTIMATED COST                                 0.40     396.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00     -35.15

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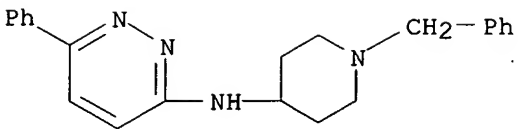
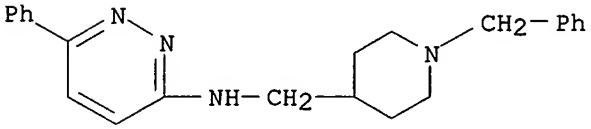
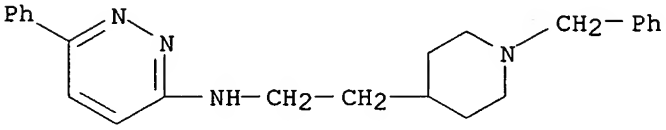
L12 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 2001:400638 CAPLUS
DN 135:189734
TI Structure-based 3D QSAR and design of novel acetylcholinesterase inhibitors
AU Sippl, Wolfgang; Contreras, Jean-Marie; Parrot, Isabelle; Rival, Yveline M.; Wermuth, Camille G.
CS Institut fur Pharmazeutische Chemie, Heinrich-Heine-Universitat Dusseldorf, Dusseldorf, D-40225, Germany
SO Journal of Computer-Aided Molecular Design (2001), 15(5), 395-410
CODEN: JCADEQ; ISSN: 0920-654X
PB Kluwer Academic Publishers
DT Journal
LA English
AB The paper describes the construction, validation and application of a structure-based 3D QSAR model of novel acetylcholinesterase (AChE) inhibitors. Initial use was made of four x-ray structures of AChE complexed with small, non-specific inhibitors to create a model of the binding of recently developed aminopyridazine derivs. Combined automated and manual docking methods were applied to dock the co-crystd. inhibitors into the binding pocket. Validation of the modeling process was achieved by comparing the predicted enzyme-bound conformation with the known conformation in the x-ray structure. The successful prediction of the binding conformation of the known inhibitors gave confidence that we could use our model to evaluate the binding conformation of the aminopyridazine compds. The alignment of 42 aminopyridazine compds. derived by the docking procedure was taken as the basis for a 3D QSAR anal. applying the GRID/GOLPE method. A model of high quality was obtained using the GRID water probe, as confirmed by the cross-validation method (qLOO2 = 0.937, qL50%O2 = 0.910). The validated model, together with the information obtained from the calcd. AChE-inhibitor complexes, were considered for the design of novel compds. Seven designed inhibitors which were synthesized and tested were shown to be highly active. After performing our modeling study the x-ray structure of AChE complexed with donepezil, an inhibitor structurally related to the developed aminopyridazines, has been made available. The good agreement found between the predicted binding conformation of the aminopyridazines and the one obsd. for donepezil in the crystal structure further supports our developed model.
IT **221196-74-3 221196-75-4 221196-76-5**
242802-89-7 357173-49-0 357173-50-3
357173-51-4 357173-52-5 357173-53-6
357173-56-9 357173-57-0 357173-58-1
357173-59-2 357173-60-5 357173-61-6
357173-62-7 357173-63-8 357173-65-0
357173-66-1 357173-69-4 357173-78-5
357173-79-6 357173-80-9 357173-81-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-based 3D QSAR and design of novel acetylcholinesterase inhibitors)
RN 221196-74-3 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



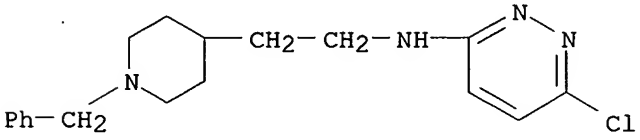
RN 221196-75-4 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



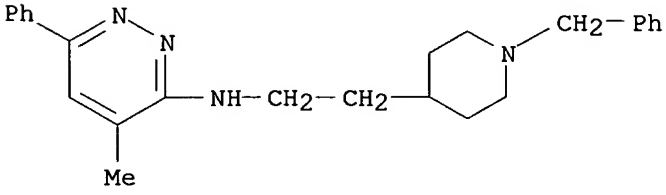
RN 221196-76-5 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 242802-89-7 CAPLUS
CN 3-Pyridazinamine, 6-chloro-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 357173-49-0 CAPLUS
CN 3-Pyridazinamine, 4-methyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 357173-50-3 CAPLUS
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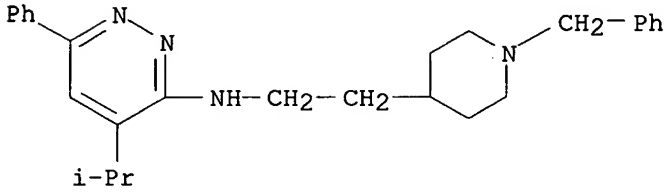
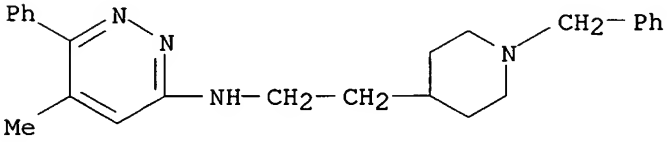
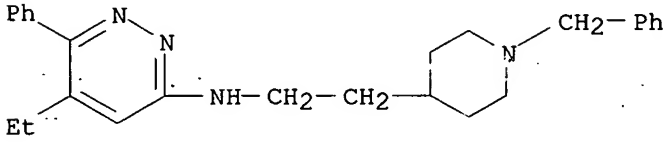


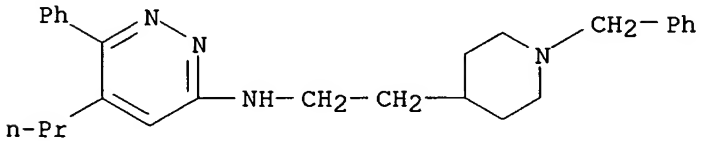
RN 357173-51-4 CAPLUS
CN 3-Pyridazinamine, 5-methyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



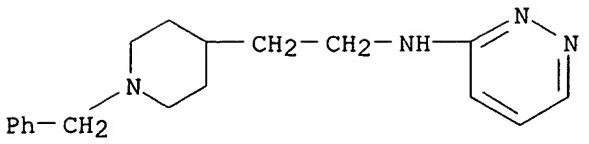
RN 357173-52-5 CAPLUS
CN 3-Pyridazinamine, 5-ethyl-6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



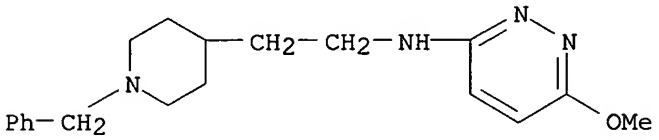
RN 357173-53-6 CAPLUS
CN 3-Pyridazinamine, 6-phenyl-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-5-propyl- (9CI) (CA INDEX NAME)



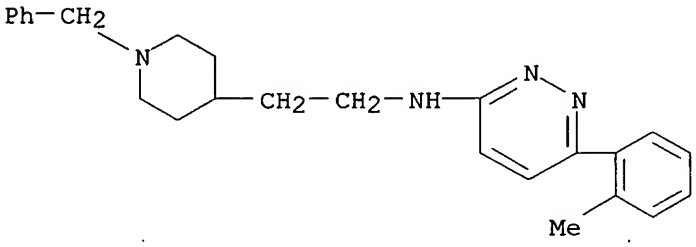
RN 357173-56-9 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



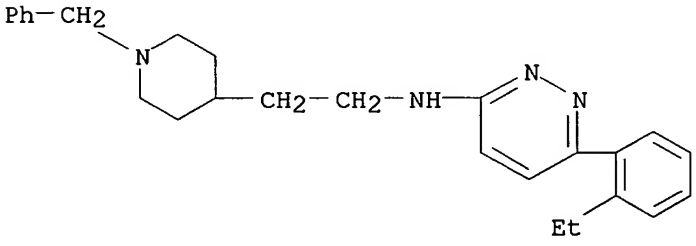
RN 357173-57-0 CAPLUS
CN 3-Pyridazinamine, 6-methoxy-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



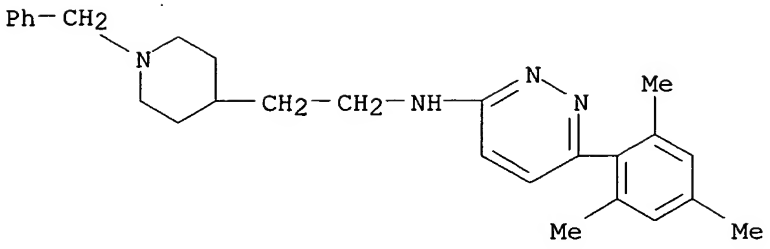
RN 357173-58-1 CAPLUS
CN 3-Pyridazinamine, 6-(2-methylphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



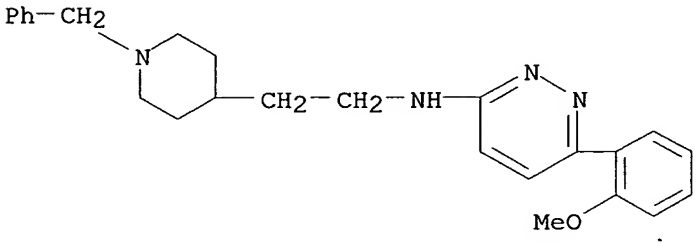
RN 357173-59-2 CAPLUS
CN 3-Pyridazinamine, 6-(2-ethylphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



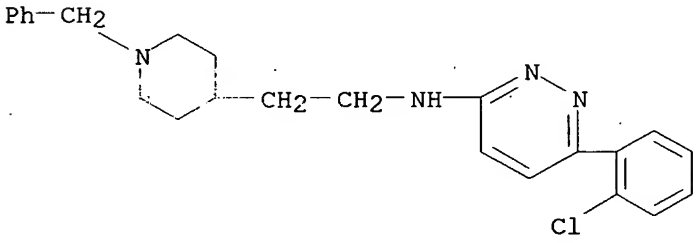
RN 357173-60-5 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-6-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



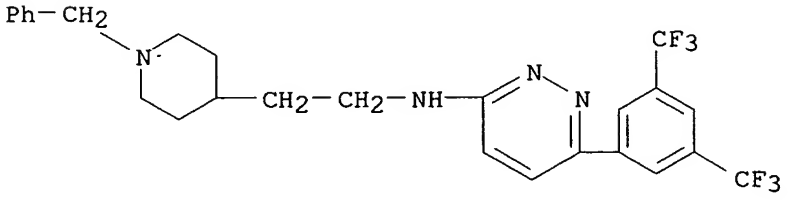
RN 357173-61-6 CAPLUS
CN 3-Pyridazinamine, 6-(2-methoxyphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



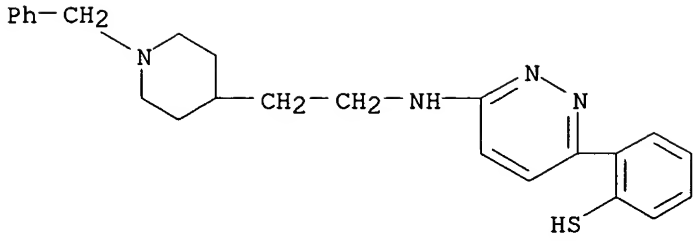
RN 357173-62-7 CAPLUS
CN 3-Pyridazinamine, 6-(2-chlorophenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



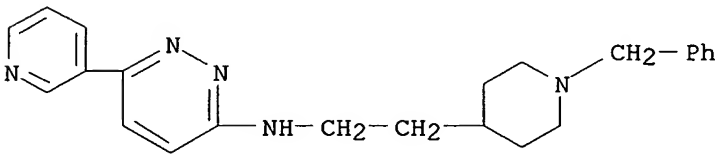
RN 357173-63-8 CAPLUS
CN 3-Pyridazinamine, 6-[3,5-bis(trifluoromethyl)phenyl]-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



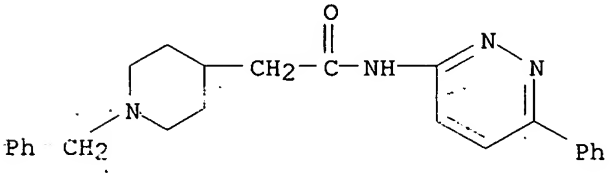
RN 357173-65-0 CAPLUS
CN Benzenethiol, 2-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]- (9CI) (CA INDEX NAME)



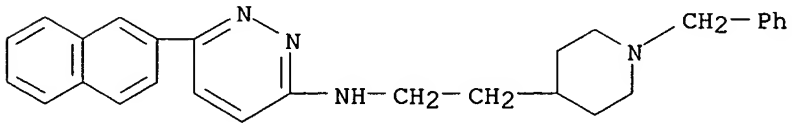
RN 357173-66-1 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



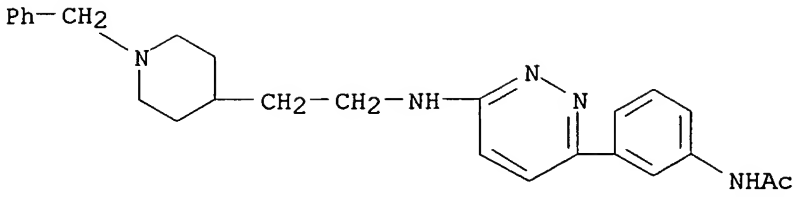
RN 357173-69-4 CAPLUS
CN 4-Piperidineacetamide, 1-(phenylmethyl)-N-(6-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



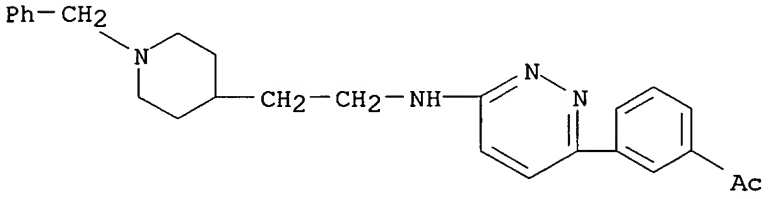
RN 357173-78-5 CAPLUS
CN 3-Pyridazinamine, 6-(2-naphthalenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



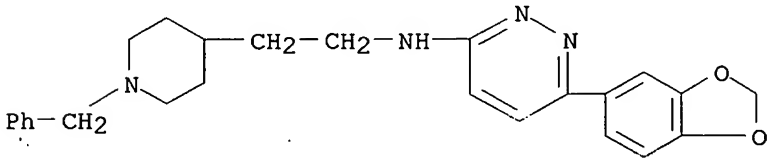
RN 357173-79-6 CAPLUS
CN Acetamide, N-[3-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 357173-80-9 CAPLUS
CN Ethanone, 1-[3-[6-[[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]amino]-3-pyridazinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 357173-81-0 CAPLUS
CN 3-Pyridazinamine, 6-(1,3-benzodioxol-5-yl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

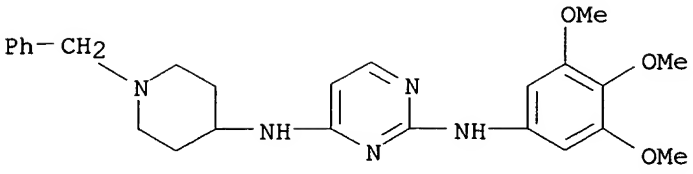


RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

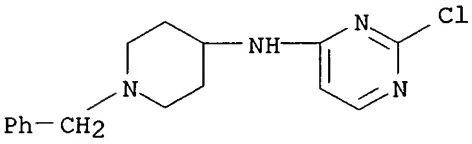
L12 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1997:457074 CAPLUS
DN 127:81461
TI Preparation of substituted 2-anilinopyrimidines as protein kinase inhibitors
IN Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
PA Celltech Therapeutics Limited, UK; Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9719065	A1	19970529	WO 1996-GB2854	19961120
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5958935	A	19990928	US 1996-753041	19961119
	AU 9676314	A1	19970611	AU 1996-76314	19961120
	EP 862560	A1	19980909	EP 1996-939171	19961120
	EP 862560	B1	20030402		
	R: CH, DE, ES, FR, GB, IT, LI				
	US 6235746	B1	20010522	US 1999-249760	19990216
PRAI	GB 1995-23675	A	19951120		
	US 1996-753041	A3	19961119		
	WO 1996-GB2854	W	19961120		

OS MARPAT 127:81461
AB The title compds. [I; R1 = H, halo, (un)substituted alkyl, etc.; R2, R3 = (un)substituted alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = H, (un)substituted alkyl, alkenyl, alkynyl; R6 = H, halo, (un)substituted NH2, etc.; X = a direct bond, a linker atom, group; R7 = (un)substituted aliph., cycloaliph., heteroaliph., heterocycloaliph., arom. or heteroarom. group], selective protein kinase inhibitors, particularly the kinases p56lck, p59fyn, ZAP-70 and protein kinase C, and useful in the prophylaxis and treatment of immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to have a role, were prepd. Thus, treatment of 4-[3-(3-phthalimidopropoxy)phenyl]-N-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine with N2H4.H2O in EtOH afforded I.2HCl [R1 = MeO; R2, R3 = Me; R4-R6 = H; R7 = H2N(CH2)3; X = O] which showed IC50 of 22 nM in the protein kinase assay.
IT **191728-51-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 2-anilinopyrimidines as protein kinase inhibitors)
RN 191728-51-5 CAPLUS
CN 2,4-Pyrimidinediamine, N4-[1-(phenylmethyl)-4-piperidinyl]-N2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



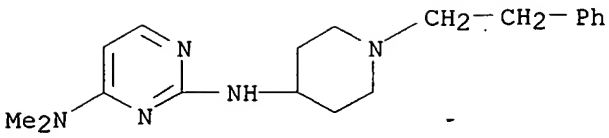
IT **191729-07-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted 2-anilinopyrimidines as protein kinase inhibitors)
RN 191729-07-4 CAPLUS
CN 4-Pyrimidinamine, 2-chloro-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



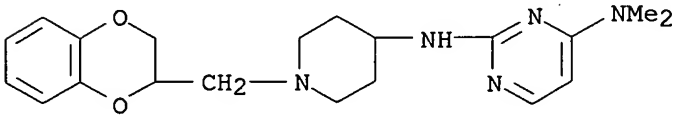
L12 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1997:776084 CAPLUS
DN 128:34778
TI Preparation of 2,4-diaminopyrimidines as dopamine D4 antagonists
IN Bosmans, Jean Paul Rene Marie Andre; Love, Christopher John; Van Lommen, Guy Rosalia Eugene
PA Belg.
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9743279	A1	19971120	WO 1997-EP2506	19970502
	W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, TR, TT, UA, US, UZ, VN, AZ, BY				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9729561	A1	19971205	AU 1997-29561	19970502
	AU 708344	B2	19990805		
	EP 912552	A1	19990506	EP 1997-923914	19970502
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	CN 1218466	A	19990602	CN 1997-194516	19970502
	JP 2000512623	T2	20000926	JP 1997-540536	19970502
	CZ 289250	B6	20011212	CZ 1998-3627	19970502
	ZA 9704050	A	19981109	ZA 1997-4050	19970509
	KR 2000005228	A	20000125	KR 1998-7915	19981002
	KR 2000005228	A	20000125	KR 1998-707915	19981002
	NO 9805228	A	19990111	NO 1998-5228	19981109
	US 6159982	A	20001212	US 1998-180364	19981109
	US 6395742	B1	20020528	US 2000-667458	20000922
PRAI	EP 1996-201283	A	19960510		
	WO 1997-EP2506	W	19970502		
	US 1998-180364	A3	19981109		

OS MARPAT 128:34778
AB Title compds. [I; R = ZR5; R1 = H or alkyl; R2,R3 = H or (cyclo)alkyl; NR2R3 = pyrrolidino, piperidino, perhydroazepino; R4 = H or halo; R5 = aryl(oxy), diarylmethyl, heteroaryl; Z = alk(en)ylene] were prepd. Thus, Et 4-methylamino-1-piperidinecarboxylate was condensed with 2-chloro-4-dimethylaminopyrimidine and the product deprotected to give I (R1-R3 = Me, R4 = H)(II; R = H) which was N-alkylated by ClCH2CH2C6H4(OMe)-3 to give II [R = CH2CH2C6H4(OMe)-3]. Data for biol. activity of I were given.
IT **199667-15-7P 199667-34-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2,4-diaminopyrimidines as dopamine D4 antagonists)
RN 199667-15-7 CAPLUS
CN 2,4-Pyrimidinediamine, N4,N4-dimethyl-N2-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



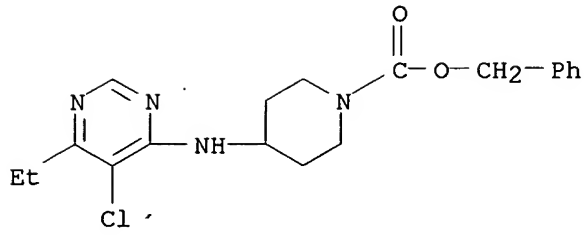
RN 199667-34-0 CAPLUS
CN 2,4-Pyrimidinediamine, N2-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]-N4,N4-dimethyl- (9CI) (CA INDEX NAME)



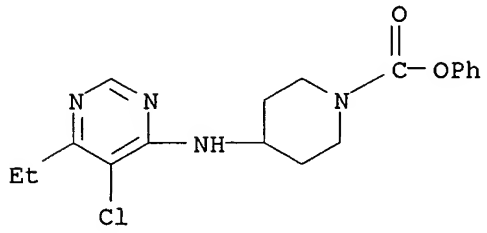
L12 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1999:659665 CAPLUS
DN 131:257581
TI Preparation of piperidinylaminopyrimidines and related compounds as pesticides and fungicides.
IN Schaper, Wolfgang; Braun, Ralf; Jakobi, Harald; Krautstrunk, Gerhard; Maerkl, Martin; Ort, Oswald; Kern, Manfred; Sanft, Ulrich; Bonin, Werner
PA Hoechst Schering AgrEvo G.m.b.H., Germany
SO Ger. Offen., 28 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19815026	A1	19991007	DE 1998-19815026	19980403
	WO 9951589	A1	19991014	WO 1999-EP1944	19990323
	W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9935207	A1	19991025	AU 1999-35207	19990323
	BR 9909386	A	20001205	BR 1999-9386	19990323
	EP 1068197	A1	20010117	EP 1999-916871	19990323
	R: DE, FR, GB, IT				
	JP 2002510680	T2	20020409	JP 2000-542310	19990323
	US 6300333	B1	20011009	US 1999-285199	19990401
PRAI	DE 1998-19815026	A	19980403		
	WO 1999-EP1944	W	19990323		

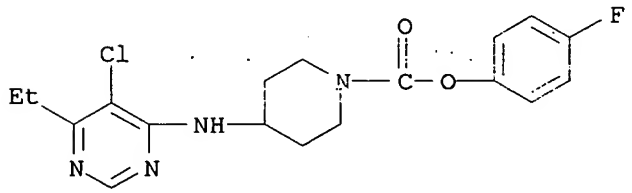
OS MARPAT 131:257581
AB Title compds. [I; R1 = H, halo, alkyl, alkoxy, cycloalkyl; R2, R3 = H, alkyl, alkenyl, alkynyl, alkoxy, halo, OH, cyano NO2, thiocyano, etc.; R2R3 = atoms to form a (substituted) 5-6 membered ring; A = CH, N; X = NH, O, S, SO, SO2; Y, Z = O, S, imino; R4, R41 = H, alkyl, haloalkyl, halo, alkoxy; R5 = alkyl, alkenyl, alkynyl, (substituted) aryl, heterocyclyl, etc.; m, n = 1-5; m+n.ltoreq.6; Q = (CH2)n; Q1 = (CH2)m], were prepd. Thus, 4,5-dichloro-6-ethylpyrimidine, 1-tert-butoxycarbonyl-4-aminopiperidine, and Et3N were heated 8 h at 80-90.degree. to give 63.6% 4-(N-tert-butoxycarbonylpiperidin-1-ylamino)-5-chloro-6-ethylpyrimidine. Tested I at 300 ppm gave 90-100% kill of Tetranychus urticae.
IT **245061-71-6P 245061-73-8P 245061-76-1P**
245061-77-2P 245061-78-3P 245061-79-4P
245061-80-7P 245061-81-8P 245061-82-9P
245061-86-3P 245061-87-4P 245061-88-5P
245061-89-6P 245061-90-9P 245061-91-0P
245061-96-5P 245061-97-6P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinylaminopyrimidines and related compds. as pesticides and fungicides)
RN 245061-71-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)



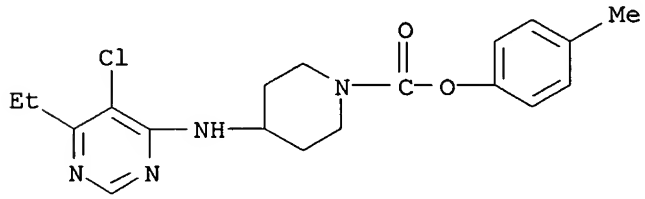
RN 245061-73-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, phenyl ester (9CI) (CA INDEX NAME)



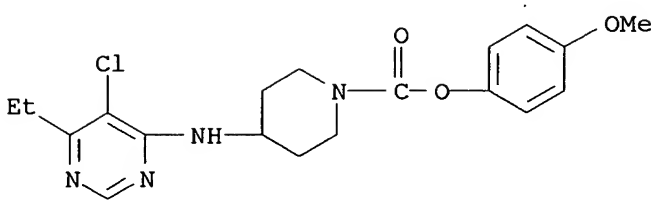
RN 245061-76-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, 4-fluorophenyl ester (9CI) (CA INDEX NAME)



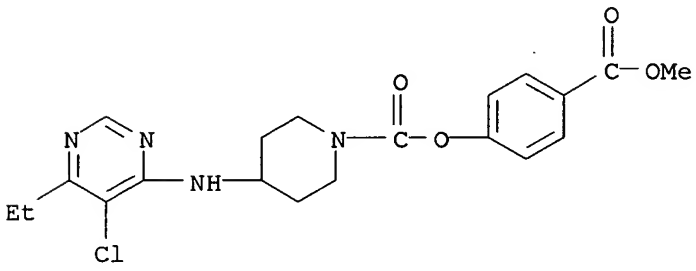
RN 245061-77-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, 4-methylphenyl ester (9CI) (CA INDEX NAME)



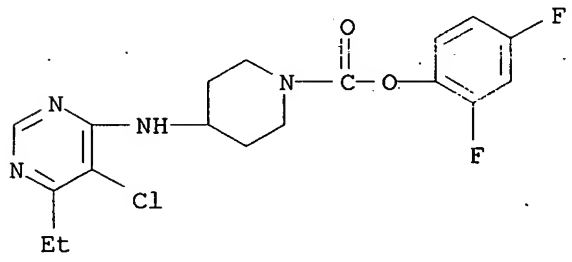
RN 245061-78-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, 4-methoxyphenyl ester (9CI) (CA INDEX NAME)



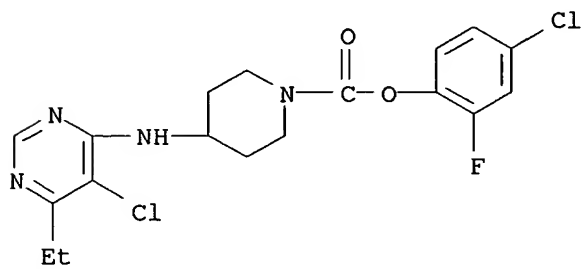
RN 245061-79-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, 4-(methoxycarbonyl)phenyl ester (9CI) (CA INDEX NAME)



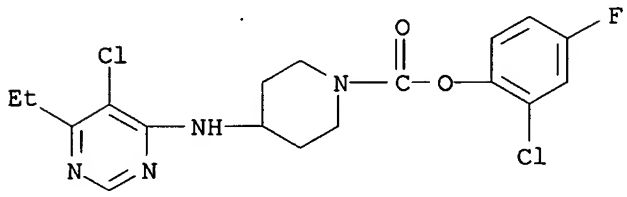
RN 245061-80-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, 2,4-difluorophenyl ester (9CI) (CA INDEX NAME)



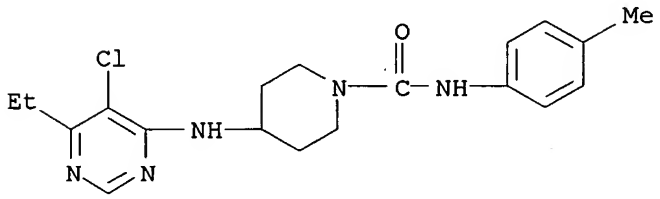
RN 245061-81-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, 4-chloro-2-fluorophenyl ester (9CI) (CA INDEX NAME)



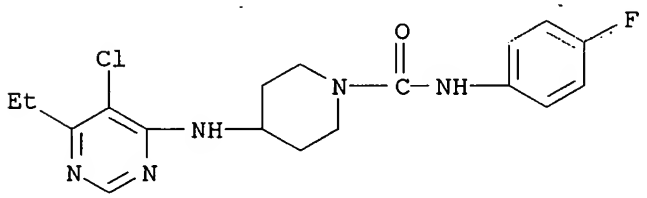
RN 245061-82-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-, 2-chloro-4-fluorophenyl ester (9CI) (CA INDEX NAME)



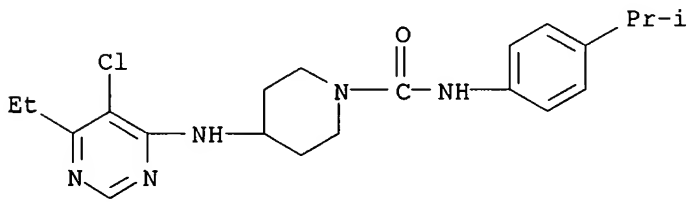
RN 245061-86-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 245061-87-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

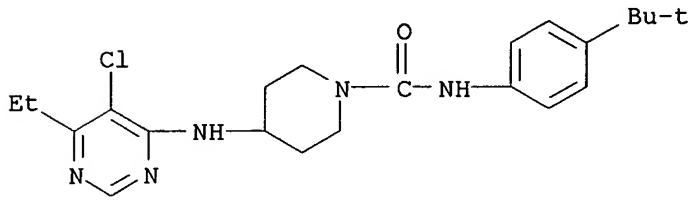


RN 245061-88-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

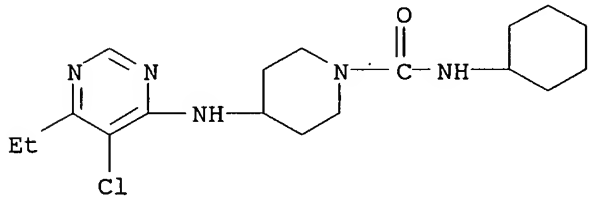


RN 245061-89-6 CAPLUS

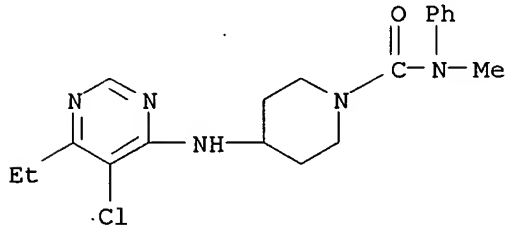
CN 1-Piperidinecarboxamide, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



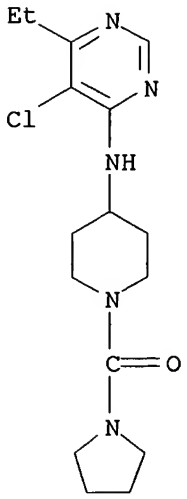
RN 245061-90-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-N-cyclohexyl- (9CI) (CA INDEX NAME)



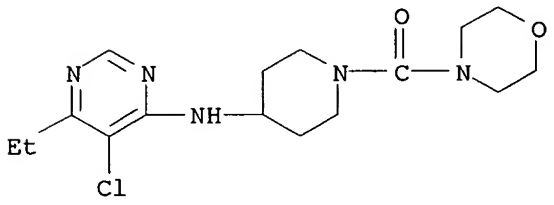
RN 245061-91-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



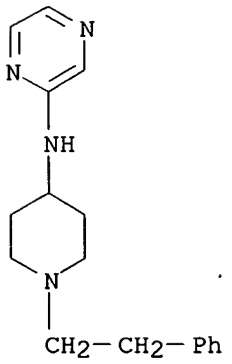
RN 245061-96-5 CAPLUS
CN 4-Piperidinamine, N-(5-chloro-6-ethyl-4-pyrimidinyl)-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



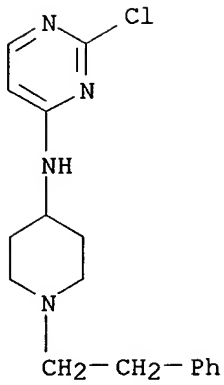
RN 245061-97-6 CAPLUS
CN Morpholine, 4-[[4-[(5-chloro-6-ethyl-4-pyrimidinyl)amino]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



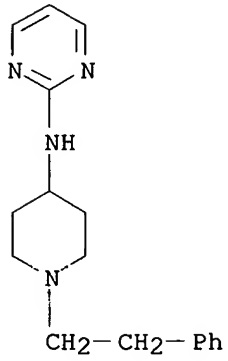
L12 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1989:114793 CAPLUS
DN 110:114793
TI New 4-(heteroanilido)piperidines, structurally related to the pure opioidagonist fentanyl, with agonist and/or antagonist properties
AU Bagley, Jerome R.; Wynn, Richard L.; Rudo, Frieda G.; Doorley, Brian M.; Spencer, H. Kenneth; Spaulding, Theodore
CS BOC Tech. Cent., Anaquest, Murray Hill, NJ, 07974, USA
SO Journal of Medicinal Chemistry (1989), 32(3), 663-71
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 110:114793
AB The prepn., analgesic and opioid agonist and/or antagonist properties of 39 title compds. I (R = heterocyclic, R1 = MeOCH2, 2-furyl, 3-furyl) are reported. Thus, N-phenethyl-4-piperidone condensed with 4-aminomorpholine to give the Schiff base, which was reduced with NaBH4 in MeOH to give (morpholinylamino)phenethylpiperidine II. Treating II with 2-furoyl chloride and Et3N in CHCl3 gave 24% I (R = 4-morpholinino, R1 = 2-furyl). In the mouse hot-plate test, I were weaker analgesics than fentanyl. Two types of antagonists were obsd. in morphine-treated rabbits: those (e.g., I, R = 5-methyl-2-pyridinyl, R1 = 2-furyl) that reversed both respiratory depression and analgesia and those (e.g., I; R = 2-pyrazinyl, R1 = 2-furyl) that selectively reversed respiratory depression. Evaluation of in vitro binding affinities to rat brain opioid receptors was inconclusive for a common locus of action for the agonist as well as the antagonist component. Further pharmacol. evaluation of I (R = 2-pyrazinyl, R1 = 2-furyl) in the rat showed it to be a potent analgesic (ED50 = 0.07 mg/kg, tail-flick test) with little cardiovascular and respiratory depression when compared to fentanyl.
IT **117523-88-3P 118142-52-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation of, with furoyl chlorides)
RN 117523-88-3 CAPLUS
CN Pyrazinamine, N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



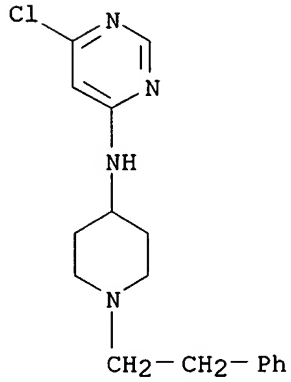
RN 118142-52-2 CAPLUS
CN 4-Pyrimidinamine, 2-chloro-N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



IT **79278-72-1P 118142-53-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amination of, with methoxyacetyl and furoyl chlorides)
RN 79278-72-1 CAPLUS
CN 2-Pyrimidinamine, N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



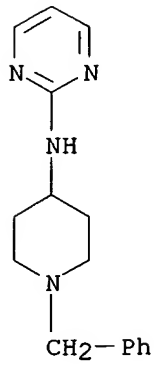
RN 118142-53-3 CAPLUS
CN 4-Pyrimidinamine, 6-chloro-N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1993:671004 CAPLUS
DN 119:271004
TI Preparation of N-[1-(9,10-methano-9-anthracenylmethyl)-4-piperidinyl]alkanamides and analogs as dopamine D2 receptor antagonists
IN Ohnmacht, Cyrus John; Yee, Ying Kwong; Trainor, Diane Amy; Lewis, Joseph James
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 532178	A1	19930317	EP 1992-307355	19920811
	EP 532178	B1	19961016		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	US 5266570	A	19931130	US 1992-926792	19920806
	AT 144254	E	19961115	AT 1992-307355	19920811
	CA 2076146	AA	19930216	CA 1992-2076146	19920814
	NO 9203200	A	19930216	NO 1992-3200	19920814
	AU 9221015	A1	19930218	AU 1992-21015	19920814
	AU 645707	B2	19940120		
	ZA 9206146	A	19930428	ZA 1992-6146	19920814
	JP 05239026	A2	19930917	JP 1992-217000	19920814
	JP 3269574	B2	20020325		
	HU 66515	A2	19941228	HU 1992-2642	19920814
	US 5455246	A	19951003	US 1993-121117	19930913
PRAI	GB 1991-17640	A	19910815		
	GB 1992-7966	A	19920410		
	US 1992-926792	A3	19920806		

OS MARPAT 119:271004
AB Title compds. [I; R = COR2; R2 = (cyclo)alkyl, Ph, heterocyclyl, alkoxyalkyl, alkylamino, alkoxy, etc.; X,Y = H, halo, alkoxy] were prepd. Thus, (9S,10S)-2-chloro-9,10-dihydro-9,10-methano-9-anthracenecarboxylic acid was condensed with 4-[(tert-butoxycarbonyl)amino]piperidine and the product converted in 2 steps to (9S,10S)-I (X = Cl, Y = H) (II; R = H) which was condensed with EtOCH2CO2H to give II (R = COCH2OEt). The latter had min. ED of 2.5 mg/kg orally for, e.g., decrease in apomorphine-induced climbing by mice.
IT **76167-42-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of dopamine D2 receptor antagonist)
RN 76167-42-5 CAPLUS
CN 2-Pyrimidinamine, N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

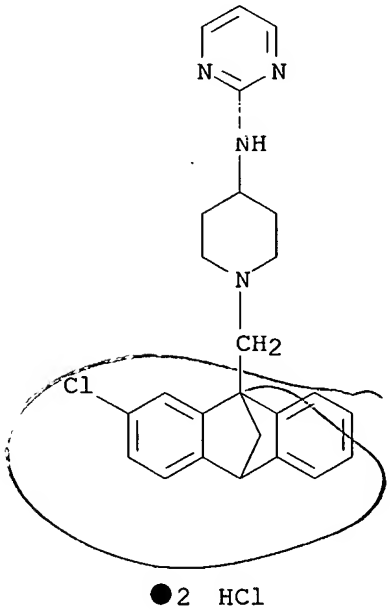


Same as #53.

IT **149605-07-2P 149605-08-3P 149605-09-4P**
149605-10-7P 149605-11-8P 149605-12-9P
149605-13-0P 149605-14-1P 149605-15-2P
149605-16-3P 149605-17-4P 149605-18-5P
149605-21-0P 149605-22-1P 149605-23-2P
149605-66-3P 149605-67-4P 149605-68-5P
149632-79-1P 149714-51-2P 149714-52-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as dopamine D2 receptor antagonist)

RN 149605-07-2 CAPLUS

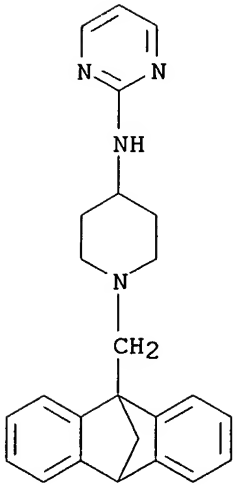
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

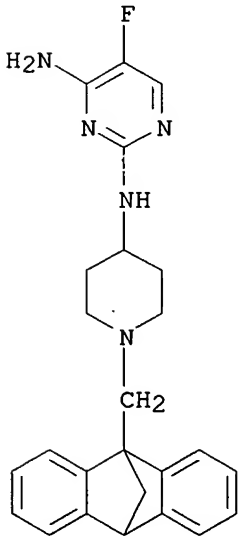
RN 149605-08-3 CAPLUS

CN 2-Pyrimidinamine, N-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



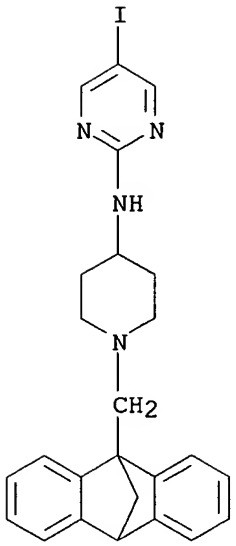
●2 HCl

RN 149605-09-4 CAPLUS
CN 2,4-Pyrimidinediamine, 5-fluoro-N2-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



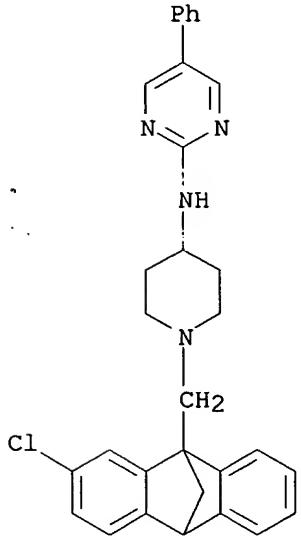
●3 HCl

RN 149605-10-7 CAPLUS
CN 2-Pyrimidinamine, 5-iodo-N-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



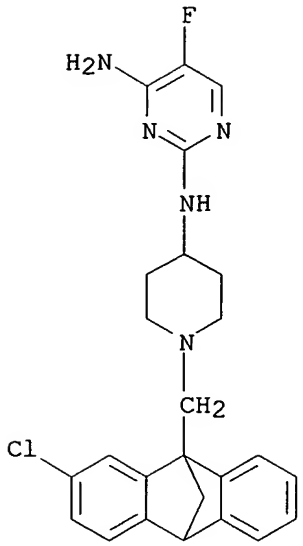
●2 HCl

RN 149605-11-8 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-5-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



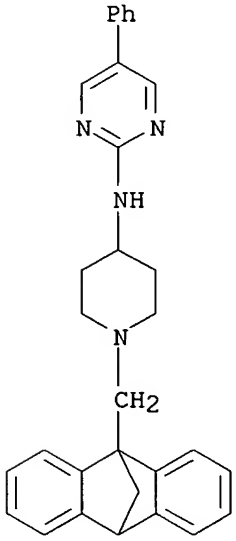
●2 HCl

RN 149605-12-9 CAPLUS
CN 2,4-Pyrimidinediamine, N2-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-5-fluoro-, trihydrochloride (9CI) (CA INDEX NAME)



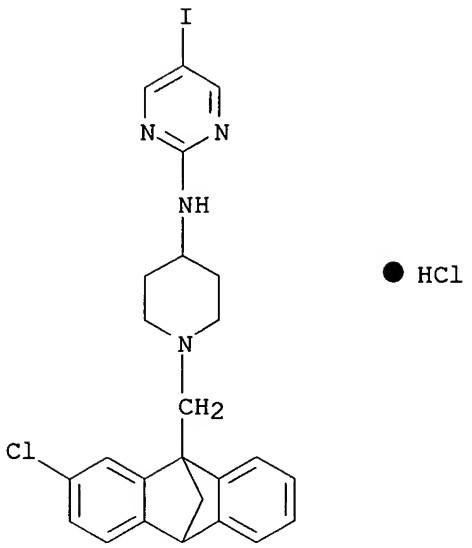
●3 HCl

RN 149605-13-0 CAPLUS
CN 2-Pyrimidinamine, N-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]-5-phenyl-, hydrochloride (2:3) (9CI) (CA INDEX NAME)



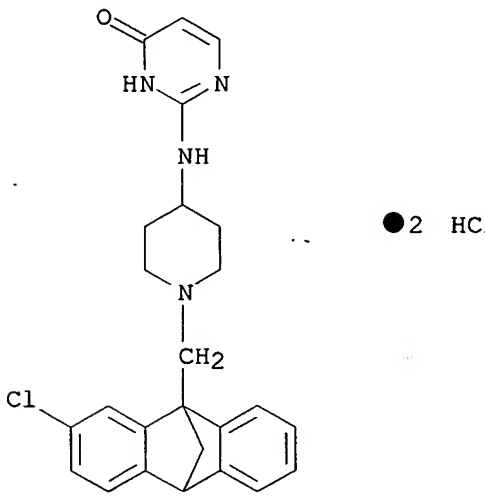
●3/2 HCl

RN 149605-14-1 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-5-iodo-, monohydrochloride (9CI) (CA INDEX NAME)



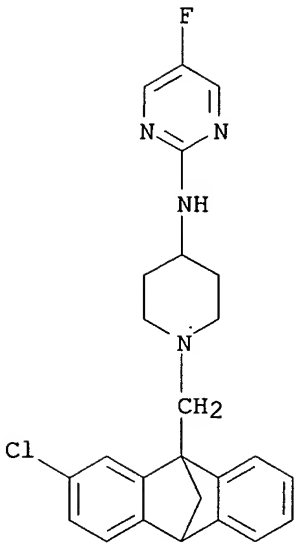
● HCl

RN 149605-15-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



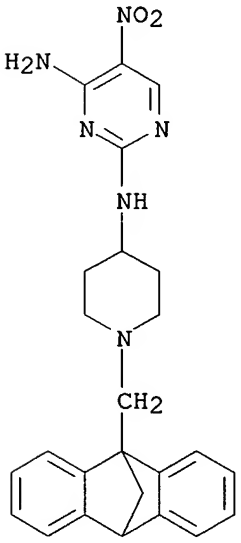
●2 HCl

RN 149605-16-3 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-5-fluoro-, hydrochloride (4:3) (9CI) (CA INDEX NAME)



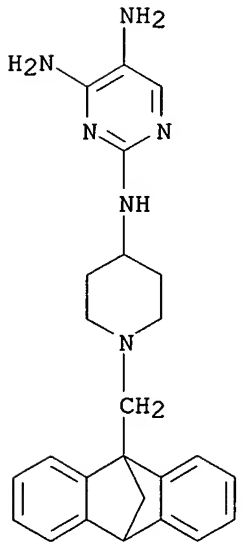
●3/4 HCl

RN 149605-17-4 CAPLUS
CN 2,4-Pyrimidinediamine, N2-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]-5-nitro-, hydrochloride (2:3) (9CI) (CA INDEX NAME)

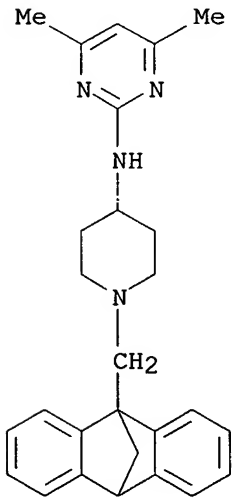


●3/2 HCl

RN 149605-18-5 CAPLUS
CN 2,4,5-Pyrimidinetriamine, N2-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

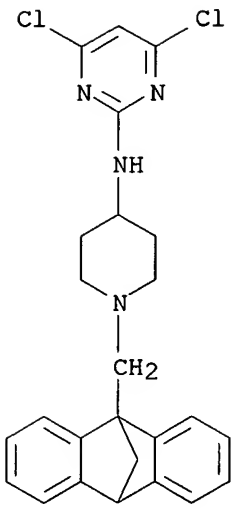


RN 149605-21-0 CAPLUS
CN 2-Pyrimidinamine, N-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]-4,6-dimethyl-, trihydrochloride (9CI) (CA INDEX NAME)

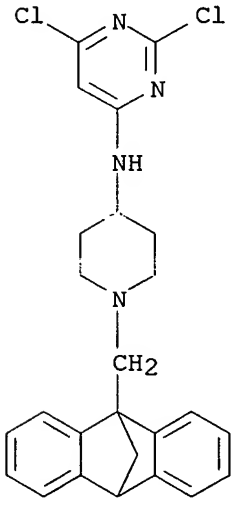


●3 HCl

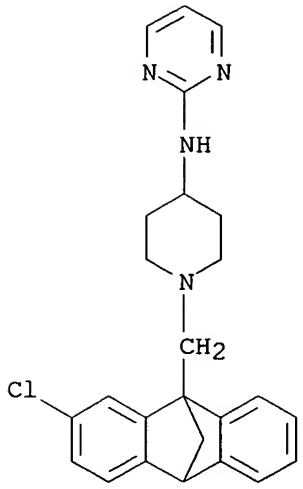
RN 149605-22-1 CAPLUS
CN 2-Pyrimidinamine, 4,6-dichloro-N-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



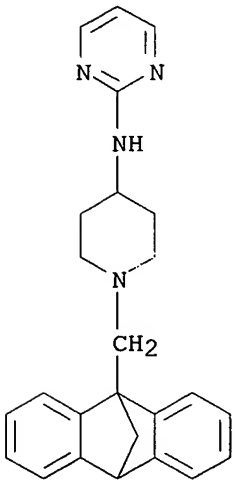
RN 149605-23-2 CAPLUS
CN 4-Pyrimidinamine, 2,6-dichloro-N-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



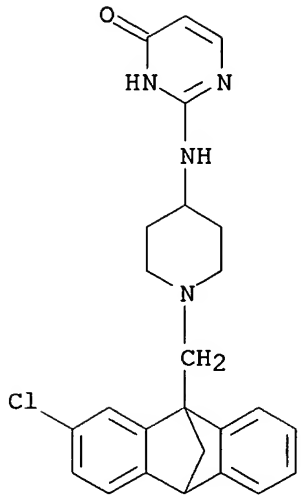
RN 149605-66-3 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



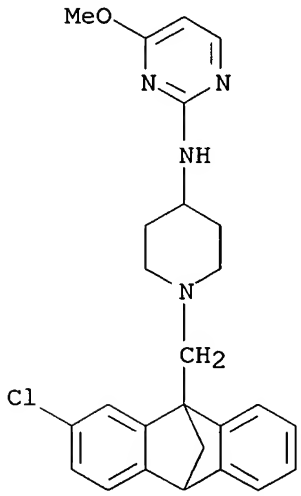
RN 149605-67-4 CAPLUS
CN 2-Pyrimidinamine, N-[1-(9,10-methanoanthracen-9(10H)-ylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 149605-68-5 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

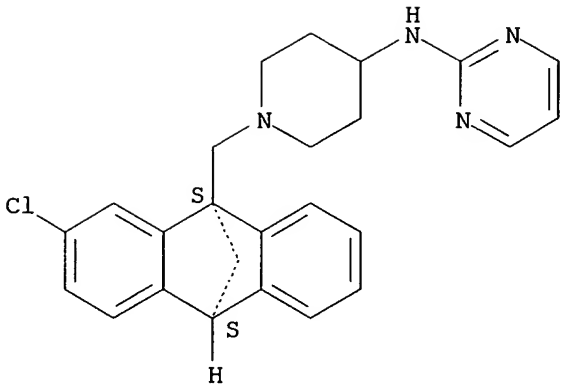


RN 149632-79-1 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-4-methoxy- (9CI) (CA INDEX NAME)



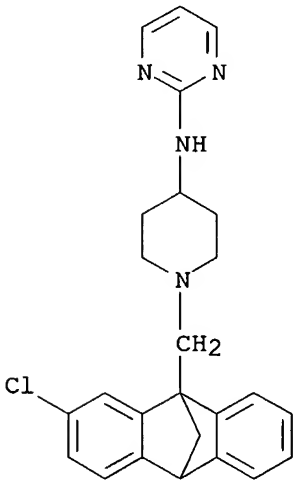
RN 149714-51-2 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-, hydrochloride (2:3), (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●3/2 HCl

RN 149714-52-3 CAPLUS
CN 2-Pyrimidinamine, N-[1-[(2-chloro-9,10-methanoanthracen-9(10H)-yl)methyl]-4-piperidinyl]-, dihydrochloride, (9R)- (9CI) (CA INDEX NAME)

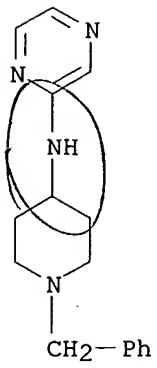


●2 HCl

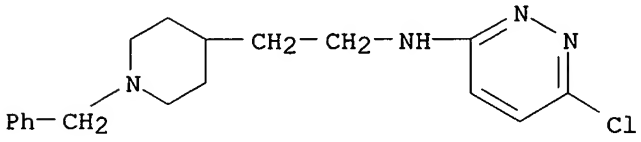
L12 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1990:552269 CAPLUS
DN 113:152269
TI Preparation of N-heterocyclic N-(4-piperidinyl) amides and their pharmaceutical compositions as analgesics and narcotic antagonists
IN Bagley, Jerome R.; Spencer, H. Kenneth
PA BOC Inc., USA
SO U.S., 16 pp. Cont.-in-part of U.S. 4,791,112.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4912109	A	19900327	US 1988-282092	19881209
	US 4791112	A	19881213	US 1987-9857	19870202
	DK 8800493	A	19880803	DK 1988-493	19880201
	FI 8800452	A	19880803	FI 1988-452	19880201
	FI 90980	B	19940114		
	FI 90980	C	19940425		
	AU 8811142	A1	19880804	AU 1988-11142	19880201
	AU 598905	B2	19900705		
	ES 2063030	T3	19950101	ES 1988-300829	19880201
	NO 8800443	A	19880803	NO 1988-443	19880202
	NO 169070	B	19920127		
	NO 169070	C	19920506		
	CN 88100563	A	19880817	CN 1988-100563	19880202
	JP 63264460	A2	19881101	JP 1988-22650	19880202
	JP 06062565	B4	19940817		
	US 4900738	A	19900213	US 1988-255184	19881007
	US 4916142	A	19900410	US 1989-362119	19890606
	US 4954506	A	19900904	US 1990-468381	19900122
PRAI	US 1987-9857		19870202		
	US 1987-9587		19870202		
	US 1988-282092		19881209		
	US 1989-362119		19890606		

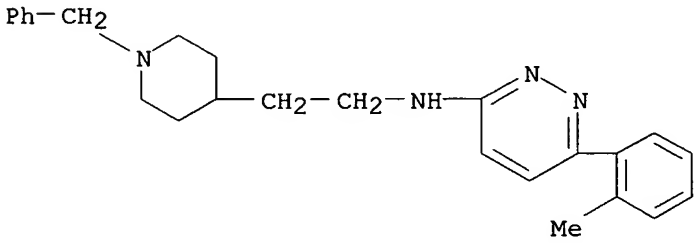
OS MARPAT 113:152269
AB Over 40 title amides I [R = (un)substituted unsatd. 5- or 6-membered N heterocyclyl, esp. pyridinyl, pyrimidinyl, pyrazinyl; R1 = (un)substituted 5-membered heterocyclyl (esp. furyl, thienyl, pyrrolyl), heterocyclylalkyl, alkyl, cycloalkyl, alkoxyalkyl; R2 = 5- or 6-membered cyclic system bound to alkyl, esp. phenylalkyl, thienylalkyl, thienylhydroxyalkyl, pyrazolylalkyl, etc.; R3 = H, Me] were prepd. and tested. For example, 1-benzyl-4-piperidinamine was condensed with chloropyrazine and then 2-furoyl chloride to give N-(2-pyrazinyl)-N-(1-benzyl-4-piperidinyl)-2-furanamide, which underwent debenzylation with ClCO2CHClMe and N-alkylation with 2-(2-chloroethyl)thiophene to give I [R = 2-pyrazinyl, R1 = 2-furyl, R2 = 2-(2-thienyl)ethyl, R3 = H] (II). The ED50 of II for analgesia in mice in the hot-plate test was <1 mg/kg i.v.; it reversed both analgesic and respiratory effects of morphine in rabbits. I (R3 = Me) typically showed strongest analgesic activity.
IT **129481-20-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of analgesics and narcotic antagonists)
RN 129481-20-5 CAPLUS
CN Pyrazinamine, N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)


N
N
NH
N
CH2—Ph

L12 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2003 ACS
AN 1999:447545 CAPLUS
DN 131:214247
TI Synthesis of substituted 3-amino-6-arylpyridazines via Suzuki reaction
AU Parrot, Isabelle; Rival, Yveline; Wermuth, Camille G.
CS Lab. Pharmacochimie Communication Cellulaire, Univ. Louis Pasteur, Illkirch, F-67401, Fr.
SO Synthesis (1999), (7), 1163-1168
CODEN: SYNTBF; ISSN: 0039-7881
PB Georg Thieme Verlag
DT Journal
LA English
OS CASREACT 131:214247
AB Starting from the com. available 3,6-dichloropyridazine, N3-substituted 3-amino-6-arylpyridazines were prepd. in good yields and under mild conditions by two simple steps, nucleophilic substitution and a Pd-catalyzed Suzuki coupling.
IT **242802-89-7P 242802-90-0P 242802-91-1P**
242802-92-2P 242802-93-3P 242802-94-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arylpyridazinamines via Suzuki reaction)
RN 242802-89-7 CAPLUS
CN 3-Pyridazinamine, 6-chloro-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

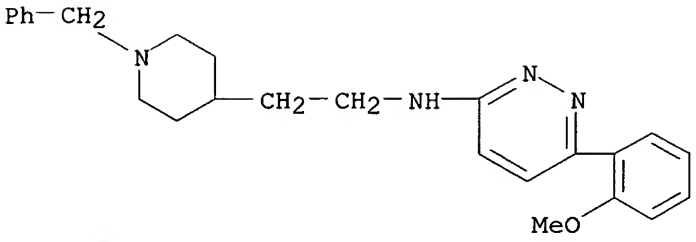


RN 242802-90-0 CAPLUS
CN 3-Pyridazinamine, 6-(2-methylphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



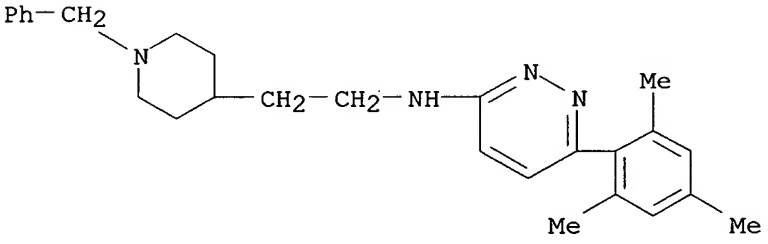
● 2 HCl

RN 242802-91-1 CAPLUS
CN 3-Pyridazinamine, 6-(2-methoxyphenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



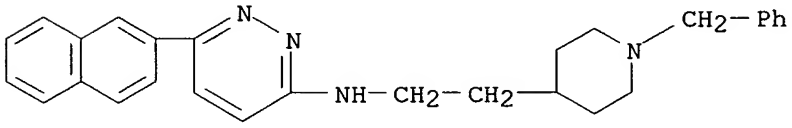
●2 HCl

RN 242802-92-2 CAPLUS
CN 3-Pyridazinamine, N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-6-(2,4,6-trimethylphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



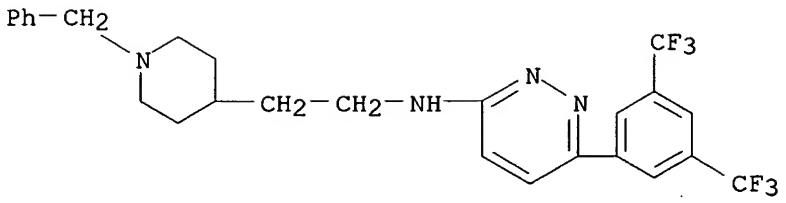
●2 HCl

RN 242802-93-3 CAPLUS
CN 3-Pyridazinamine, 6-(2-naphthalenyl)-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

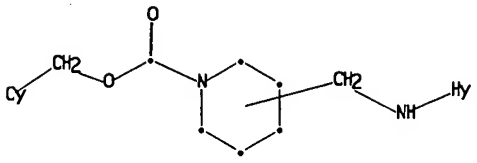
RN 242802-94-4 CAPLUS
CN 3-Pyridazinamine, 6-[3,5-bis(trifluoromethyl)phenyl]-N-[2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

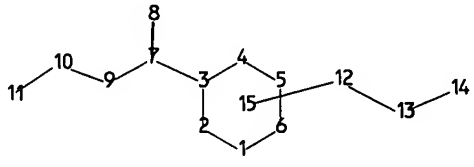


●2 HCl

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

C:\STNEXP4\QUERIES\10079452 (claim 40 and 44).str





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7 8 9 10 11 12 13 14
ring nodes :
1 2 3 4 5 6
chain bonds :
3-7 7-8 7-9 9-10 10-11 12-13 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
3-7 7-8 7-9 10-11 13-14
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 12-13
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:CLASS 13:CLASS 14:Atom 15:CLASS
Generic attributes :
11:
Saturation : Unsaturated
14:
Saturation : Unsaturated

Element Count :
Node 14: Limited
N,N1
S,S0-1
O,O0-1

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=>
Uploading 10079452 (claim 40 and 44).str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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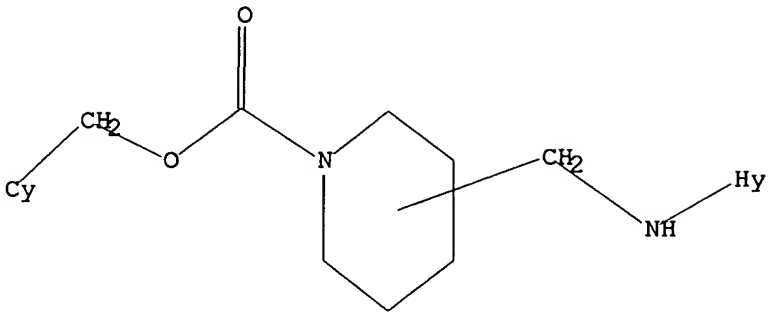


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Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -   3576 TO ITERATE

 28.0% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        67935 TO    75105
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss ful
FULL SEARCH INITIATED 16:56:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  71740 TO ITERATE

100.0% PROCESSED   71740 ITERATIONS                              118 ANSWERS
SEARCH TIME: 00.00.02

L3          118 SEA SSS FUL L1

=> s l3
L4            8 L3

=> d l4 1-8 bib,ab,hitstr
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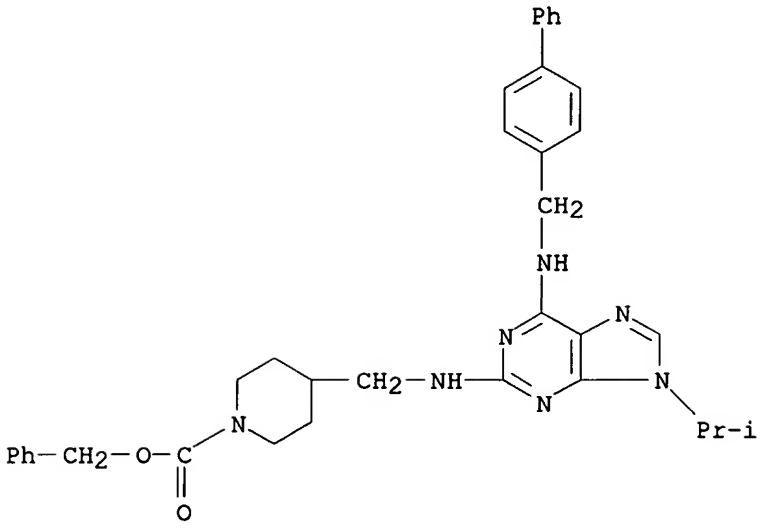
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2003:221651 CAPLUS
DN 138:238196
TI Preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents.
IN Trova, Michael Peter
PA Albany Molecular Research, Inc., USA
SO PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022805	A2	20030320	WO 2002-US28730	20020909
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003092909	A1	20030515	US 2002-237530	20020906
PRAI	US 2001-318569P	P	20010911		

OS MARPAT 138:238196
AB Title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl, CH2CF3, CH2CH2CF3, CH(CF3)2; R2 = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R3 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R4 = H, alkyl; R3R4 = atoms to form a 5-8 membered ring; R5 = heterocycle; A = CH2, (CH2)2, (CH2)3, OCH2CH2, CHCH3; Y = H, OR1, NHR1, NHCOR3, NHSO2R3, etc.; Q = (CH2)n; n = 0-3; V = NH, O, S, CH2], were prepd. Thus, title compd. II was prepd. and inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI50 < 0.01 .mu.M.
IT **441055-93-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents)
RN 441055-93-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-[([1,1'-biphenyl]-4-ylmethyl)amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)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CH2—NH
N
Pr-i
Ph—CH2—O—C
O

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2003:221467 CAPLUS
DN 138:255243
TI Preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents
IN Trova, Michael Peter
PA Albany Molecular Research, Inc., USA
SO PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022219	A2	20030320	WO 2002-US28731	20020909
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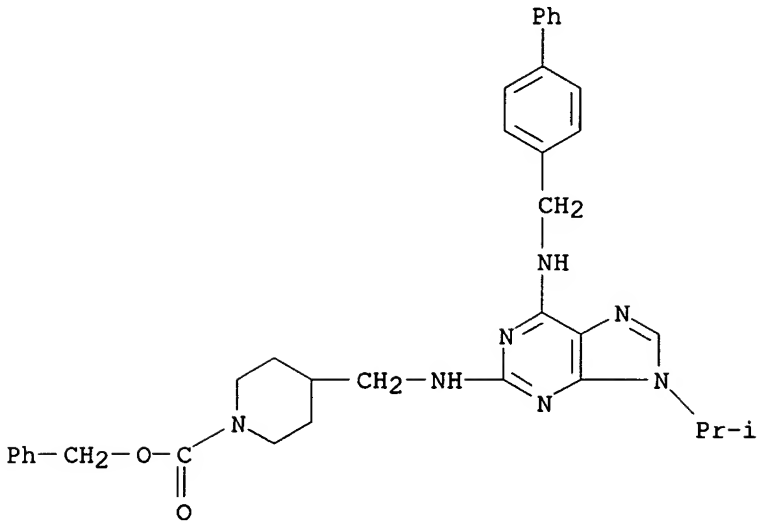
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003087906	A1	20030508	US 2001-950543	20010911
PRAI	US 2001-950543	A	20010911		

OS MARPAT 138:255243

AB The compds. I of the present invention are 2,6,9-trisubstituted purine derivs. which are inhibitors of cyclin/CDK complexes. Title compds. I [R1 = H, alkyl, alkenyl, cycloalkyl, CH2CF3, CH2CH2CF3, CH(CF3)2; R2 = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R3 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R4 = H, alkyl; R3R4 = form a 5-8 membered ring; R5 = heterocycle; A = CH2, (CH2)2, (CH2)3, OCH2CH2, CHCH3; Y = H, OR1, NHR1, NHCOR3, NHSO2R3, etc.; Q = (CH2)n; n = 0-3; V = NH, O, S, CH2], were prepd. Thus, title compd. II was prepd. and inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI50 < 0.01 .mu.M. The compds. of the current invention also are potent inhibitors of human cellular proliferation. As such, the compds. of the present invention constitute pharmaceutical compns. with a pharmaceutically acceptable carrier. Such compds. are useful in treating a disorder mediated by elevated levels of cell proliferation in a mammal compared to a healthy mammal by administering to such mammal an effective amt. of the compd.

IT **441055-93-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents)

RN 441055-93-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-[([1,1'-biphenyl]-4-ylmethyl)amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)


Ph
CH2
NH
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N
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N
CH2—NH
N
Pr-i
Ph—CH2—O—C
O

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2002:964146 CAPLUS
DN 138:39187
TI Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.
IN Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002100352	A2	20021219	WO 2002-US21069	20020607
WO 2002100352	A3	20030327		

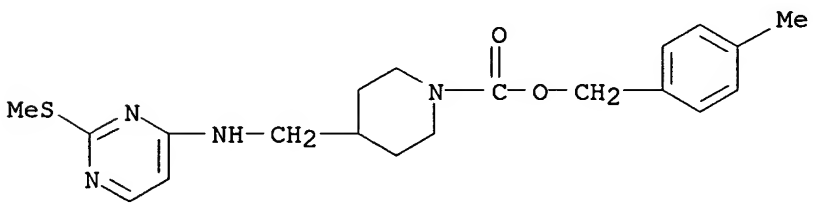
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-297672P P 20010612

AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (prepn. given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixt. allowed to stir at room temp. for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.

IT **455265-37-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 455265-37-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(methylthio)-4-pyrimidinyl]amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



IT **455265-19-7P**, Benzyl 4-[(4-pyridinylamino)methyl]-1-piperidinecarboxylate **455265-20-0P**, Benzyl 4-[[(3-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-21-1P**, Benzyl 4-[(3-isoxazolylamino)methyl]-1-piperidinecarboxylate **455265-23-3P 455265-24-4P 455265-25-5P**, 4-[(3-Methylpyridin-4-ylamino)methyl]piperidine-1-carboxylic acid benzyl ester **455265-27-7P**, Benzyl 4-[[(4-methyl-2-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-28-8P**, Benzyl 4-[(1,3,4-thiadiazol-2-ylamino)methyl]-1-piperidinecarboxylate **455265-30-2P 455265-31-3P 455265-32-4P**, Benzyl 4-[[(2-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-33-5P**, Benzyl 4-[[(4-ethyl-2-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-34-6P**, Benzyl 4-[[(1-oxido-4-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-35-7P**
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455265-44-8P 455265-45-9P 455265-46-0P
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455265-52-8P 455265-54-0P 455265-55-1P
455265-56-2P 455265-57-3P 455265-58-4P
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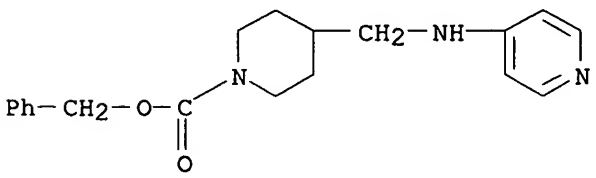
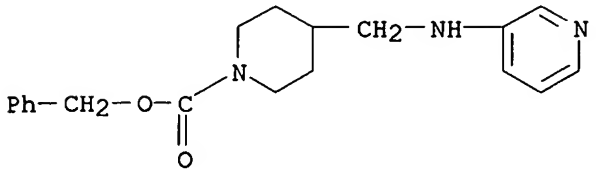
455267-73-9P 455267-78-4P 455267-93-3P
455267-94-4P 455267-96-6P 455268-07-2P
455290-06-9P, Benzyl 4-[[(5-methyl-2-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455290-15-0P 478552-68-0P**, Benzyl 4-[[(1-methyl-1H-imidazol-2-yl)amino]methyl]-1-piperidinecarboxylate **478552-69-1P**, 4-(Quinolin-2-ylaminomethyl)piperidine-1-carboxylic acid benzyl ester **478552-71-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 455265-19-7 CAPLUS

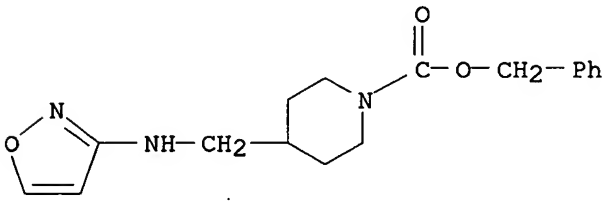
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



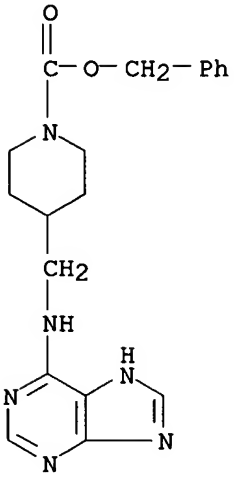
RN 455265-20-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



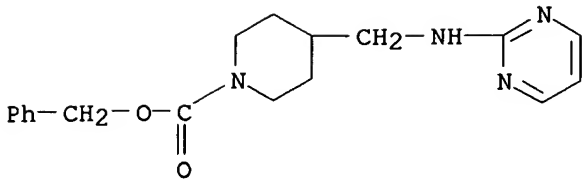
RN 455265-21-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-isoxazolylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



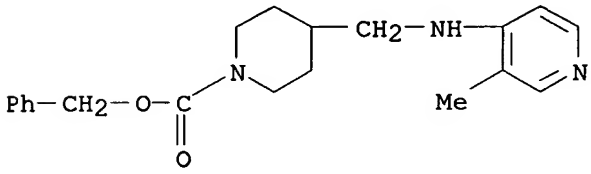
RN 455265-23-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-purin-6-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



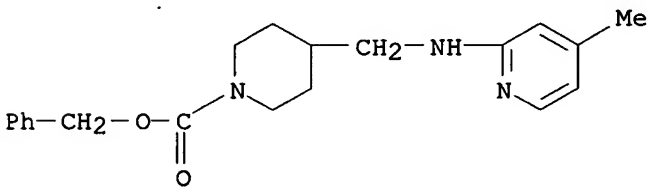
RN 455265-24-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



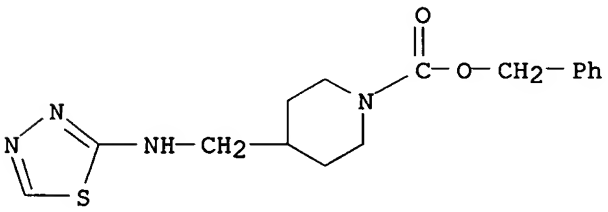
RN 455265-25-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



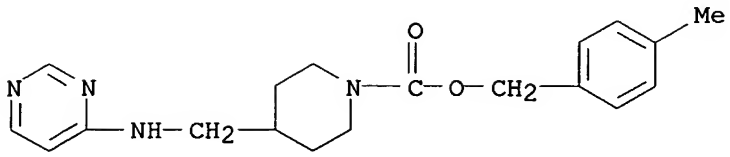
RN 455265-27-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-methyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



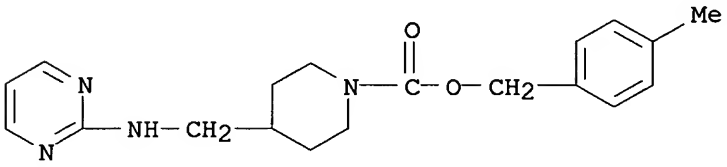
RN 455265-28-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1,3,4-thiadiazol-2-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



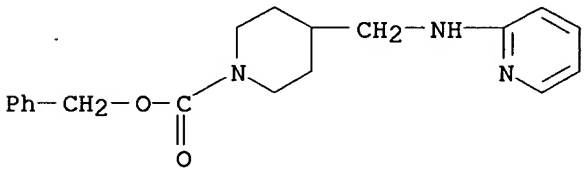
RN 455265-30-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



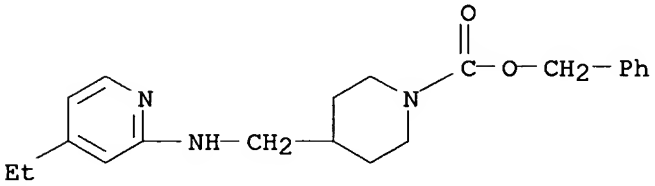
RN 455265-31-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



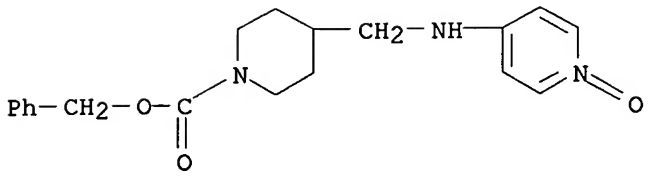
RN 455265-32-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



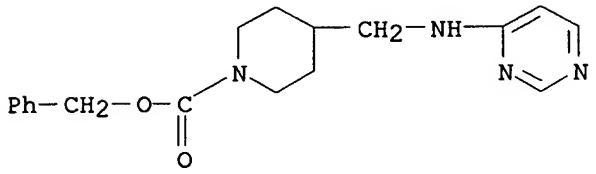
RN 455265-33-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-ethyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



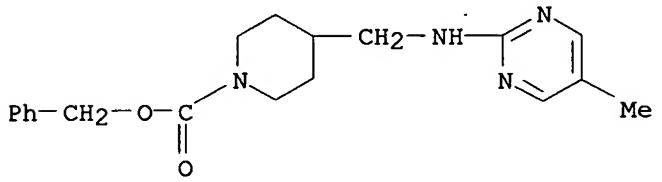
RN 455265-34-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1-oxido-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



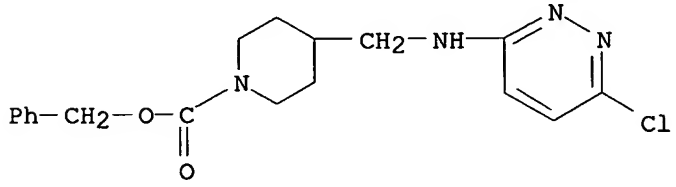
RN 455265-35-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



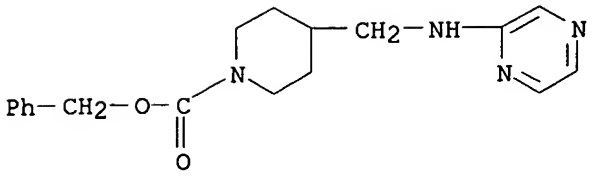
RN 455265-36-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



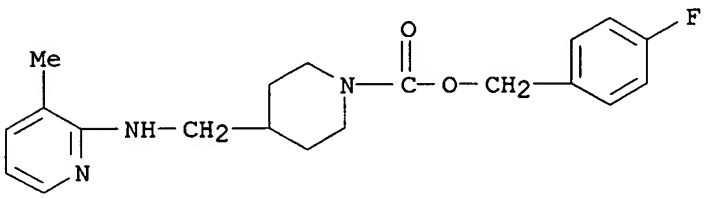
RN 455265-38-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



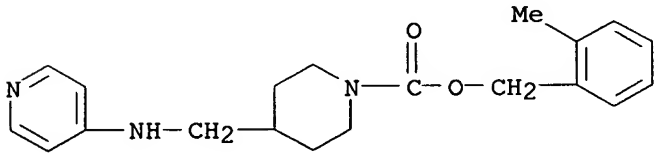
RN 455265-39-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(pyrazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



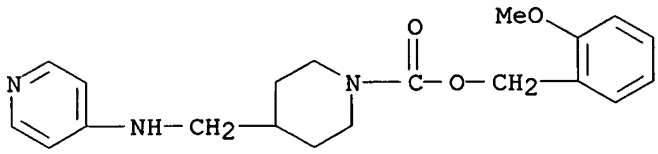
RN 455265-40-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



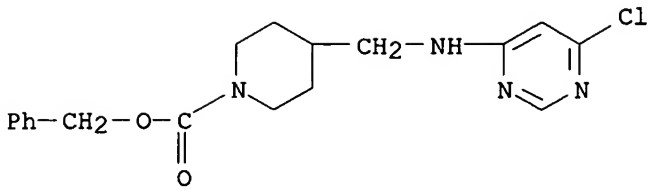
RN 455265-41-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (2-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



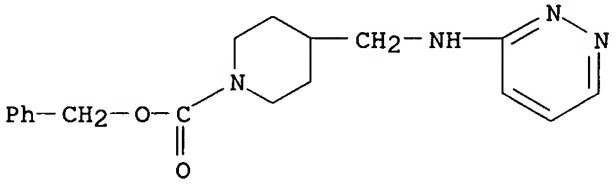
RN 455265-42-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (2-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



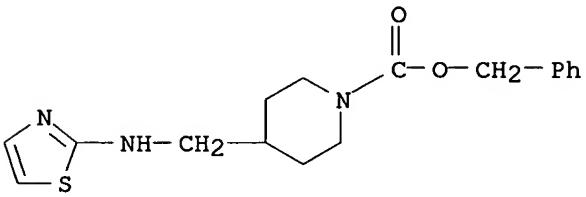
RN 455265-44-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



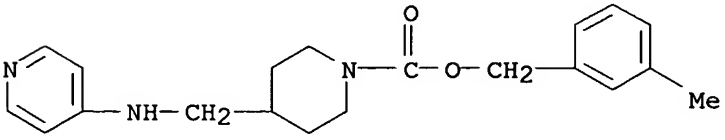
RN 455265-45-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



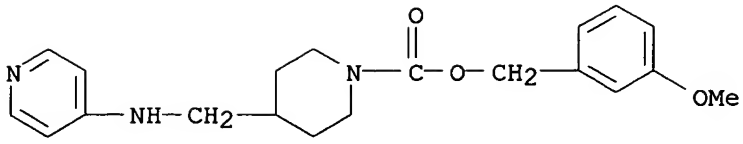
RN 455265-46-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-thiazolylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



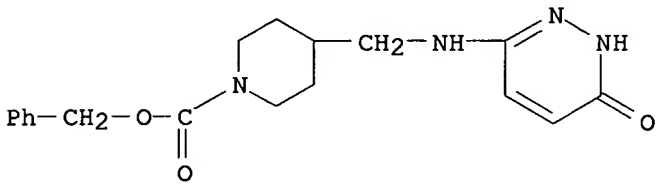
RN 455265-48-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



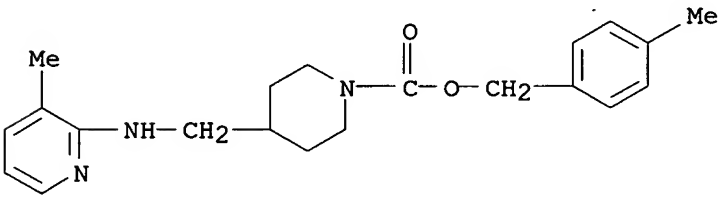
RN 455265-49-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



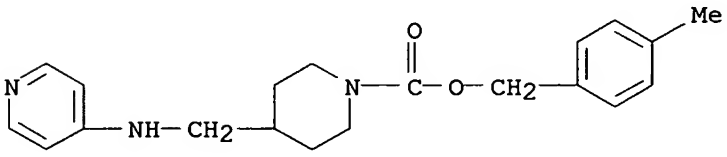
RN 455265-51-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,6-dihydro-6-oxo-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



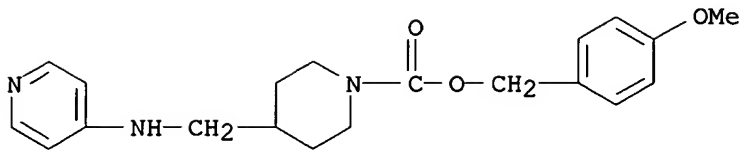
RN 455265-52-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



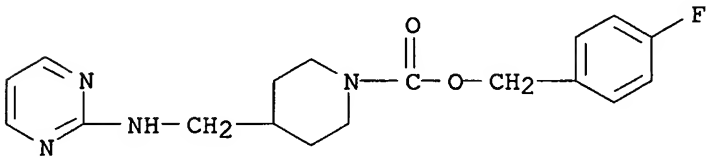
RN 455265-54-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455265-55-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

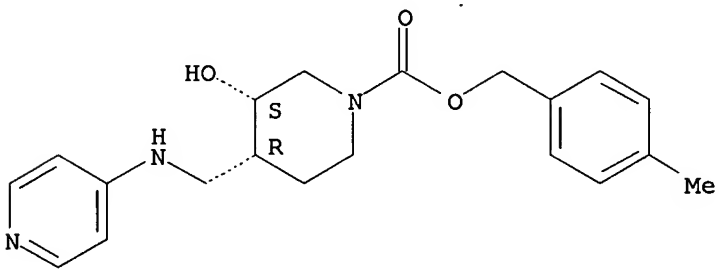


RN 455265-56-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)

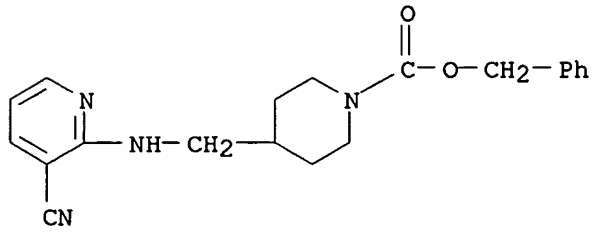


RN 455265-57-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

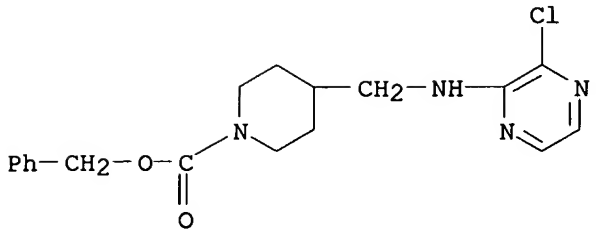
Relative stereochemistry.



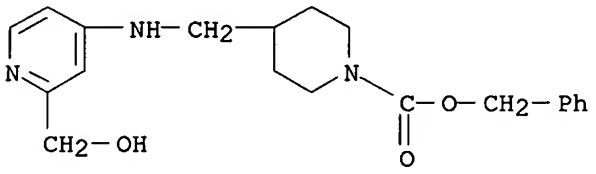
RN 455265-58-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-cyano-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-59-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

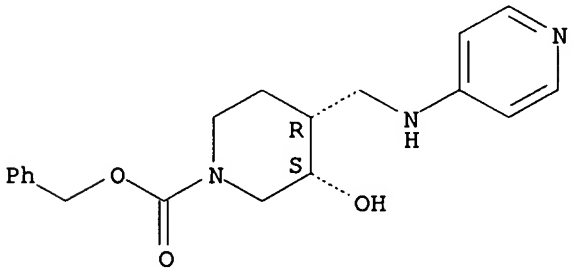


RN 455265-60-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(hydroxymethyl)-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



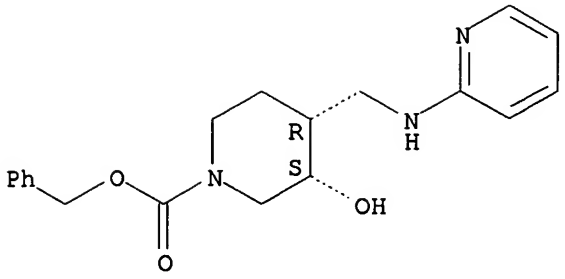
RN 455265-61-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

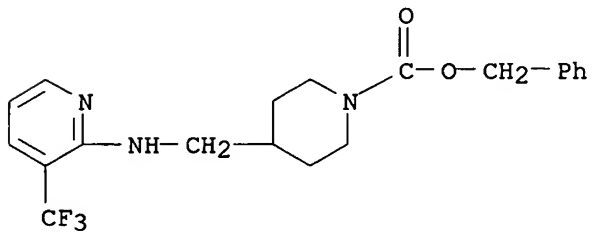


RN 455265-62-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(2-pyridinylamino)methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

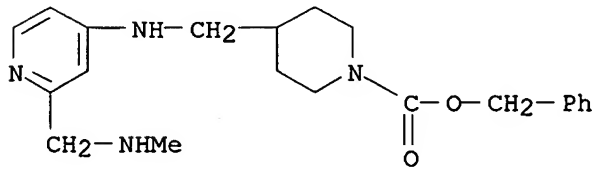
Relative stereochemistry.



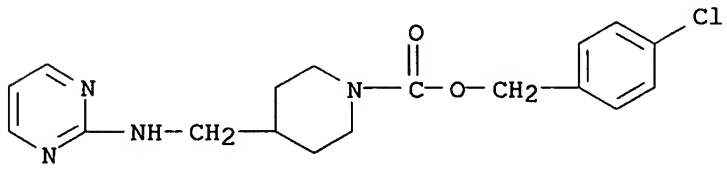
RN 455265-63-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(trifluoromethyl)-2-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-64-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(methylamino)methyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

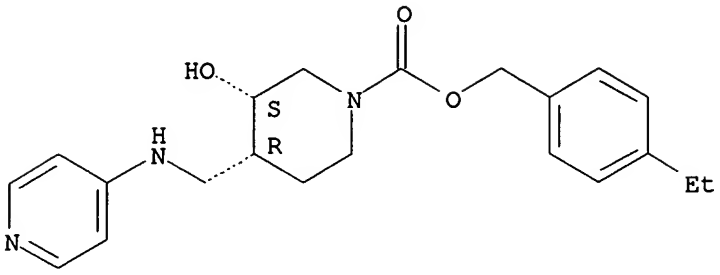


RN 455265-66-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

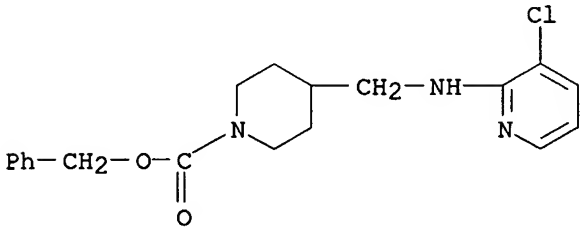


RN 455265-67-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, (4-ethylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

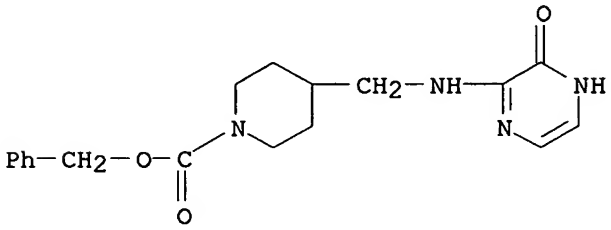
Relative stereochemistry.



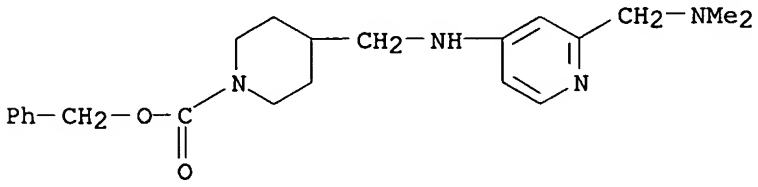
RN 455265-68-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloro-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-69-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3,4-dihydro-3-oxopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

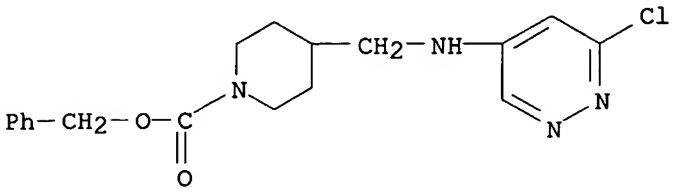


RN 455265-70-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(dimethylamino)methyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

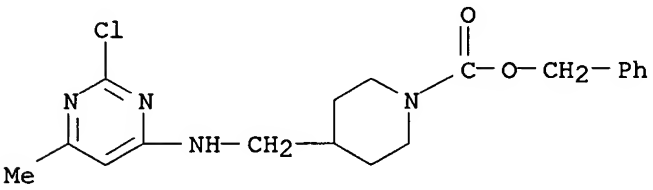


RN 455265-71-1 CAPLUS

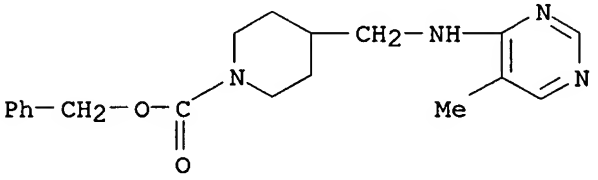
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



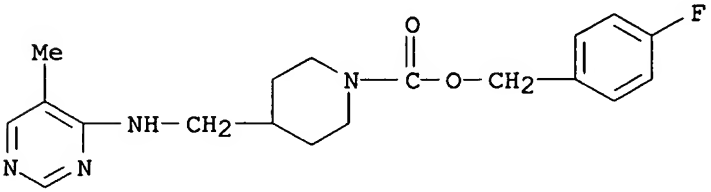
RN 455265-73-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



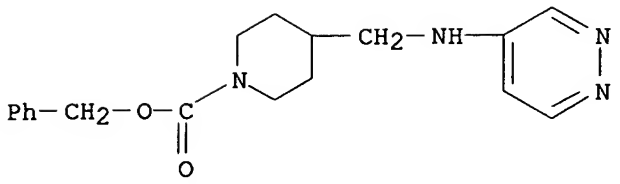
RN 455265-74-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



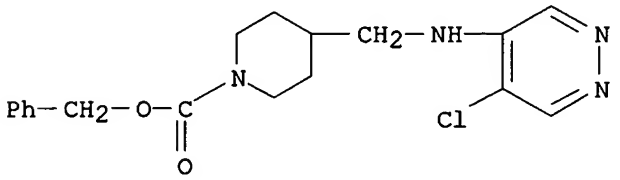
RN 455265-75-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



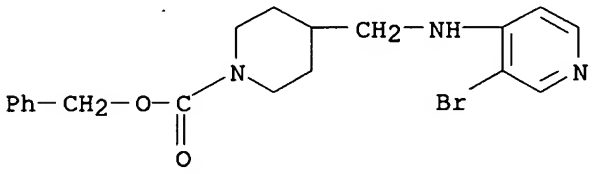
RN 455265-76-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



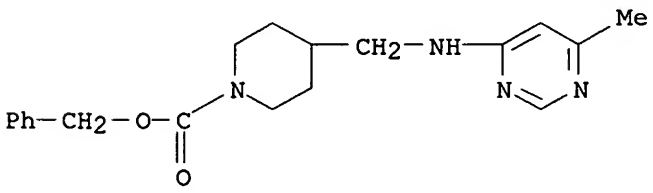
RN 455265-77-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



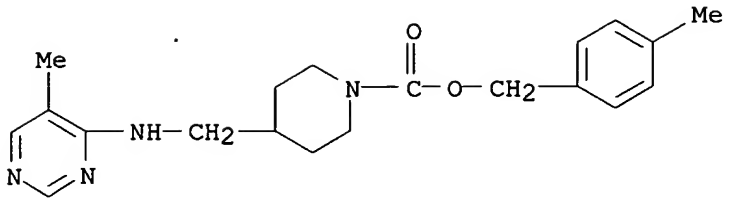
RN 455265-78-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-bromo-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



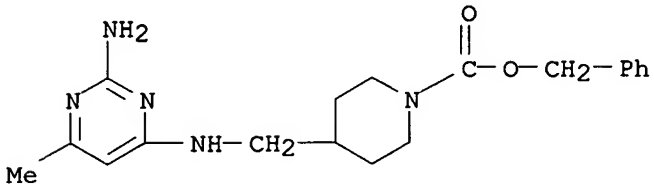
RN 455265-79-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



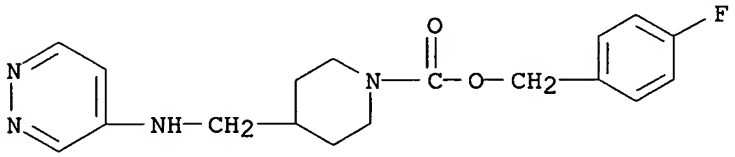
RN 455265-80-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



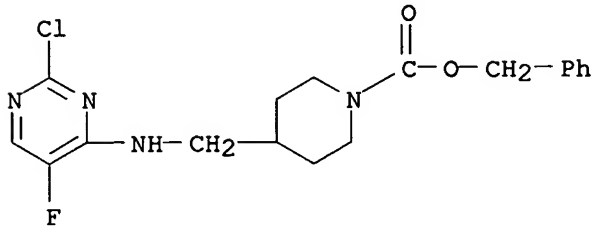
RN 455265-81-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



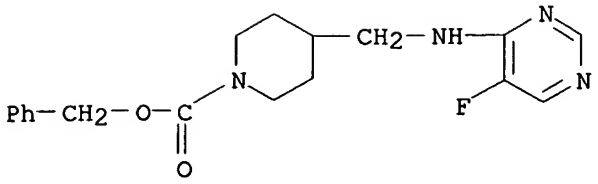
RN 455265-82-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



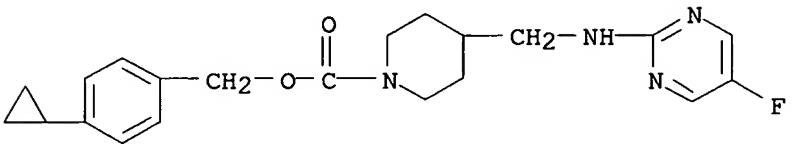
RN 455265-83-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



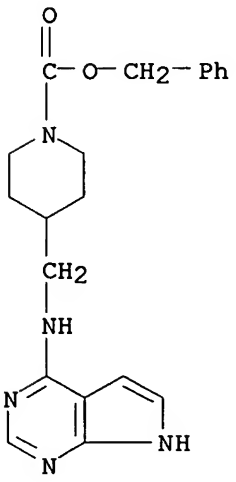
RN 455265-84-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



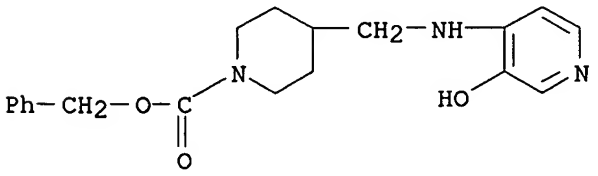
RN 455265-85-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-cyclopropylphenyl)methyl ester (9CI) (CA INDEX NAME)



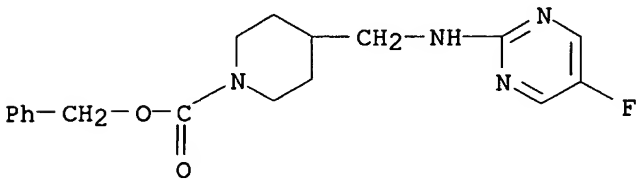
RN 455265-87-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-pyrrolo[2,3-d]pyrimidin-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



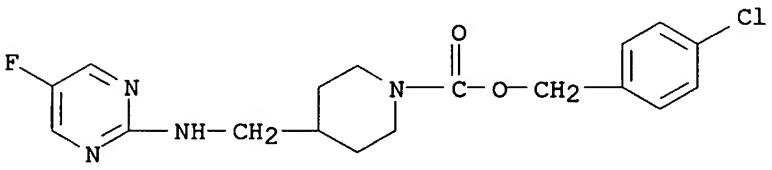
RN 455265-88-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-hydroxy-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



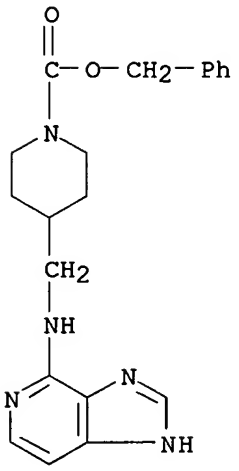
RN 455265-89-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



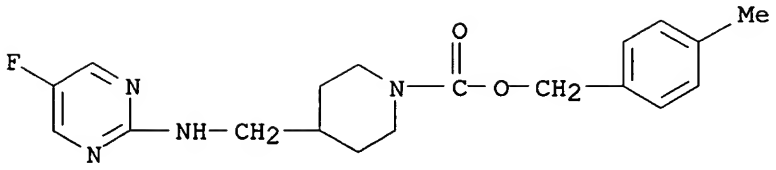
RN 455265-90-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



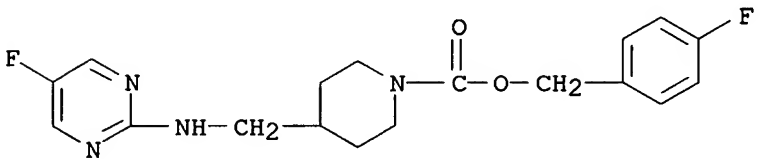
RN 455265-92-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-imidazo[4,5-c]pyridin-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



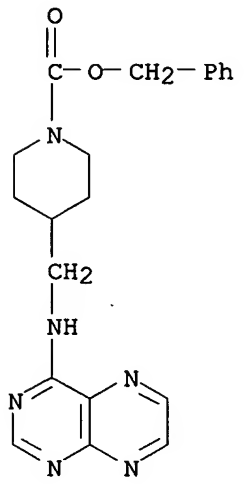
RN 455265-94-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



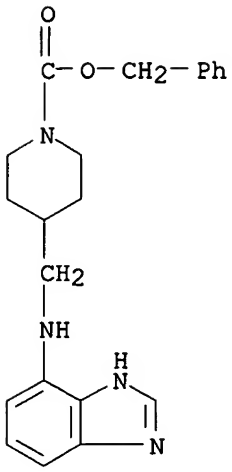
RN 455265-95-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455265-96-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pteridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

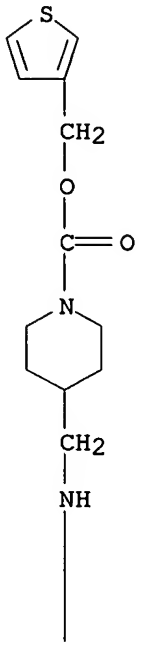


RN 455265-97-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-benzimidazol-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

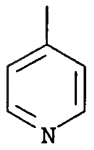


RN 455266-26-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, 3-thienylmethyl ester (9CI) (CA INDEX NAME)

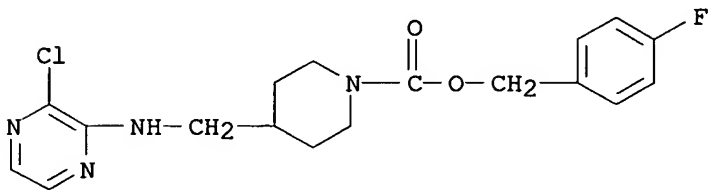
PAGE 1-A



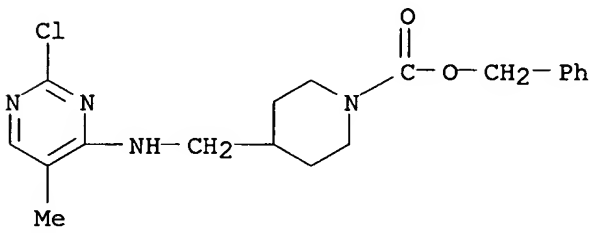
PAGE 2-A



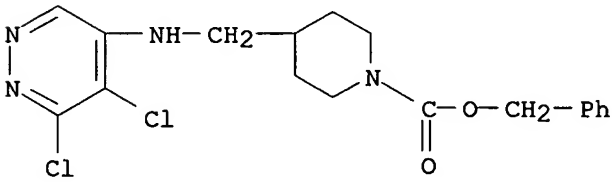
RN 455266-98-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



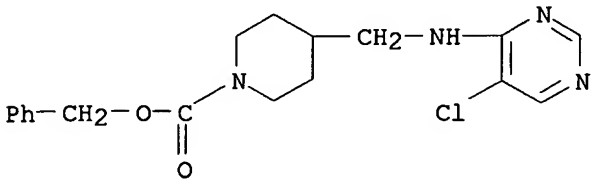
RN 455267-73-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-78-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5,6-dichloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-93-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

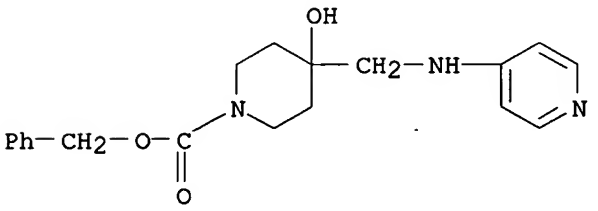


● HCl

RN 455267-94-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

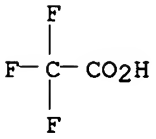
CM 1

CRN 455265-72-2
CMF C19 H23 N3 O3



CM 2

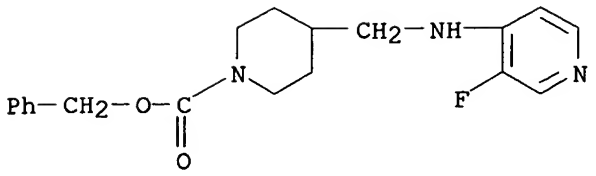
CRN 76-05-1
CMF C2 H F3 O2



RN 455267-96-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-fluoro-4-pyridinyl)amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

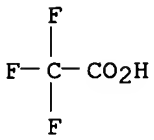
CM 1

CRN 455267-68-2
CMF C19 H22 F N3 O2



CM 2

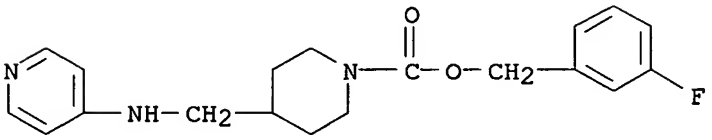
CRN 76-05-1
CMF C2 H F3 O2



RN 455268-07-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-fluorophenyl)methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

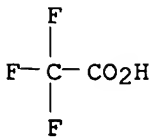
CM 1

CRN 455265-53-9
CMF C19 H22 F N3 O2

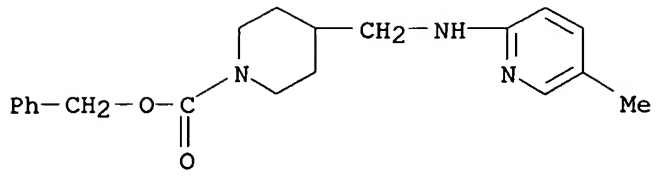


CM 2

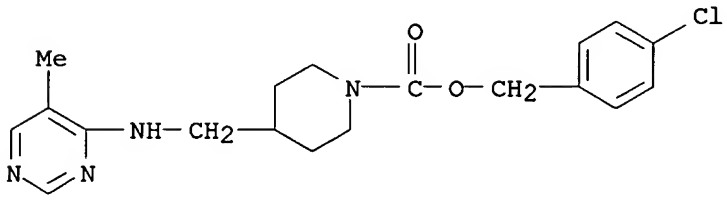
CRN 76-05-1
CMF C2 H F3 O2



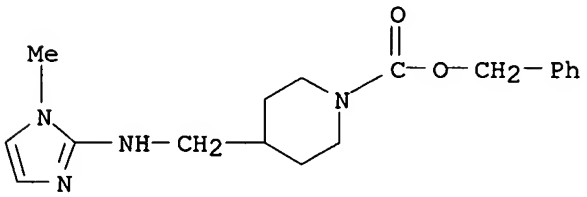
RN 455290-06-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



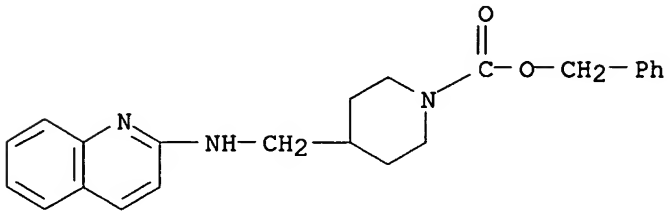
RN 455290-15-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



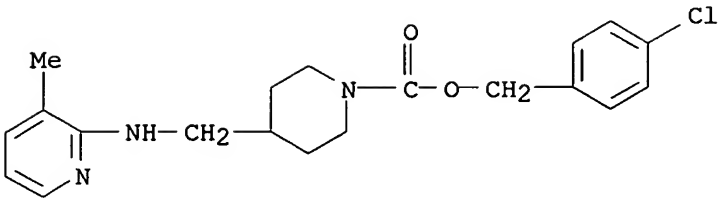
RN 478552-68-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1-methyl-1H-imidazol-2-yl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



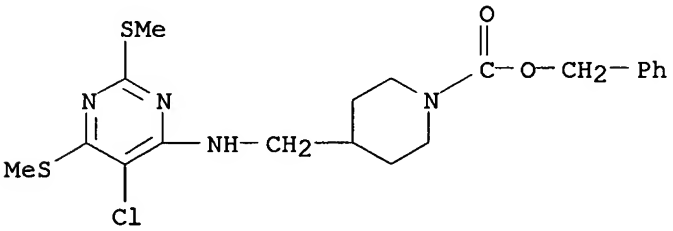
RN 478552-69-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-quinolinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



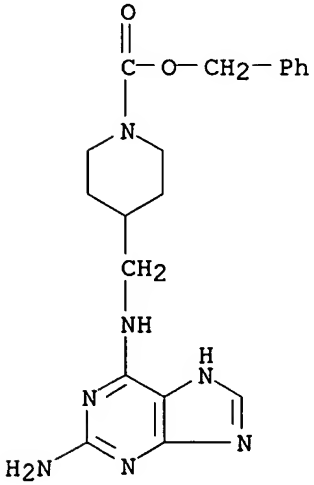
RN 478552-71-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



IT **455267-76-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)
RN 455267-76-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[5-chloro-2,6-bis(methylthio)-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

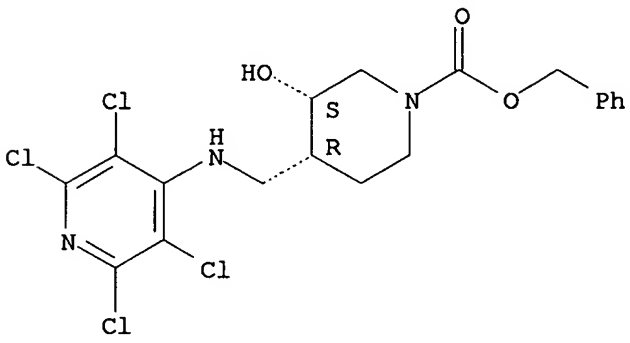


IT **455265-50-6P 455267-07-9P**, (cis)-3-Hydroxy-4-[(2,3,5,6-tetrachloropyridin-4-ylamino)methyl]piperidine-1-carboxylic acid benzyl ester **455267-08-0P 455267-15-9P 478552-74-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)
RN 455265-50-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-1H-purin-6-yl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

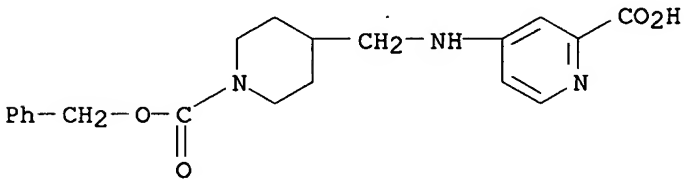


RN 455267-07-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[[(2,3,5,6-tetrachloro-4-pyridinyl)amino]methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

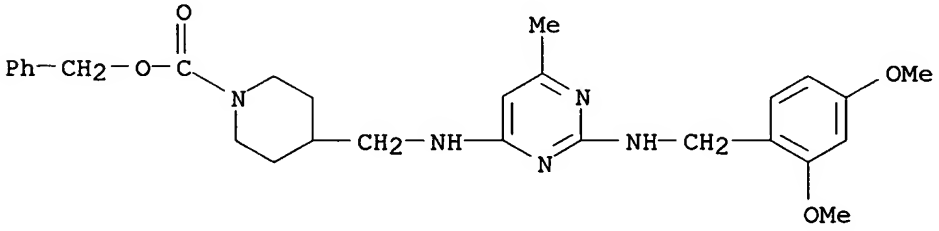
Relative stereochemistry.



RN 455267-08-0 CAPLUS
CN 2-Pyridinecarboxylic acid, 4-[[[1-[(phenylmethoxy)carbonyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



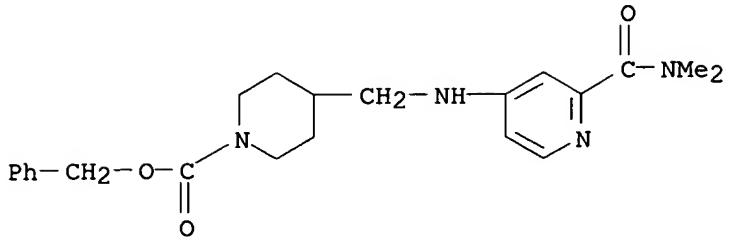
RN 455267-15-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[[(2,4-dimethoxyphenyl)methyl]amino]-6-methyl-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 478552-74-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(dimethylamino)carbonyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

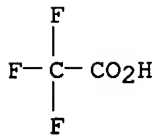
CM 1

CRN 478535-42-1
CMF C22 H28 N4 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2002:676010 CAPLUS
DN 137:216875
TI Preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists
IN Claiborne, Christopher F.; Butcher, John W.; Claremon, David A.; Libby, Brian E.; Liverton, Nigel J.; Munson, Peter M.; Nguyen, Kevin T.; Phillips, Brian; Thompson, Wayne; McCauley, John A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002068409	A1	20020906	WO 2002-US5226	20020220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002165241	A1	20021107	US 2002-79452	20020220
PRAI US 2001-271100P	P	20010223		

OS MARPAT 137:216875
AB BQ1(X)ANHQ2 [Q1 = 5-7 membered N-contg. nonarom. ring, azabicyclooctyl; Q2 = 5-6 membered (substituted) heteroaryl ring; A = alkylene; B = Ar(CH2)0-3O2C, Ar(CH2)0-3SO2, etc.; Ar = (substituted) aryl, heteroaryl; X = H, OH, F, alkyl, alkoxy, NH2, O], were prepd. Thus, 1-[(benzyloxy)carbonyl]-4-piperidinecarboxylic acid, 4-aminopyridine, EDC, and HOAt were kept 4 h in DMF to give the amide, which was reduced with BH3.THF to give benzyl 4-[(4-pyridylamino)methyl]-1-piperidinecarboxylate. Title compds. showed IC50's of <50 .mu.M for inhibition of NR1A/2B NMDA receptor activation.
IT **455265-19-7P 455265-20-0P 455265-21-1P**
455265-22-2P 455265-23-3P 455265-24-4P
455265-25-5P 455265-27-7P 455265-28-8P
455265-29-9P 455265-30-2P 455265-31-3P
455265-32-4P 455265-33-5P 455265-34-6P
455265-35-7P 455265-36-8P 455265-37-9P
455265-38-0P 455265-39-1P 455265-40-4P
455265-41-5P 455265-42-6P 455265-44-8P
455265-45-9P 455265-46-0P 455265-47-1P
455265-48-2P 455265-49-3P 455265-50-6P
455265-51-7P 455265-52-8P 455265-53-9P
455265-54-0P 455265-55-1P 455265-56-2P
455265-57-3P 455265-58-4P 455265-59-5P
455265-60-8P 455265-61-9P 455265-62-0P
455265-63-1P 455265-64-2P 455265-66-4P
455265-67-5P 455265-68-6P 455265-69-7P
455265-70-0P 455265-71-1P 455265-72-2P
455265-73-3P 455265-74-4P 455265-75-5P
455265-76-6P 455265-77-7P 455265-78-8P
455265-79-9P 455265-80-2P 455265-81-3P

455265-82-4P 455265-83-5P 455265-84-6P
455265-85-7P 455265-87-9P 455265-88-0P
455265-89-1P 455265-90-4P 455265-92-6P
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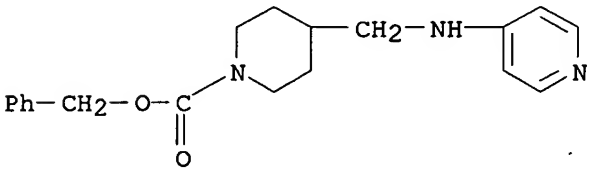
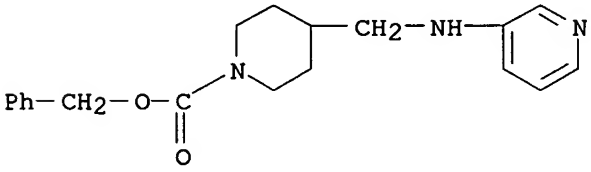
455266-35-0P 455266-36-1P 455266-37-2P
455266-41-8P 455266-42-9P 455266-43-0P
455266-44-1P 455266-45-2P 455266-47-4P
455266-48-5P 455266-51-0P 455266-52-1P
455266-98-5P 455268-07-2P 455290-06-9P
455290-08-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)

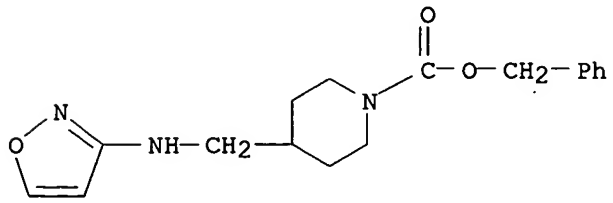
RN 455265-19-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-20-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

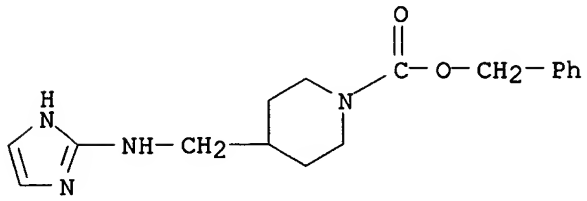


RN 455265-21-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-isoxazolylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

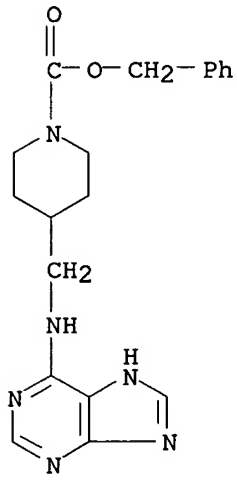


RN 455265-22-2 CAPLUS

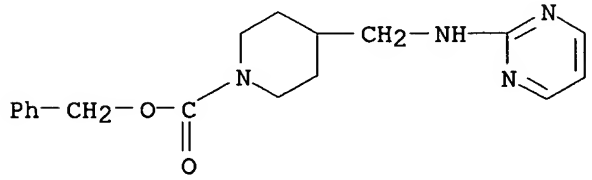
CN 1-Piperidinecarboxylic acid, 4-[(1H-imidazol-2-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



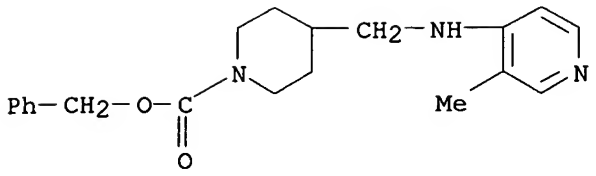
RN 455265-23-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-purin-6-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



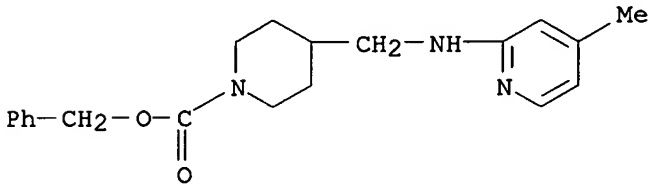
RN 455265-24-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



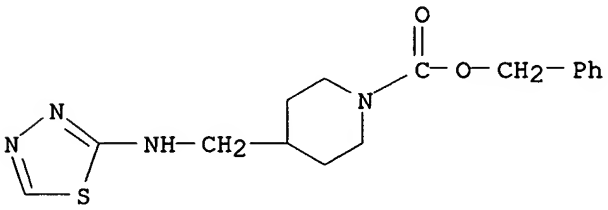
RN 455265-25-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



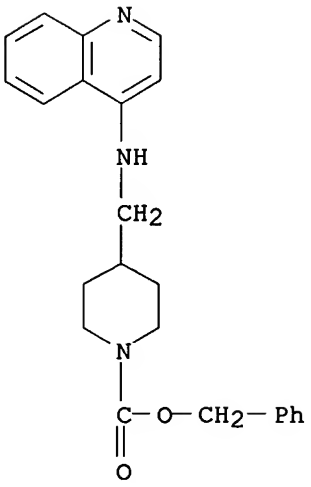
RN 455265-27-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-methyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



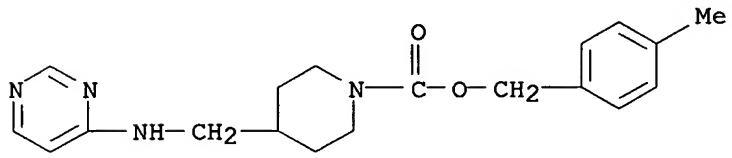
RN 455265-28-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1,3,4-thiadiazol-2-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



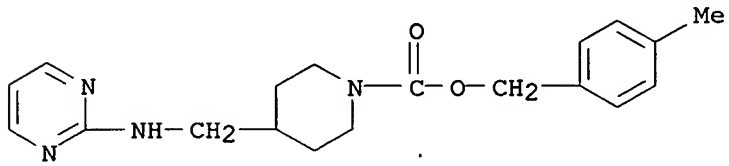
RN 455265-29-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-quinolinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



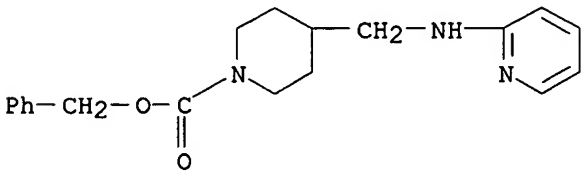
RN 455265-30-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



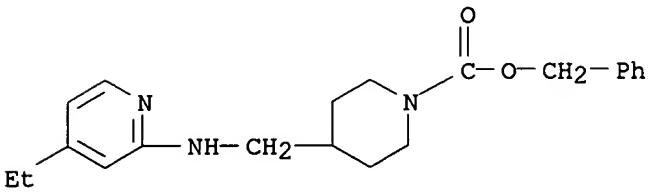
RN 455265-31-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



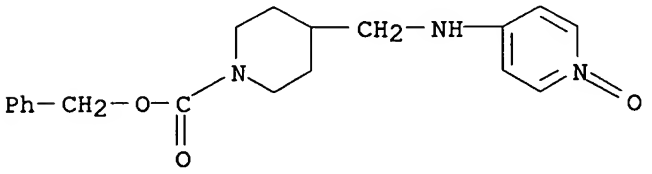
RN 455265-32-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



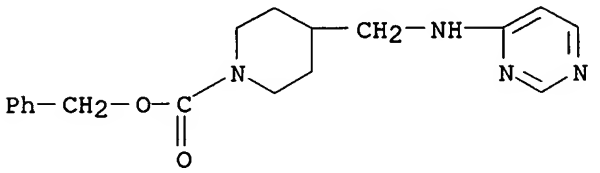
RN 455265-33-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-ethyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



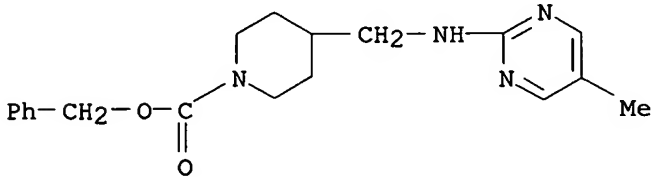
RN 455265-34-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1-oxido-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



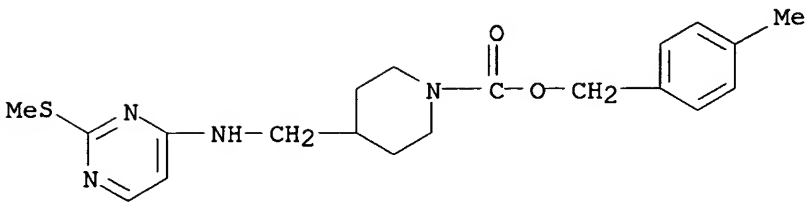
RN 455265-35-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



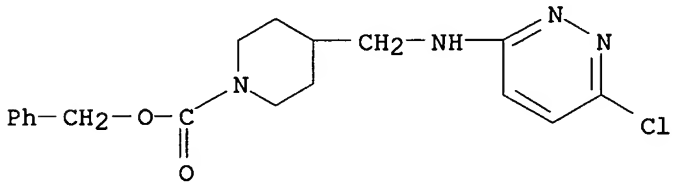
RN 455265-36-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



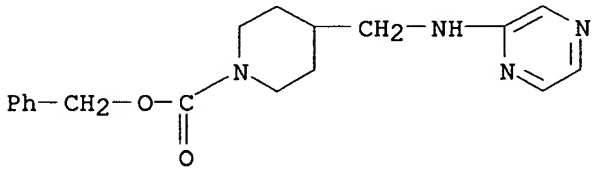
RN 455265-37-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(methylthio)-4-pyrimidinyl]amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



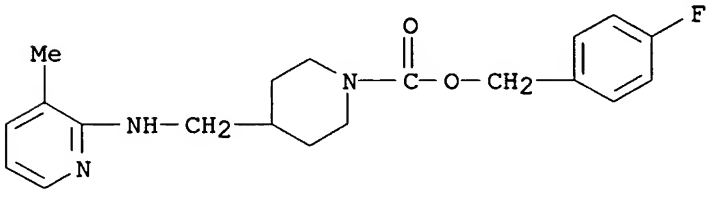
RN 455265-38-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



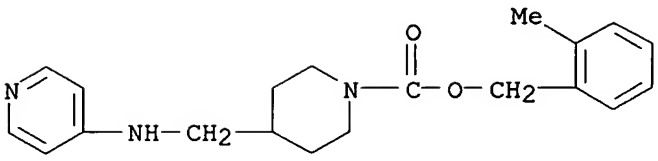
RN 455265-39-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(pyrazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



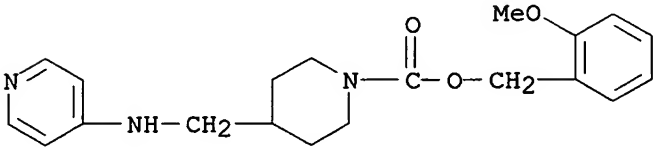
RN 455265-40-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



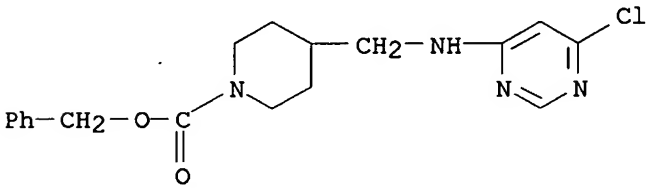
RN 455265-41-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (2-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



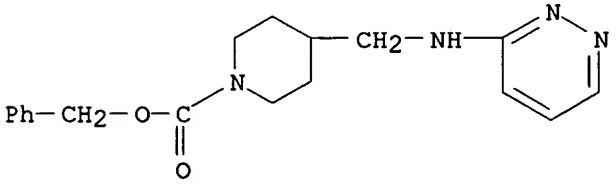
RN 455265-42-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (2-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



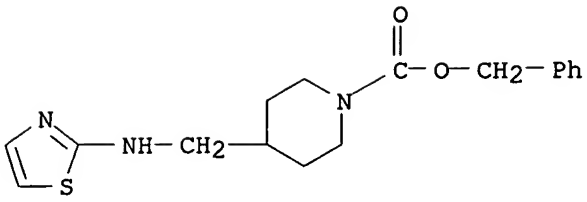
RN 455265-44-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



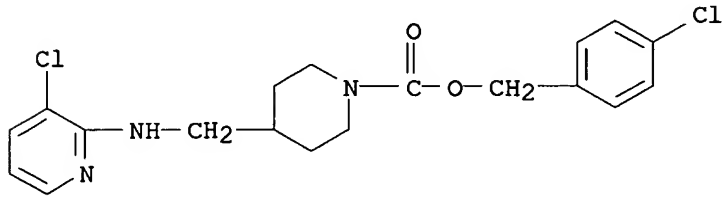
RN 455265-45-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



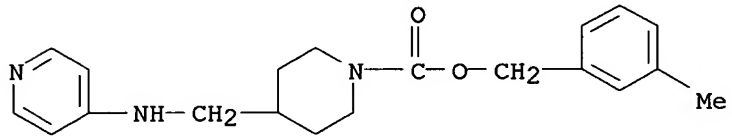
RN 455265-46-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-thiazolylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



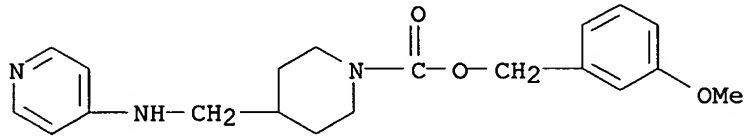
RN 455265-47-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloro-2-pyridinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



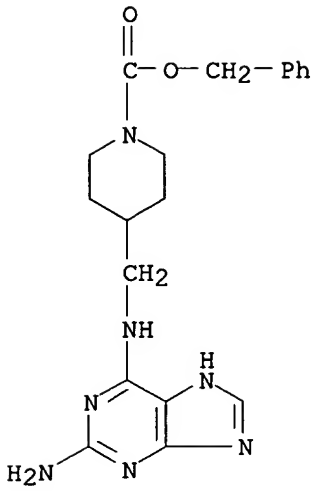
RN 455265-48-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



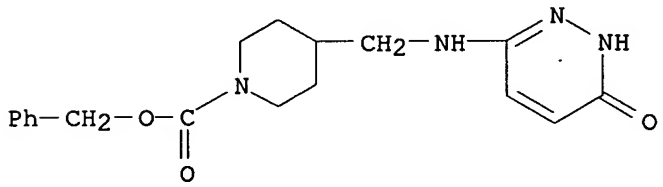
RN 455265-49-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



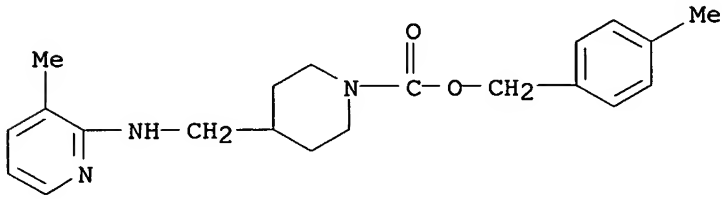
RN 455265-50-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-1H-purin-6-yl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



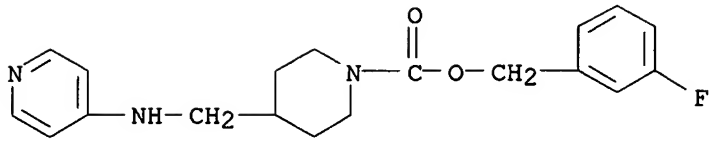
RN 455265-51-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,6-dihydro-6-oxo-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



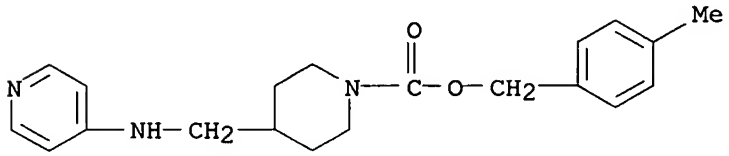
RN 455265-52-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



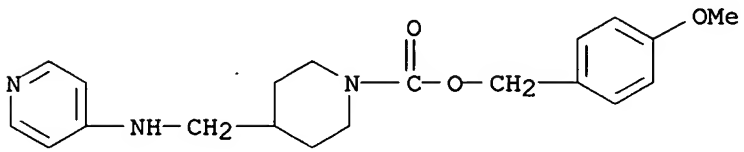
RN 455265-53-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



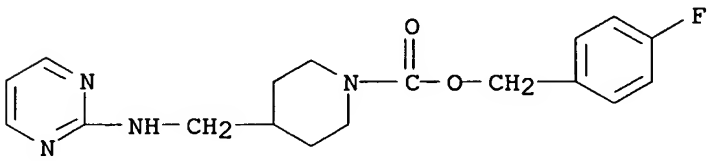
RN 455265-54-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455265-55-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

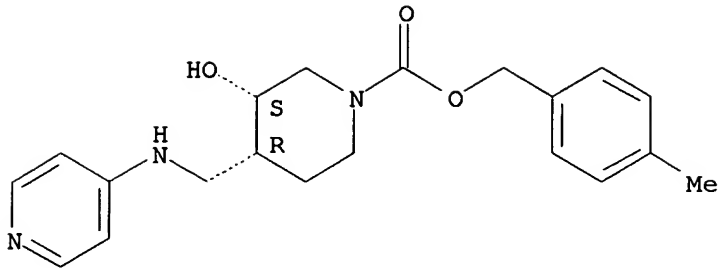


RN 455265-56-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)

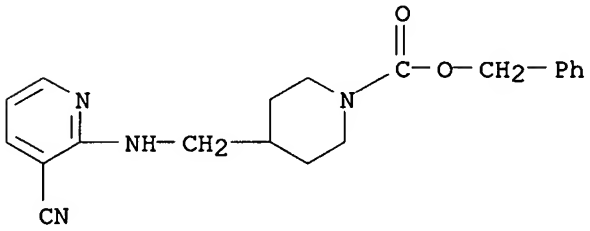


RN 455265-57-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

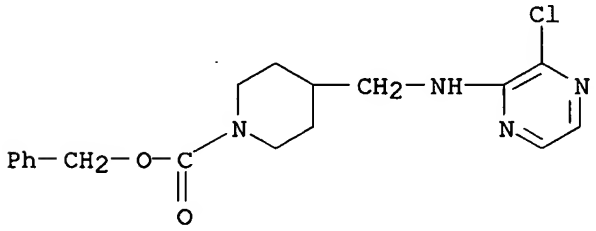
Relative stereochemistry.



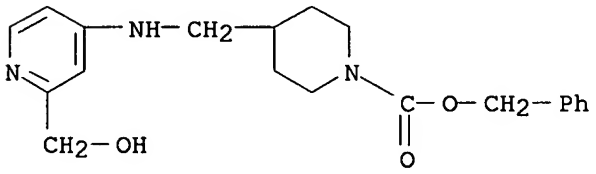
RN 455265-58-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-cyano-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-59-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



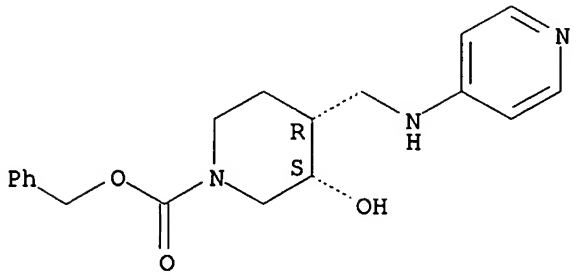
RN 455265-60-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(hydroxymethyl)-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-61-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-,

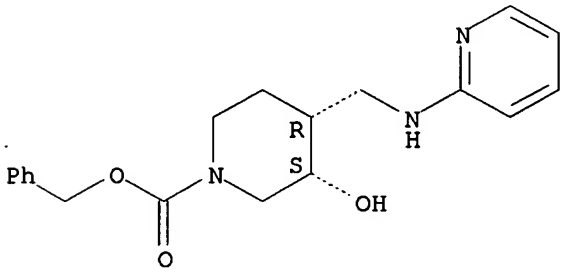
phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

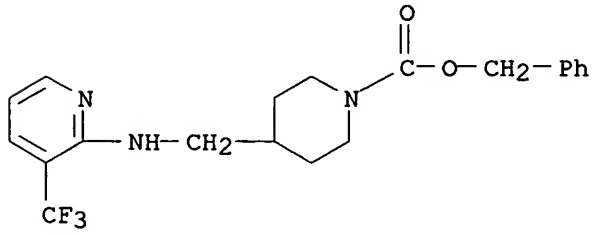


RN 455265-62-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(2-pyridinylamino)methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

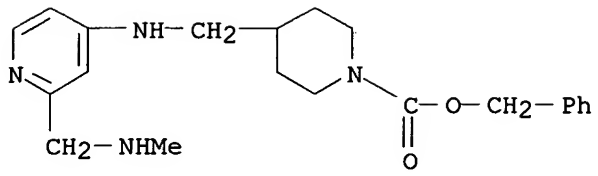
Relative stereochemistry.



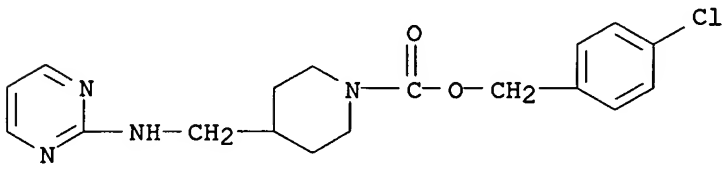
RN 455265-63-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(trifluoromethyl)-2-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-64-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(methylamino)methyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

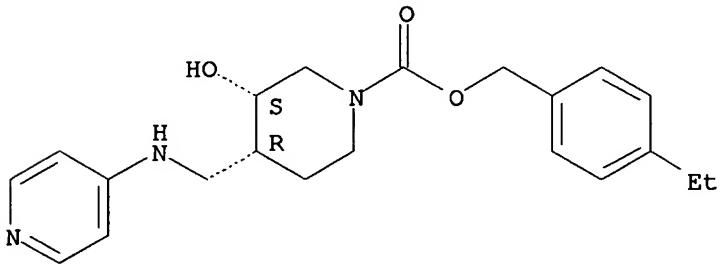


RN 455265-66-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

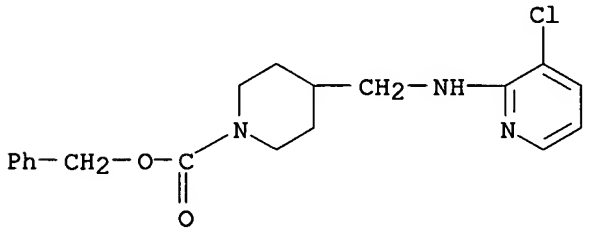


RN 455265-67-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, (4-ethylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

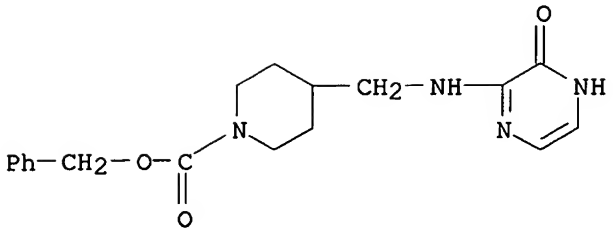
Relative stereochemistry.



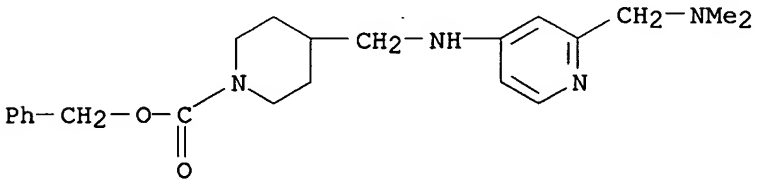
RN 455265-68-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloro-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



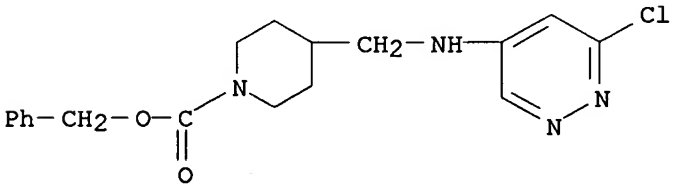
RN 455265-69-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3,4-dihydro-3-oxopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



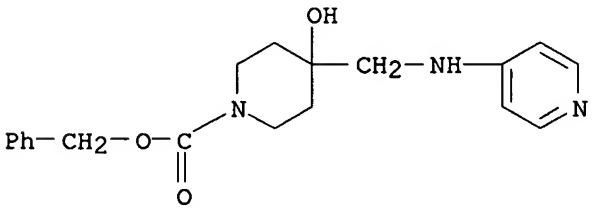
RN 455265-70-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(dimethylamino)methyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



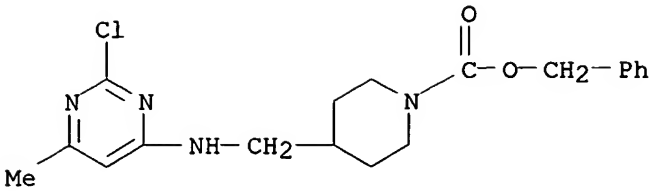
RN 455265-71-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



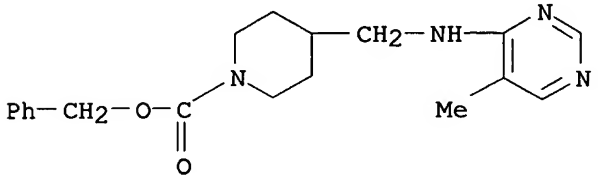
RN 455265-72-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



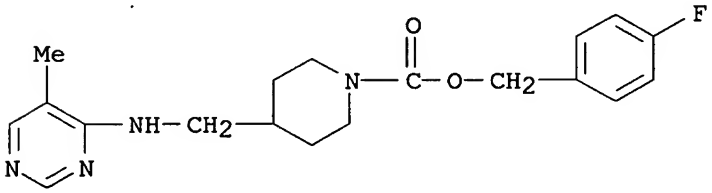
RN 455265-73-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



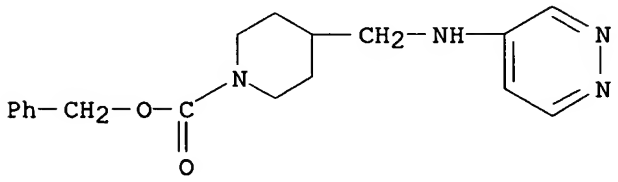
RN 455265-74-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



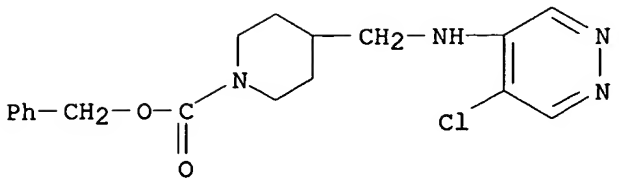
RN 455265-75-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



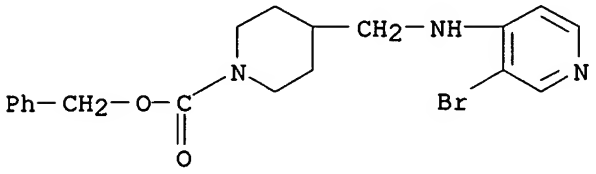
RN 455265-76-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



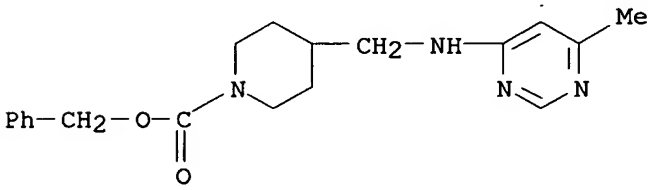
RN 455265-77-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



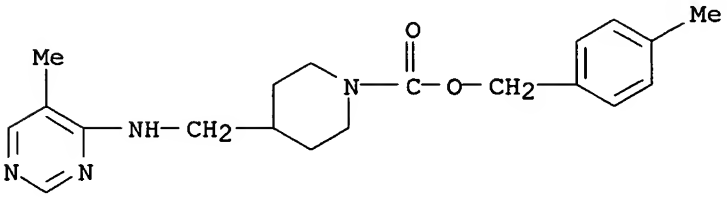
RN 455265-78-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-bromo-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



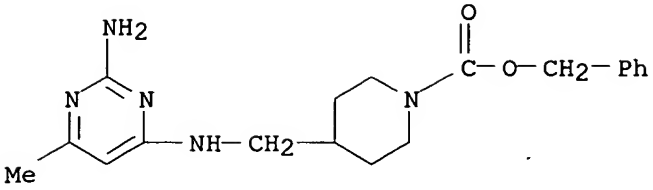
RN 455265-79-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



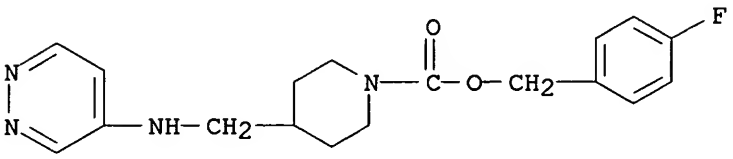
RN 455265-80-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



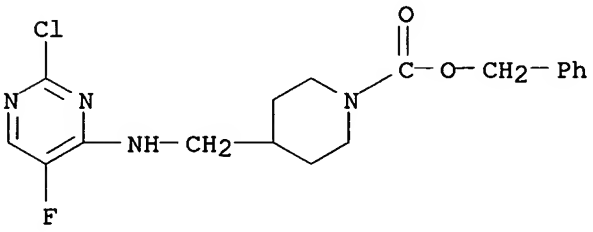
RN 455265-81-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



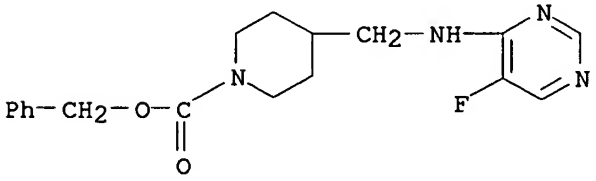
RN 455265-82-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



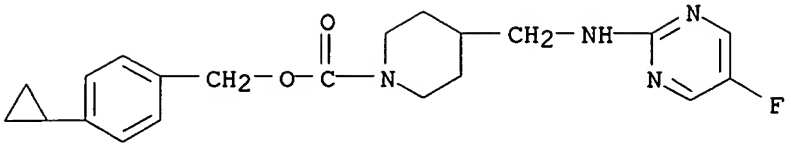
RN 455265-83-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



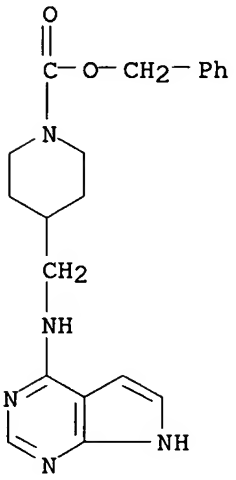
RN 455265-84-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



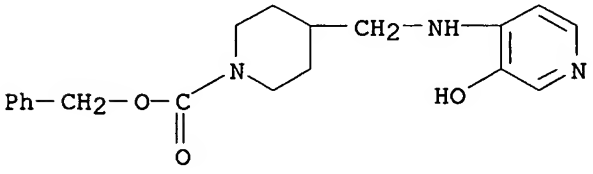
RN 455265-85-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-cyclopropylphenyl)methyl ester (9CI) (CA INDEX NAME)



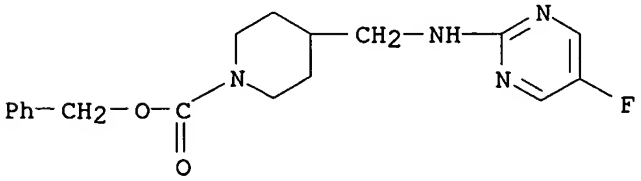
RN 455265-87-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-pyrrolo[2,3-d]pyrimidin-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



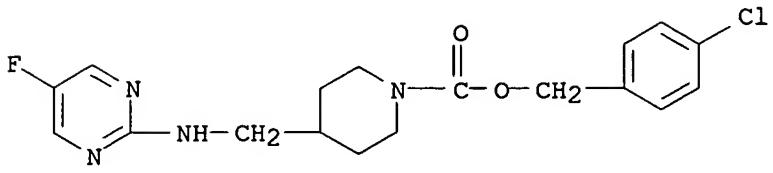
RN 455265-88-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-hydroxy-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



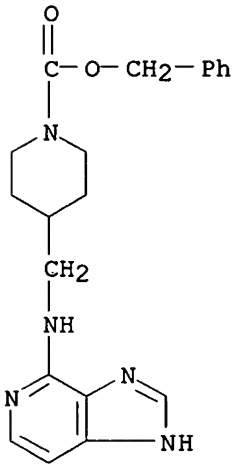
RN 455265-89-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



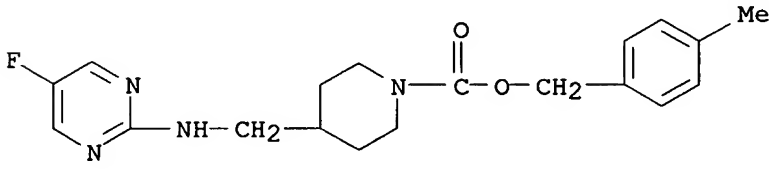
RN 455265-90-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



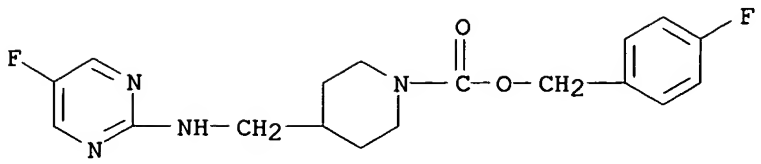
RN 455265-92-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-imidazo[4,5-c]pyridin-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



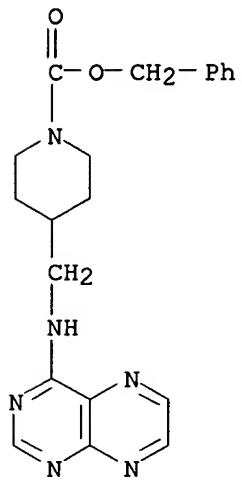
RN 455265-94-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



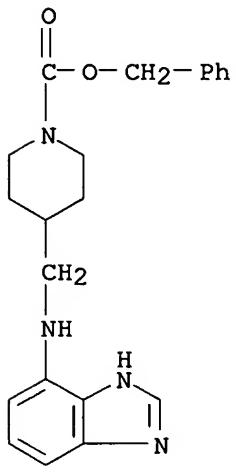
RN 455265-95-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455265-96-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pteridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



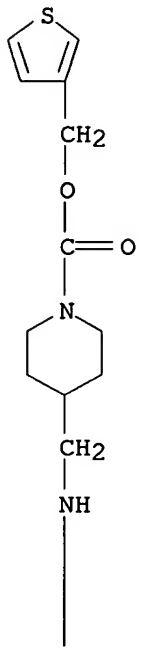
RN 455265-97-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-benzimidazol-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



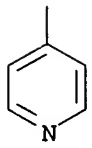
RN 455266-26-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-,

3-thienylmethyl ester (9CI) (CA INDEX NAME)

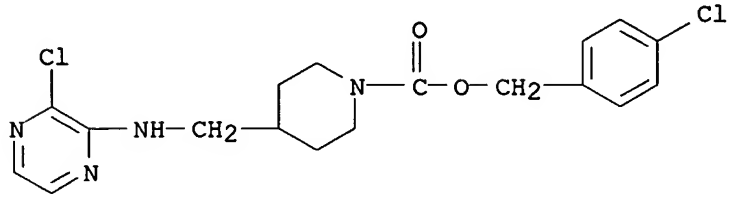
PAGE 1-A



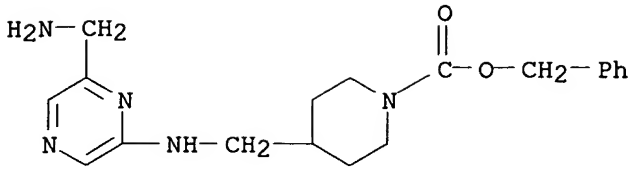
PAGE 2-A



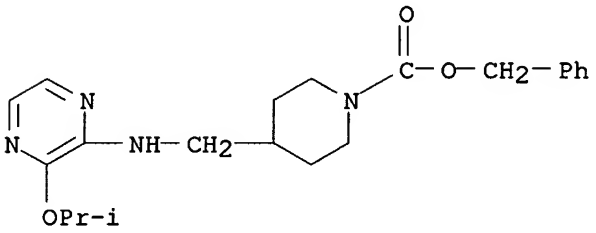
RN 455266-30-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



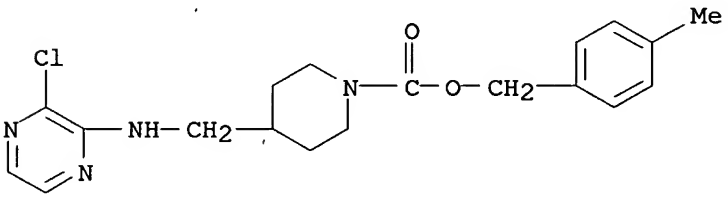
RN 455266-32-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



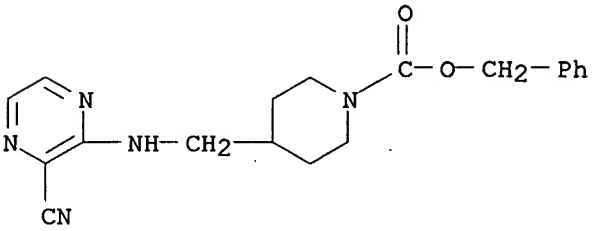
RN 455266-33-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(1-methylethoxy)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



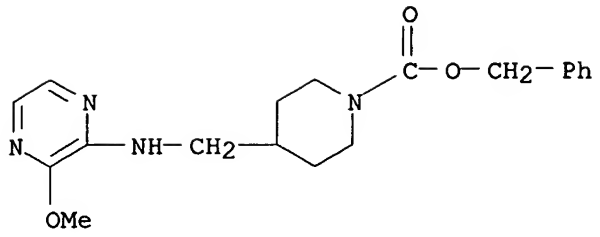
RN 455266-34-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



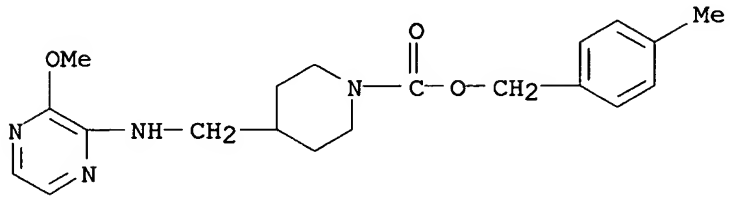
RN 455266-35-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-cyanopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



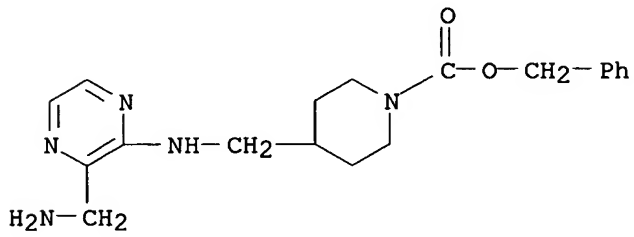
RN 455266-36-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



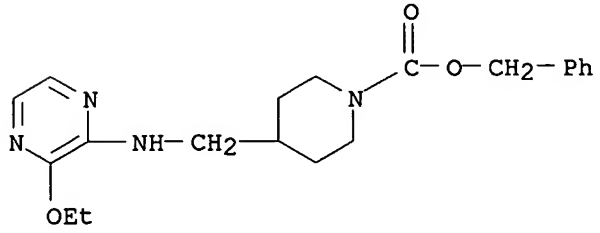
RN 455266-37-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxypyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



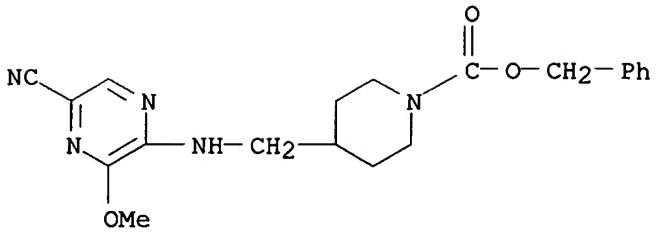
RN 455266-41-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



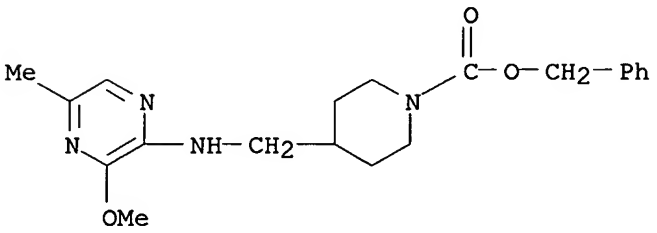
RN 455266-42-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-ethoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



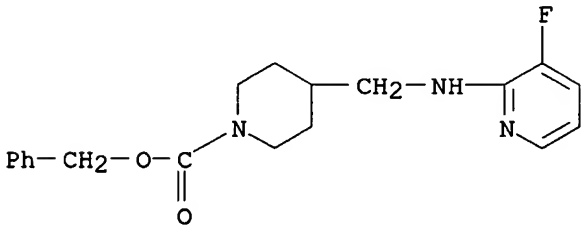
RN 455266-43-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-cyano-3-methoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



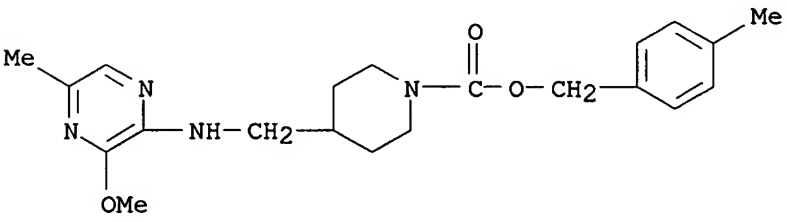
RN 455266-44-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxy-5-methylpyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455266-45-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-fluoro-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

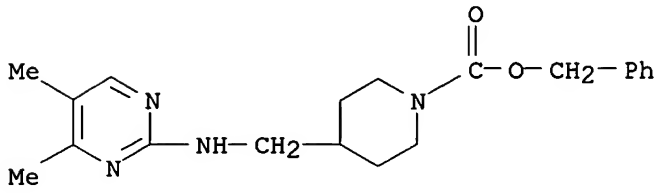


RN 455266-47-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxy-5-methylpyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)

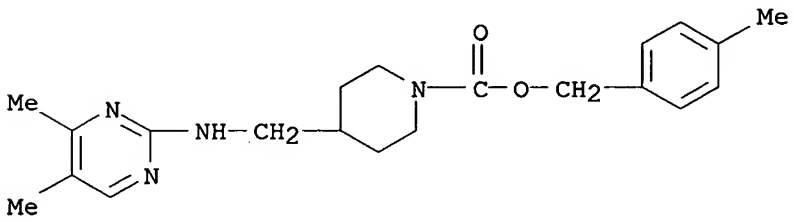


RN 455266-48-5 CAPLUS

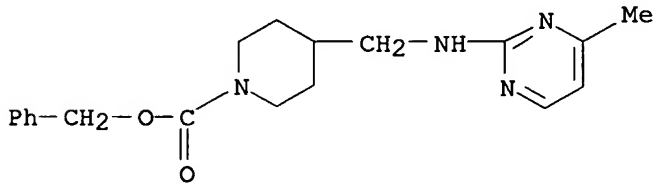
CN 1-Piperidinecarboxylic acid, 4-[[(4,5-dimethyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



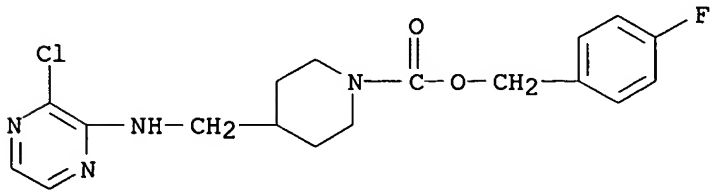
RN 455266-51-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4,5-dimethyl-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455266-52-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455266-98-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)

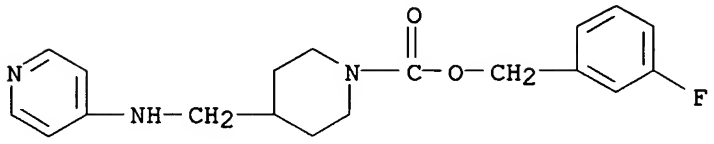


RN 455268-07-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-,

(3-fluorophenyl)methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

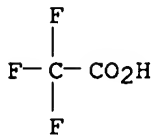
CM 1

CRN 455265-53-9
CMF C19 H22 F N3 O2

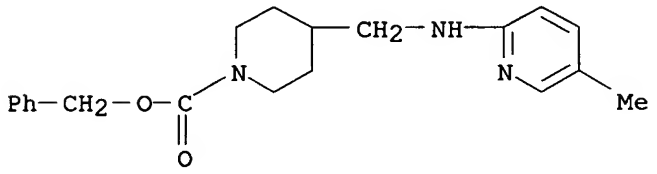


CM 2

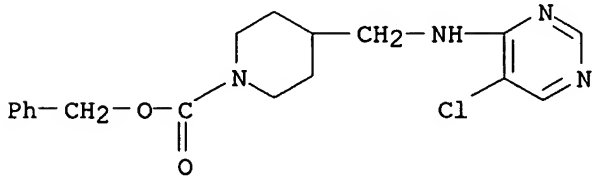
CRN 76-05-1
CMF C2 H F3 O2



RN 455290-06-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



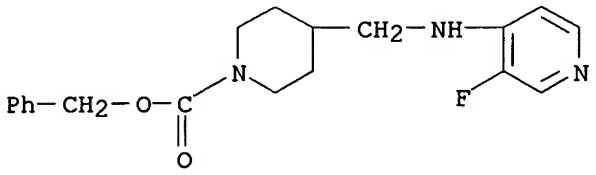
RN 455290-08-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



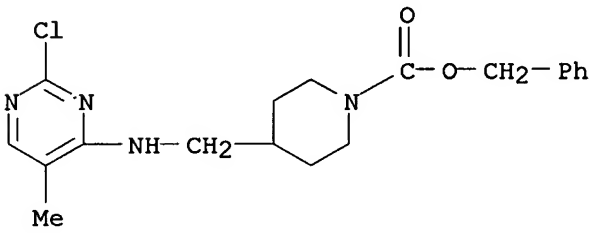
IT **455267-68-2P 455267-73-9P 455267-78-4P 455267-93-3P 455267-94-4P 455267-96-6P**

455267-98-8P 455267-99-9P 455290-15-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)

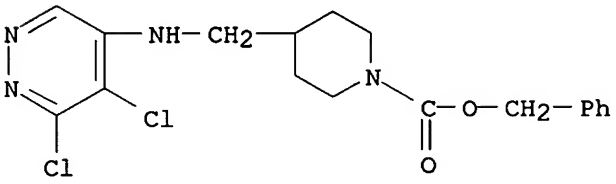
RN 455267-68-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-fluoro-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



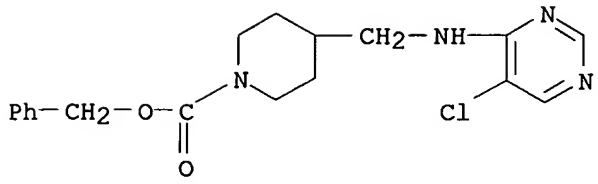
RN 455267-73-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-78-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5,6-dichloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-93-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

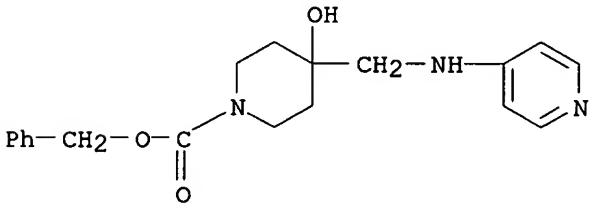


● HCl

RN 455267-94-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

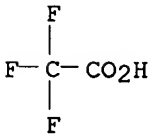
CM 1

CRN 455265-72-2
CMF C19 H23 N3 O3



CM 2

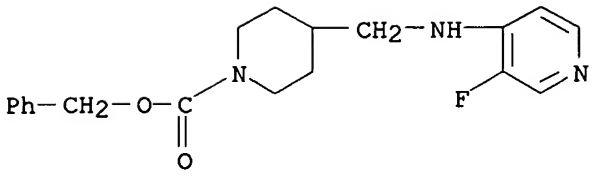
CRN 76-05-1
CMF C2 H F3 O2



RN 455267-96-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-fluoro-4-pyridinyl)amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

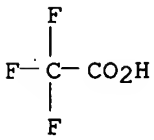
CM 1

CRN 455267-68-2
CMF C19 H22 F N3 O2



CM 2

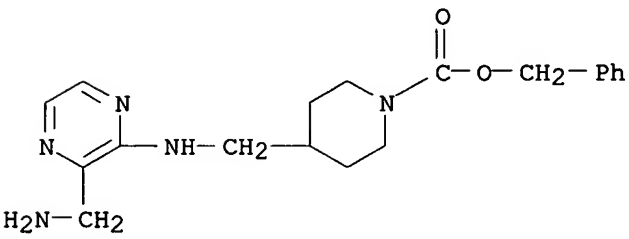
CRN 76-05-1
CMF C2 H F3 O2



RN 455267-98-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

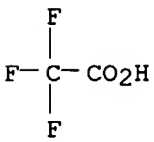
CM 1

CRN 455266-41-8
CMF C19 H25 N5 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

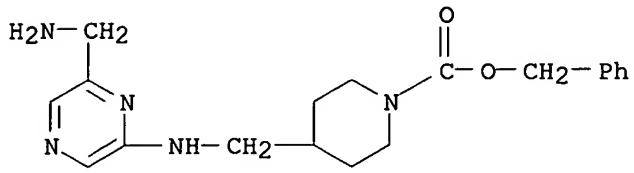


RN 455267-99-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[6-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

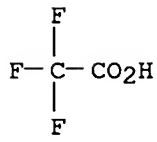
CM 1

CRN 455266-32-7
CMF C19 H25 N5 O2

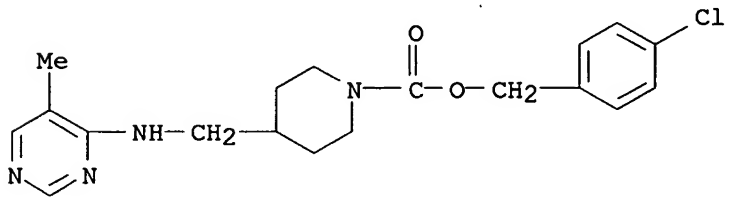


CM 2

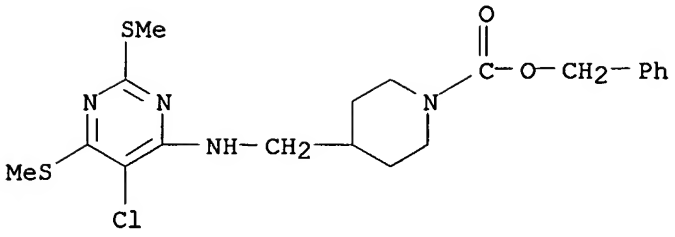
CRN 76-05-1
CMF C2 H F3 O2



RN 455290-15-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



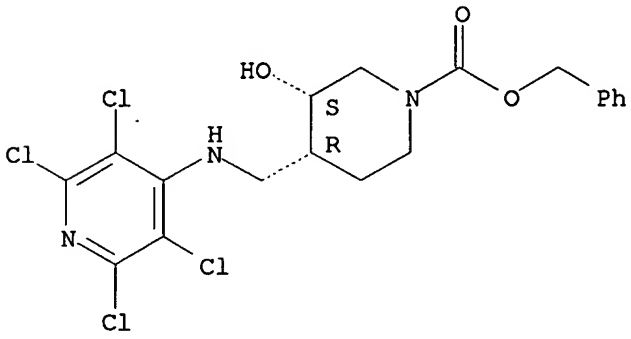
IT **455267-76-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455267-76-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[5-chloro-2,6-bis(methylthio)-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



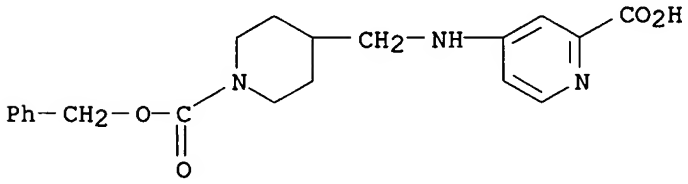
IT **455267-07-9P 455267-08-0P 455267-15-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)

RN 455267-07-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[[(2,3,5,6-tetrachloro-4-pyridinyl)amino]methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

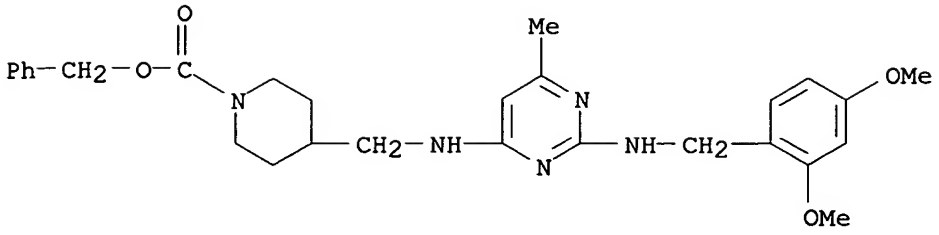
Relative stereochemistry.



RN 455267-08-0 CAPLUS
CN 2-Pyridinecarboxylic acid, 4-[[[1-[(phenylmethoxy)carbonyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 455267-15-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[[(2,4-dimethoxyphenyl)methyl]amino]-6-methyl-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

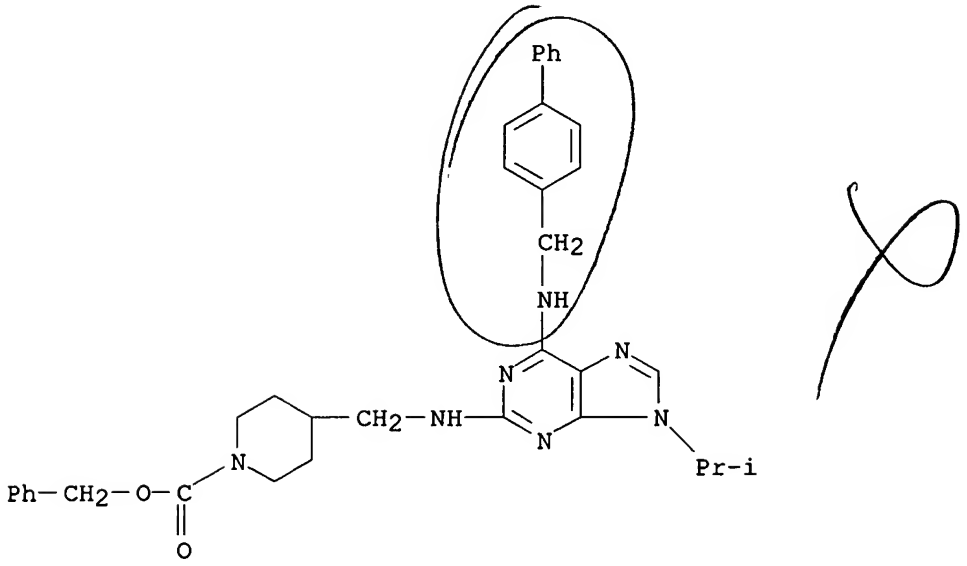
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2002:522682 CAPLUS
DN 137:78811
TI Preparation of 2,6,9-trisubstituted purine derivatives for therapeutic use as potent antiproliferative agents
IN Trova, Michael Peter
PA USA
SO U.S. Pat. Appl. Publ., 150 pp., Cont.-in-part of U.S. Ser. No. 493,790.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002091263	A1	20020711	US 2001-950549	20010911
WO 2003022216	A2	20030320	WO 2002-US28634	20020909

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	US 1999-124829P	P	19990317
	US 2000-493790	A2	20000128
	US 2001-950549	A	20010911

OS MARPAT 137:78811
AB 2,6,9-Trisubstituted purine derivs., such as I [R = -VCH(R3)(CH2)nCH(R4)Y; R1 = H, alkyl, alkenyl, cycloalkyl, etc.; R2 = aryl, heteroaryl; R3 = H, alkyl, alkenyl, phenylalkyl, etc.; R4 = H, alkyl; R3(CH2)nR4 = 5-8 membered carbocyclic or heterocyclic ring; A = CH2, CH2CH2, CH2CH2CH2, OCH2CH2, CH(Me), etc.; V = NH, O, S, CH2; X = N, CH; Y = H, alkyloxy, amino, acylamino, sulfonylamino, etc.; n = 0-3] which are inhibitors of cyclin/cdk complexes, were prepd. for pharmaceutical use as antitumor agents. Thus, substituted purine II was prepd. via a series of synthetic steps which included 6-amination of 2,6-dichloropurine with 4-I-C6H4CH2NH2.HCl, 9-N-alkylation of the resulting purine with Me2CHI, 2-amination of the resulting purine with trans-1,4-cyclohexanediamine and, finally, arom. coupling of the 4-iodobenzyl moiety with 3-thiophene boronic acid. The prepd. purines were assayed for cyclin/cdk inhibition and for growth inhibition of HeLa as well as a no. of other cancer cell lines.
IT **441055-93-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 2,6,9-trisubstituted purine derivs. for therapeutic use as potent antiproliferative agents)
RN 441055-93-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-[([1,1'-biphenyl]-4-ylmethyl)amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)


Ph
CH2
NH
N
N
N
N
CH2—NH
N
Pr-i
Ph—CH2—O—C
O

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2001:12273 CAPLUS
DN 134:86271
TI Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
IN Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 470 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001000213	A1	20010104	WO 2000-US17443	20000626
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1206265	A1	20020522	EP 2000-941701	20000626
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	US 6498165	B1	20021224	US 2000-604305	20000626
PRAI	US 1999-141639P	P	19990630		
	WO 2000-US17443	W	20000626		

OS MARPAT 134:86271
AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered arom. ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2,

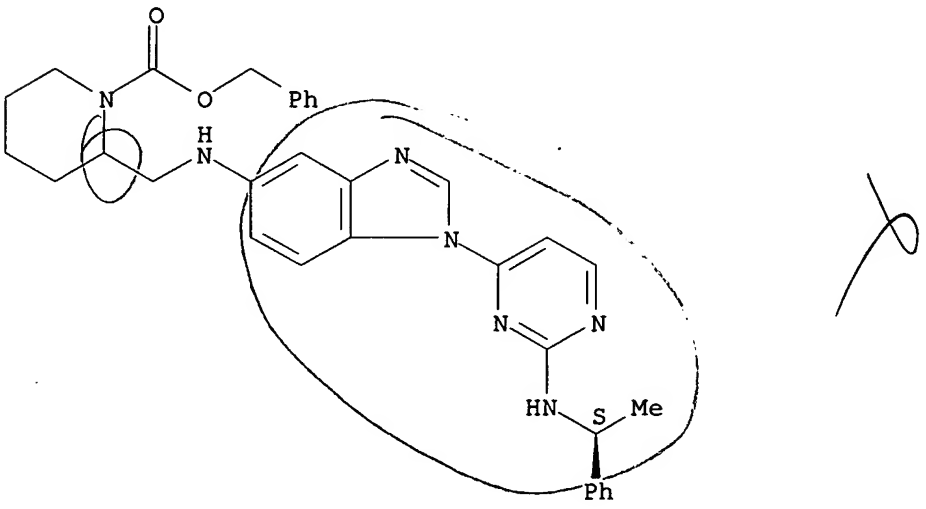
N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

IT **317825-66-4P**, 2-[(S)-1-Phenylethylamino]-4-[5-N-((1-benzyloxycarbonylpiperidin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine **317825-67-5P**, 2-[(S)-1-Phenylethylamino]-4-[5-N-((1-benzyloxycarbonylpiperidin-3-yl)methyl)aminobenzimidazol-1-yl]pyrimidine RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

RN 317825-66-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[[[1-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

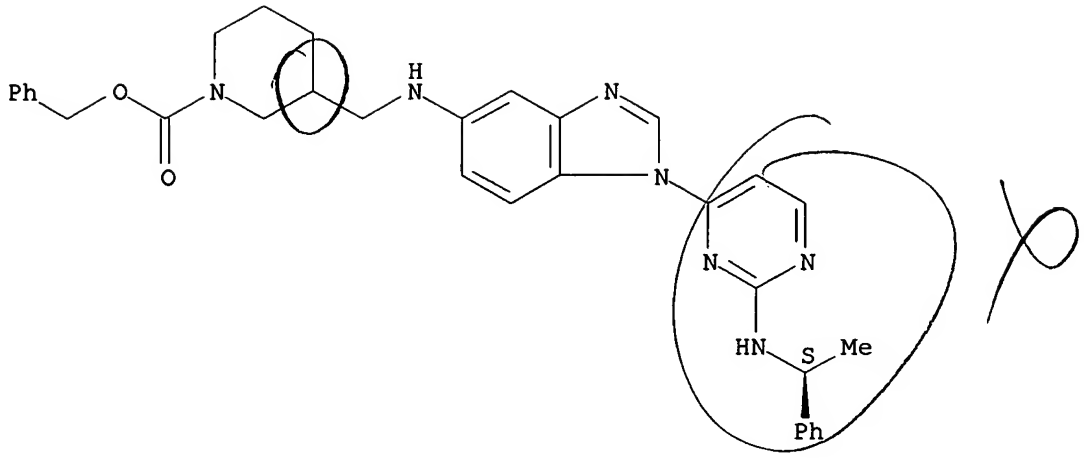
Absolute stereochemistry.



RN 317825-67-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[[1-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

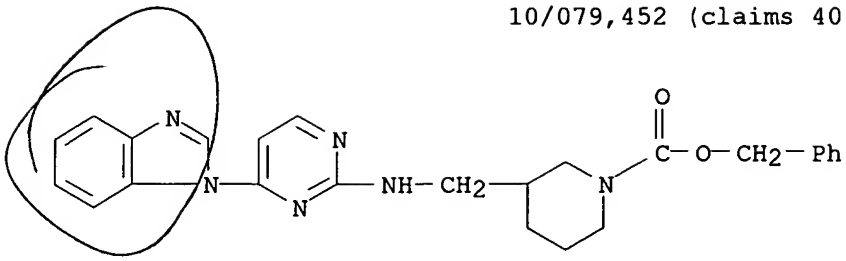


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

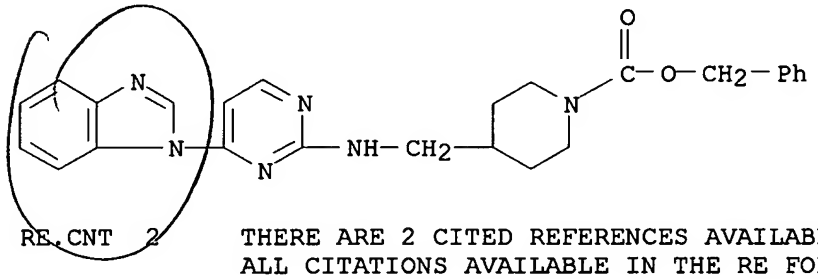
L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2001:12267 CAPLUS
DN 134:71602
TI Preparation and effect of benzimidazolylpyrimidine derivatives as SRC kinase inhibitorss
IN Goulet, Joung L.; Holmes, Mark A.; Hunt, Julianne A.; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Zaller, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001000207	A1	20010104	WO 2000-US17510	20000626
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6329380	B1	20011211	US 2000-603688	20000626
	EP 1206260	A1	20020522	EP 2000-953637	20000626
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003503351	T2	20030128	JP 2001-505916	20000626
PRAI	US 1999-141630P	P	19990630		
	WO 2000-US17510	W	20000626		

OS MARPAT 134:71602
AB Title Pyrimidine compds. [I; R1, R2 independently = H, Br, Cl, I, F, OH, SH, CN, NO2, NH2; R1R2 ; fused methylenedioxy ring, fused 6-membered arom. ring; R3, R5 independently = H, alkyl, aryl; R3R5 = O; R4 = H, alkyl, alkoxyl; X1, X2, X3, X4 independently = CH, CBr, COH, CSH, CNO2, N; R7 = H, NH2, alkyl, aryl, alkylamino, arylamino; Y = O, N, CH; Z = CO, SO2, bond; m, n independently = 0, 1, 2, 3, 4], or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same, which are inhibitors of tyrosine kinase enzymes, and as such are useful in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. Thus, the title compd. II was prepd. and tested.
IT **315717-01-2P 315717-39-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of benzimidazolylpyrimidine derivs. as SRC kinase inhibitors)
RN 315717-01-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 315717-39-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN 2000:742067 CAPLUS
DN 133:309900
TI Preparation of oxopyrimidinealkanoates and analogs as integrin receptor ligands
IN Zechel, Johann-Christian; Kling, Andreas; Geneste, Herve; Lange, Udo; Lauterbach, Arnulf; Graef, Claudia Isabella; Subkowski, Thomas; Sadowski, Jens; Hornberger, Wilfried
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 301 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000061551	A2	20001019	WO 2000-EP2746	20000329
	WO 2000061551	A3	20001228		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19916719	A1	20001019	DE 1999-19916719	19990413
	DE 19962998	A1	20010712	DE 1999-19962998	19991224
	EP 1171435	A2	20020116	EP 2000-920612	20000329
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000009739	A	20020409	BR 2000-9739	20000329
	JP 2002541243	T2	20021203	JP 2000-610827	20000329
	BG 105979	A	20020628	BG 2001-105979	20011004
	NO 2001004961	A	20011107	NO 2001-4961	20011012
PRAI	DE 1999-19916719	A	19990413		
	DE 1999-19962998	A	19991224		
	WO 2000-EP2746	W	20000329		

OS MARPAT 133:309900
AB BGUT [B = a structural element contg. .gtoreq.1 atom capable of forming a H-bond under physiol. conditions (sic); G = (un)substituted divalent oxopyrimidine group I; T = CO2H or a group hydrolizable to CO2H; U = bond, (heteroatom-interrupted)(oxo)alkylene, (hetero)arylene, etc.] were prepd. as integrin receptor ligands (no data). Thus, ROCCH(NHCbz)CH2NH2 (R = resin) was cyclocondensed with R1CH:CMeCSNHCO2Et (prepn. given) to give a resin-bound oxothioxopyrimidine which was treated with BrCN and the product condensed with 1-(2-pyridinyl)piperidine-4-methanamine (prepn. given) to give, after resin cleavage, title compd. II.
IT **302340-01-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxopyrimidinealkanoates and analogs as integrin receptor ligands)
RN 302340-01-8 CAPLUS
CN 1(2H)-Pyrimidinepropanoic acid, 5-methyl-2-oxo-.alpha.-[[(phenylmethoxy)carbonyl]amino]-4-[[[1-[(phenylmethoxy)carbonyl]-4-

piperidinyl]methyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.